10027982 Page 1 08/30/2002

- Pink are within new claim scope
- Yellow are provisoed out

Welcome to STN International! Enter x:x

LOGINID:ssspta1600rxa

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4	Apr 09	ZDB will be removed from STN
NEWS 5	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 9	Jun 03	New e-mail delivery for search results now available
NEWS 10	Jun 10	MEDLINE Reload
NEWS 11	Jun 10	PCTFULL has been reloaded
NEWS 12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS 13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS 14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS 15	Jul 30	NETFIRST to be removed from STN
NEWS 16	Aug 08	CANCERLIT reload
NEWS 17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18	Aug 08	NTIS has been reloaded and enhanced
NEWS 19	Aug 09	JAPIO to be reloaded August 25, 2002
NEWS 20	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 21	Aug 19	IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 22	Aug 19	The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 23	Aug 26	Sequence searching in REGISTRY enhanced

NEWS EXPRESS	February 1 CURRENT WINDOWS VERSION IS V6.0d, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

Examiner Anderson 703-605-1157

FILE 'HOME' ENTERED AT 09:39:12 ON 30 AUG 2002

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 09:39:19 ON 30 AUG 2002

STRUCTURE FILE UPDATES: 28 AUG 2002 HIGHEST RN 445373-06-8
DICTIONARY FILE UPDATES: 28 AUG 2002 HIGHEST RN 445373-06-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

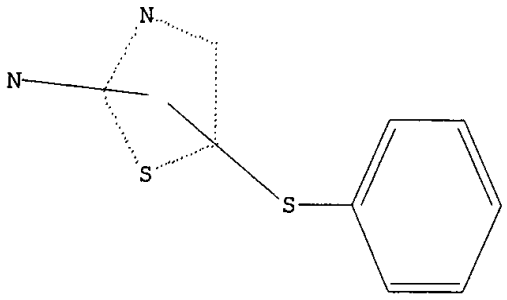
Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10027982b.str

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 09:39:51 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2348 TO ITERATE

```
 42.6% PROCESSED    1000 ITERATIONS                                 24 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        44055 TO    49865
PROJECTED ANSWERS:             677 TO     1577

L2           24 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 09:39:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  47871 TO ITERATE

100.0% PROCESSED   47871 ITERATIONS                             1130 ANSWERS
SEARCH TIME: 00.00.01

L3         1130 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   140.28     140.49

FILE 'CAPLUS' ENTERED AT 09:40:00 ON 30 AUG 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the
American Chemical Society and is provided to assist you in searching
databases on STN.  Any dissemination, distribution, copying, or storing
of this information, without the prior written consent of CAS, is
strictly prohibited.

FILE COVERS 1907 - 30 Aug 2002  VOL 137 ISS 9
FILE LAST UPDATED: 28 Aug 2002  (20020828/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

CAS roles have been modified effective December 16, 2001. Please
check your SDI profiles to see if they need to be revised. For
information on CAS roles, enter HELP ROLES at an arrow prompt or use
the CAS Roles thesaurus (/RL field) in this file.

=> s l3
L4          124 L3

=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
```

FULL ESTIMATED COST 1.19 141.68

FILE 'REGISTRY' ENTERED AT 09:41:47 ON 30 AUG 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

STRUCTURE FILE UPDATES: 28 AUG 2002 HIGHEST RN 445373-06-8
DICTIONARY FILE UPDATES: 28 AUG 2002 HIGHEST RN 445373-06-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

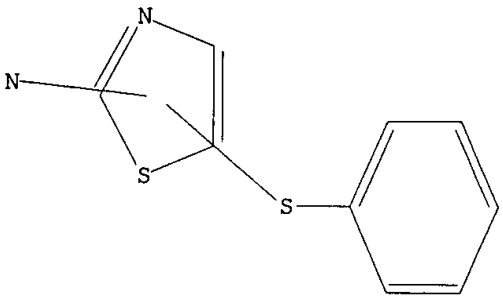
Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10027982b.str

L5 STRUCTURE UPLOADED

=> d
L5 HAS NO ANSWERS
L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l5 subset=l3 full
FULL SUBSET SEARCH INITIATED 09:42:05 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 1130 TO ITERATE

100.0% PROCESSED 1130 ITERATIONS 1119 ANSWERS
SEARCH TIME: 00.00.01

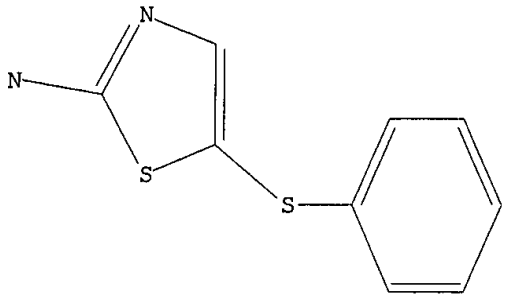
L6 1119 SEA SUB=L3 SSS FUL L5

=>
Uploading 10027982b.str

Examiner Anderson 703-605-1157

L7 STRUCTURE UPLOADED

=> d
L7 HAS NO ANSWERS
L7 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l7 subset=l6 full
FULL SUBSET SEARCH INITIATED 09:42:56 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 943 TO ITERATE

100.0% PROCESSED 943 ITERATIONS 942 ANSWERS
SEARCH TIME: 00.00.01

L8 942 SEA SUB=L6 SSS FUL L7

=> fil caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	66.86	208.54

FILE 'CAPLUS' ENTERED AT 09:43:00 ON 30 AUG 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 30 Aug 2002 VOL 137 ISS 9
FILE LAST UPDATED: 28 Aug 2002 (20020828/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s l8
L9 93 L8

=> d ibib abs hitstr 1-93

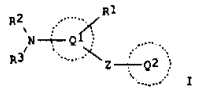
,

L9 ANSWER 1 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:487561 CAPLUS
DOCUMENT NUMBER: 137:63240
TITLE: Preparation of thiazolyl inhibitors of Tec family tyrosine kinases
INVENTOR(S): Barrish, Joel C.; Das, Jagabandhu; Kanner, Steven B.; Liu, Chunjian; Spergel, Steven H.; Witayk, John; Doweyko, Arthur M. P.; Furch, Joseph A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

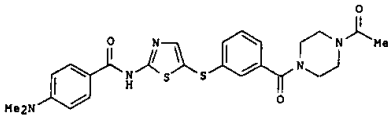
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050071	A1	20020627	WO 2001-US49430	20011219

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-257830P P 20001221
OTHER SOURCE(S): MARPAT 137:63240
GI

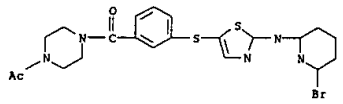


I

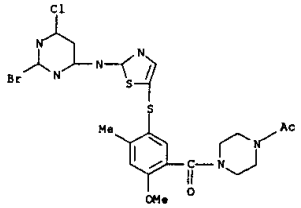


II

L9 ANSWER 1 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
AB The title compds. [I; Q1 = thiazolyl; Q2 = (un)substituted (hetero)aryl; Z = O, S, NR4, etc.; R1 = H, OH, SH, etc.; R2, R3 = H, (un)substituted (hetero)aryl, (hetero)arylcarbonyl, etc.; R4 = H, alkyl, aryl, etc.], useful in the treatment of Tec family tyrosine kinase-assocd. disorders such as cancer, immunol. disorders and allergic disorders, were prepd. E.g., a multi-step synthesis of the thiazole II, was given.
IT 439574-30-8P 439574-64-8P 439576-54-2P 439576-56-4P 439576-61-1P 439576-98-4P 439578-40-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of thiazolyl inhibitors of Tec family tyrosine kinases)
RN 439574-30-8 CAPLUS
CN Piperazine, 1-acetyl-4-[3-[[2-[(6-bromo-2-pyridinyl)amino]-5-thiazolyl]thio]benzoyl]- (9CI) (CA INDEX NAME)

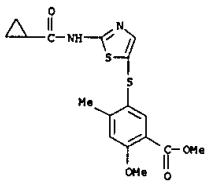


*** FRAGMENT DIAGRAM IS INCOMPLETE ***
RN 439574-64-8 CAPLUS
CN Piperazine, 1-acetyl-4-[5-[[2-[(2-bromo-6-chloro-4-pyrimidinyl)amino]-5-thiazolyl]thio]-2-methoxy-4-methylbenzoyl]- (9CI) (CA INDEX NAME)

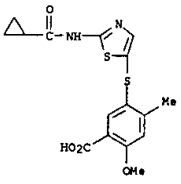


*** FRAGMENT DIAGRAM IS INCOMPLETE ***
RN 439576-54-2 CAPLUS
CN Benzoic acid, 5-[[2-[(cyclopropylcarbonyl)amino]-5-thiazolyl]thio]-2-methoxy-4-methyl-, methyl ester (9CI) (CA INDEX NAME)

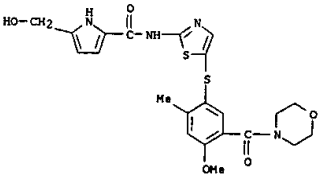
L9 ANSWER 1 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 439576-56-4 CAPLUS
CN Benzoic acid, 5-[[2-[(cyclopropylcarbonyl)amino]-5-thiazolyl]thio]-2-methoxy-4-methyl- (9CI) (CA INDEX NAME)

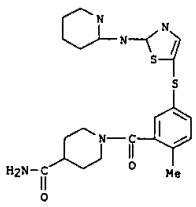


RN 439576-61-1 CAPLUS
CN 1H-Pyrrole-2-carboxamide, 5-(hydroxymethyl)-N-[5-[[4-methoxy-2-methyl-5-(4-morpholinylcarbonyl)phenyl]thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)

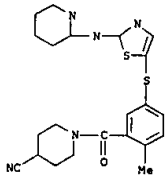


RN 439576-98-4 CAPLUS
CN 4-Piperidinecarboxamide, 1-[2-methyl-5-[[2-(2-pyridinylamino)-5-thiazolyl]thio]benzoyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 1 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***
RN 439578-40-2 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[2-methyl-5-[[2-(2-pyridinylamino)-5-thiazolyl]thio]benzoyl]- (9CI) (CA INDEX NAME)



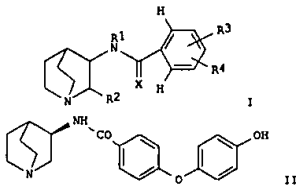
*** FRAGMENT DIAGRAM IS INCOMPLETE ***
IT 439574-27-3P 439574-28-4P 439574-29-5P 439574-31-9P 439574-32-0P 439574-33-1P 439574-34-2P 439574-35-3P 439574-36-4P 439574-37-5P 439574-38-6P 439574-39-7P 439574-40-0P 439574-41-1P 439574-42-2P 439574-43-3P 439574-44-4P 439574-45-5P 439574-46-6P 439574-47-7P 439574-48-8P 439574-49-9P 439574-50-2P 439574-51-3P 439574-52-4P 439574-53-5P 439574-54-6P 439574-55-7P 439574-56-8P 439574-61-5P 439574-62-6P 439574-65-9P 439574-66-0P 439574-67-1P 439574-68-2P 439574-69-3P 439574-70-6P 439574-71-7P 439574-72-8P 439574-73-9P 439574-74-0P 439574-75-1P 439574-76-2P 439574-77-3P 439574-78-4P 439574-79-5P 439574-80-8P 439574-81-9P 439574-82-0P 439574-83-1P 439574-84-2P 439574-85-3P 439574-86-4P 439574-87-5P 439574-88-6P 439574-89-7P 439574-90-0P

L9 ANSWER 2 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:157770 CAPLUS
DOCUMENT NUMBER: 136:200337
TITLE: Preparation of N-quinuclidinyl-aryl amides for pharmaceutical use in treatment of neurol. disorders, such as schizophrenia or psychosis
INVENTOR(S): Myers, Jason K.; Groppi, Vincent E., Jr.; Piotrowski, David W.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016358	A2	20020228	WO 2001-US21138	20010817
WO 2002016358	A3	20020718		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001082874	A5	20020304	AU 2001-82874	20010817
US 2002042428	A1	20020411	US 2001-932309	20010817
US 2002049225	A1	20020425	US 2001-932598	20010817

PRIORITY APPLN. INFO.: US 2000-226164P P 20000818
US 2001-284966P P 20010419
US 2000-226652P P 20000821
US 2001-284832P P 20010419
WO 2001-US21138 W 20010817
OTHER SOURCE(S): MARPAT 136:200337
GI

L9 ANSWER 2 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

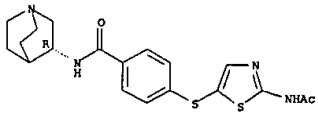


I

II

AB N-quinuclidinyl-aryl amides, such as I [R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; R2 = H, alkyl, haloalkyl, cycloalkyl, benzyl, aryl; R3, R4 = H, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, amino, alkylthio, acyl, sulfamoyl, etc.; X = O, S], were prepd. for therapeutic use in the treatment of neurol. disorders, such as schizophrenia or psychosis. Thus, benzamide II was prepd. in 60% yield by an amidation reaction of (R)-3-aminoquinuclidine with 4-(4-acetoxyphenoxy)benzoic acid using di-Ph chlorophosphate and Et3N in CH2Cl2 and DMF. The prepd. N-quinuclidinyl amides were tested for nicotinic acetylcholine receptor binding activity.
IT **400881-05-2P 400887-24-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-quinuclidinyl-aryl amides for pharmaceutical use in treatment of neurol. disorders, such as schizophrenia or psychosis)
RN 400881-05-2 CAPLUS
CN Benzamide, 4-[[2-(acetylamino)-5-thiazolyl]thio]-N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

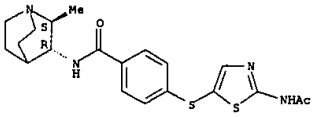
Absolute stereochemistry.



RN 400887-24-3 CAPLUS
CN Benzamide, 4-[[2-(acetylamino)-5-thiazolyl]thio]-N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

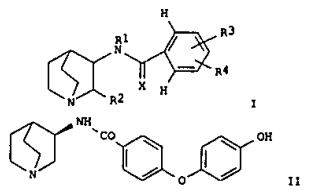
L9 ANSWER 2 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



L9 ANSWER 3 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:157769 CAPLUS
DOCUMENT NUMBER: 136:200336
TITLE: Preparation of N-quinuclidinyl-aryl amides for pharmaceutical use in treatment of neurol. disorders
INVENTOR(S): Myers, Jason K.; Groppi, Vincent E., Jr.; Piotrowski, David W.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016357	A2	20020228	WO 2001-US21137	20010817
WO 2002016357	A3	20020530		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001082873	A5	20020304	AU 2001-82873	20010817
US 2002040035	A1	20020404	US 2001-932597	20010817
US 2002042428	A1	20020411	US 2001-932309	20010817

PRIORITY APPLN. INFO.: US 2000-226164P P 20000818
US 2001-284961P P 20010419
US 2000-226652P P 20000821
US 2001-284832P P 20010419
WO 2001-US21137 W 20010817
OTHER SOURCE(S): MARPAT 136:200336
GI



I

II

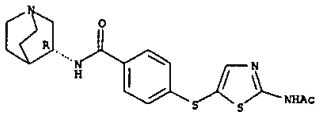
AB N-quinuclidinyl-acyl amides, such as I [R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; R2 = H, alkyl, haloalkyl, cycloalkyl, benzyl, aryl; R3,

L9 ANSWER 3 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
R4 = H, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, amino, alkylthio, acyl, sulfamoyl, etc.; X = O, S], were prepd. for therapeutic use in the treatment of neurol. disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration assocd. with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), or senile dementia. Thus, benzamide II was prepd. in 60% yield by an amidation reaction of (R)-3-aminoquinuclidine with 4-[(4-acetoxyphenoxy)benzoic acid using di-Ph chlorophosphate and Et3N in CH2Cl2 and DMF. The prepd. N-quinuclidinyl amides were tested for nicotinic acetylcholine receptor binding activity.

IT **400881-05-2P 400887-24-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-quinuclidinyl-aryl amides for pharmaceutical use in treatment of neurol. disorders)

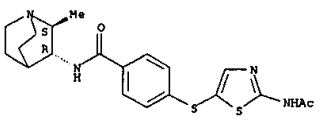
RN 400881-05-2 CAPLUS
CN Benzamide, 4-[[2-(acetylamino)-5-thiazolyl]thio]-N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 400887-24-3 CAPLUS
CN Benzamide, 4-[[2-(acetylamino)-5-thiazolyl]thio]-N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



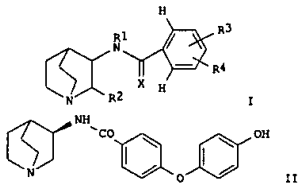
L9 ANSWER 4 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:157768 CAPLUS
DOCUMENT NUMBER: 136:200335
TITLE: Preparation of N-quinuclidinyl-aryl amides for pharmaceutical use in treatment of neurol. disorders
INVENTOR(S): Myers, Jason K.; Groppi, Vincent E., Jr.; Piotrowski, David W.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016356	A2	20020228	WO 2001-US21136	20010817
WO 2002016356	A3	20020516		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001084645	A5	20020304	AU 2001-84645	20010817
US 2002042428	A1	20020411	US 2001-932309	20010817
US 2002052389	A1	20020502	US 2001-932325	20010817

PRIORITY APPLN. INFO.:
US 2000-226164P P 20000818
US 2001-284956P P 20010419
US 2001-284968P P 20010419
US 2001-284971P P 20010419
US 2000-226652P P 20000821
US 2001-284832P P 20010419
WO 2001-US21136 W 20010817

OTHER SOURCE(S): MARPAT 136:200335
GI

L9 ANSWER 4 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



I

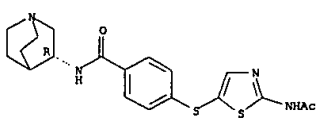
II

AB N-quinuclidinyl-aryl amides, such as I [R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; R2 = H, alkyl, haloalkyl, cycloalkyl, benzyl, aryl; R3, R4 = H, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, amino, alkylthio, acyl, sulfamoyl, etc.; X = O, S], were prepd. for therapeutic use in the treatment of neurol. disorders, such as attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems assocd. with brain tumors, AIDS dementia complex, dementia assocd. with Down's syndrome, dementia assocd. with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms assocd. with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration assocd. with glaucoma, or symptoms assocd. with pain. Thus, benzamide II was prepd. in 60% yield by an amidation reaction of (R)-3-aminoquinuclidine with 4-(4-acetoxyphenoxy)benzoic acid using di-Ph chlorophosphate and Et3N in CH2Cl2 and DMF. The prepd. N-quinuclidinyl amides were tested for nicotinic acetylcholine receptor binding activity.

IT **400881-05-2P 400887-24-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-quinuclidinyl-aryl amides for pharmaceutical use in treatment of neurol. disorders)

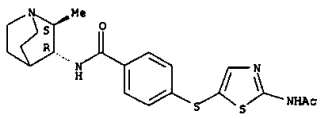
RN 400881-05-2 CAPLUS
CN Benzamide, 4-[[2-(acetylamino)-5-thiazolyl]thio]-N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 4 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 400887-24-3 CAPLUS
CN Benzamide, 4-[[2-(acetylamino)-5-thiazolyl]thio]-N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

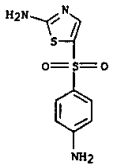


L9 ANSWER 5 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:107168 CAPLUS
DOCUMENT NUMBER: 136:172755
TITLE: Therapeutic azo group-containing polyanhydrides for drug delivery
INVENTOR(S): Uhrich, Kathryn E.
PATENT ASSIGNEE(S): Rutgers, the State University of New Jersey, USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002009769	A2	20020207	WO 2001-US23748	20010727
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002071821	A1	20020613	US 2001-917595	20010727

PRIORITY APPLN. INFO.: US 2000-220998P P 20000727
AB Polyazo compds., which include low mol. wt. drugs having a carboxylic acid group and an amine, thiol, alc. or phenol group within their structure, formed into polymeric drug delivery systems are provided. Also provided are methods of producing polymeric drug delivery systems having these polyazo compds. as well as methods of administering low mol. wt. drugs to a host via the polymeric drug delivery systems. Thus, 5,5-nitrosalicylic acid is dimerized via azo linkage to form olsalazine using sodium hydroxide and zinc dust in methanol/water. The azo compd. is then converted to the activated monomer (bis-anhydride) by heating it at reflux in acetic anhydride. The monomer is then polymd. by heating under vacuum to provide the polyazo compd.
IT **473-30-3D**, polymer conjugates
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic azo group-contg. polyanhydrides for drug delivery)
RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[[4-aminophenyl]sulfonyl]- (9CI) (CA INDEX NAME)

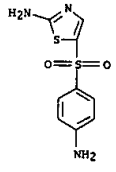
L9 ANSWER 5 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



L9 ANSWER 6 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:107167 CAPLUS
DOCUMENT NUMBER: 136:156464
TITLE: Therapeutic polyesters and polyamides
INVENTOR(S): Uhrich, Kathryn E.
PATENT ASSIGNEE(S): Rutgers, the State University of New Jersey, USA
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002009768	A2	20020207	WO 2001-US23747	20010727
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002071822	A1	20020613	US 2001-917194	20010727

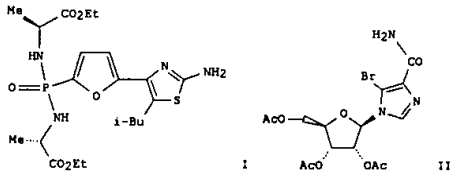
PRIORITY APPLN. INFO.: US 2000-220707P P 20000727
US 2001-261337P P 20010112
AB Polymers (i.e. polyesters, polyamides, and polythioesters or a mixt. thereof) which degrade hydrolytically into biol. active compds. are provided. Methods of producing these polymers, intermediates useful for prepg. these polymers, and methods of using these polymers to deliver biol. active compds. to a host are also provided. The biol. active compd. is a non-steroidal anti-inflammatory drug, antibacterial, antifungal, anticancer, antithrombotic, immunosuppressant, or analgesic. For example, morphine was copolymd. with a diacid chloride to provide a polyester.
IT **473-30-3**, Thiazolsulfone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of drug-contg. polyamides, polyesters and polythioesters as prodrugs)
RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[[4-aminophenyl]sulfonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 7 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:51257 CAPLUS
DOCUMENT NUMBER: 136:123595
TITLE: A combination of phosphonate or phosphorodiamidate FBPase inhibitors and antidiabetic agents useful for the treatment of diabetes
INVENTOR(S): Van Poelje, Paul D.; Erion, Mark D.; Fujiwara, Toshihiko
PATENT ASSIGNEE(S): Metabasis Therapeutics, Inc., USA; Sankyo Company, Limited
SOURCE: PCT Int. Appl., 392 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002003978	A2	20020117	WO 2001-US21557	20010705
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001073271	A5	20020121	AU 2001-73271	20010705

PRIORITY APPLN. INFO.: US 2000-216531P P 20000706
WO 2001-US21557 W 20010705
OTHER SOURCE(S): MARPAT 136:123595
GI



AB A combination therapy of at least one FBPase inhibitor ((R1Y)2P(O)M and R14C(O)(CR12R13)nN(R18)P(O)(NR15R16)M; e.g. 2-amino-5-propylthio-4-(5-phosphono-2-furanyl)thiazole monohydrobromide and 2-amino-5-isobutyl-4-[2-[N,N'-bis[(S)-1-(ethoxycarbonyl)ethyl]phosphonodiamido]-5-furanyl]thiazole (shown as I)) and at least one other antidiabetic agent (insulin secretagogue; e.g. glyburide, a sulfonylurea) is disclosed. (R1Y)2P(O)M and R14C(O)(CR12R13)nN(R18)P(O)(NR15R16)M are converted in vivo or in

L9 ANSWER 7 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

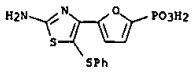
vitro to MPO32-, which inhibit FBPase; the substituents are defined in the claims. General methods and about 15 specific example prepns. of the phosphorus compds. are included but no methods of prepn. are claimed. In the biol. examples, data is presented for the following for selected phosphorus compds. and other materials: inhibition of human liver FBPase, inhibition of rat liver and mouse liver FBPase, inhibition of gluconeogenesis by an FBPase inhibitor in rat hepatocytes, inhibition of glucose prodn. and elevation of fructose-1,6-bisphosphate levels in rat hepatocytes treated with FBPase inhibitors, anal. of hepatic and plasma drug metabolite levels, blood glucose, and hepatic fructose 1,6-bisphosphate levels after administration of compd. A (shown as II) p.o. to normal fasted rats, anal. of hepatic and plasma drug levels after administration of compds. i.p. to normal fasted rats, oral bioavailability detn. of two compds. and oral glucose lowering activity of two compds. For insulin secretagogues: insulin release from pancreatic islets, glucose lowering in the fasted rat, i.v. glucose tolerance in the fasted rat, oral glucose tolerance in the Zucker diabetic fatty rat, insulin secretion in the rat, inhibition of KATP-channels in mouse pancreatic beta-cells, and sulfonylurea receptor binding. Also included are: inhibition of dipaptidyl peptidase IV (DPP-IV inhibitors), alpha-glucosidase assay, glycogen phosphorylase assay, assay of glucose 6-phosphatase inhibitors, glucagon antagonist assay, amylin agonist assay, fatty acid oxidn. inhibitor assay, glucose lowering in the db/db mouse (FBPase inhibitor), glucose lowering in the ZDF rat, acute combination treatment of an insulin secretagogue and an FBPase inhibitor in the ZDF rat, chronic combination treatment of an insulin secretagogue and an FBPase inhibitor in the ZDF rat, acute combination treatment of insulin and an FBPase inhibitor in db/db mice, beneficial effect of chronic combination treatment of insulin and an FBPase inhibitor in db/db mice, and beneficial effect of chronic combination treatment of insulin and an FBPase inhibitor in db/db Mice. Also included are: acute combination treatment of insulin and an FBPase inhibitor in the Goto-Kakizaki rat, acute combination treatment of a biguanide and an FBPase inhibitor in db/db mice, acute combination treatment of an alpha glucosidase inhibitor and an FBPase inhibitor in Goto-Kakizaki rats, acute combination treatment of a glycogen phosphorylase inhibitor and an FBPase inhibitor in db/db or ob/ob mice, acute combination treatment of a glucose-6-phosphatase inhibitor and an FBPase inhibitor in db/db or ob/ob mice, acute combination treatment of an FBPase inhibitor and an amylin agonist, chronic combination treatment of a fatty acid oxidn. inhibitor and an FBPase inhibitor in the streptozotocin-induced diabetic rat.

IT **261366-07-9P**, 2-Amino-5-phenylthio-4-(5-phosphono-2-furanyl)thiazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(combination of phosphonate or phosphorodiamidate FBPase inhibitors and antidiabetic agents useful for treatment of diabetes)

RN 261366-07-9 CAPLUS

CN Phosphonic acid, [5-[2-amino-5-(phenylthio)-4-thiazolyl]-2-furanyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 7 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



L9 ANSWER 8 OF 93 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:23493 CAPLUS
DOCUMENT NUMBER: 136:69812
TITLE: Preparation of halopyrazoles
INVENTOR(S): Mizukawa, Hiroki
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 33 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002003410	A2	20020109	JP 2000-192938	20000627

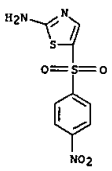
OTHER SOURCE(S): CASREACT 136:69812; MARPAT 136:69812

AB The compds. AXm (A = aryl, arom. heterocyclyl; X = halo, m = 1-3) are prepd. by reaction of A(NH2)m (A, m = same as above) with nitrites or nitrous acid esters in the presence of halides of Cr, Mn, Fe, Co, Ni, Cu, or Zn, copper acetate, copper sulfate, Cu, alkali metal iodides under acidic condition. Et 5-amino-1-methylpyrazole-4-carboxylate was reacted with NaNO2 in the presence of CuCl2 and HCl at 10.degree. to room temp. for 2 h to give 95% Et 5-chloro-1-methylpyrazole-4-carboxylate.

IT **39565-05-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of arom. halides by Sandmeyer reaction)

RN 39565-05-4 CAPLUS

CN 2-Thiazolamine, 5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



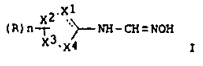
L9 ANSWER 9 OF 93 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:930205 CAPLUS
DOCUMENT NUMBER: 136:53675
TITLE: Preparation of hydroxyformamidines and their use as 20-hydroxyeicosatetraenoic acid (20-HETE) formation inhibitors for treatment of kidney, cerebrovascular, and circulation disorders
INVENTOR(S): Sato, Masakazu; Miyata, Noriyuki; Ishii, Takaaki; Kobayashi, Yuiko; Amada, Hideaki
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001354658	A2	20011225	JP 2000-180474	20000615

OTHER SOURCE(S): MARPAT 136:53675

GI



I

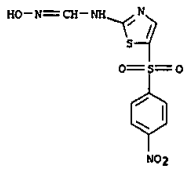
AB Title compds. I [.gtoreq.1 of X1-X4 = N, O, S; n = 0-4; R = C1-6 alkyl, C3-6 cycloalkyl, aryl, halo, cyano, thienyl, furyl, quinolylthio, etc.; when n .gtoreq.2, then the adjacent 2 Rs may form (O-, N-, or S-contg.) ring] or their pharmacol. acceptable salts are prepd. Thus, 2-amino-5-methoxycarbonylfuran was refluxed with Et orthoformate in AcOEt for 4 h, evapd., and treated with NH2OH/MeOH at room temp. for 18 h to give N-(5-methoxycarbonylfuran-2-yl)-N'-hydroxyformamidine.

IT **382136-24-5P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydroxyformamidines as 20-HETE formation inhibitors for treatment of kidney, cerebrovascular, and circulation disorders)

RN 382136-24-5 CAPLUS

CN Methanimidamide, N-hydroxy-N'-[5-[(4-nitrophenyl)sulfonyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 9 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



L9 ANSWER 10 OF 93 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:744683 CAPLUS
DOCUMENT NUMBER: 135:258546
TITLE: Diazo coupling process to synthesize macromolecular epoxy-supported dye
INVENTOR(S): Wang, Xiaogong
PATENT ASSIGNEE(S): Qinghua Univ., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 17 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

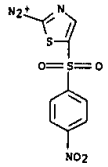
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1284524	A	20010221	CN 2000-124423	20000901

OTHER SOURCE(S): MARPAT 135:258546

AB The process comprises steps of: (1) reacting an epoxy resin with an aniline or aminonaphthalene to give a primary polymer (A) having a functional group for diazo coupling, (2) prepg. a diazo salt (B) from arom. amines, NaNo2 and an acid. and (3) diazo coupling of A and B.

IT **128912-27-6DP**, reaction product with amine-contg. epoxy resins
RL: IMF (Industrial manufacture); PEP (Physical, engineering or chemical process); TEM (Technical or engineered material use); PREP (Preparation); PROC (Process); USES (Uses)
(prepns. of polymeric epoxy-supported dye by diazo coupling process)

RN 128912-27-6 CAPLUS
CN 2-Thiazolediazonium, 5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 11 OF 93 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:676587 CAPLUS
DOCUMENT NUMBER: 135:236445
TITLE: 4,4'-Diaminodiphenyl sulfone and acetylcholinesterase inhibitor combinations for prevention and treatment of dementia
INVENTOR(S): Bain, Allen I.; Zolotoy, Alexander
PATENT ASSIGNEE(S): Immune Network Ltd., Can.
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066096	A2	20010913	WO 2001-CA271	20010305
WO 2001066096	A3	20011129		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

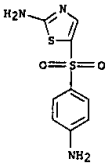
PRIORITY APPLN. INFO.: US 2000-187310P P 20000306

AB 4,4'-Diaminodiphenylsulfone (I) is a bactericide and anti-inflammatory agent. It is known to have therapeutic activity against leprosy, dermatitis herpetiformis, actinomycotic mycetoma, asthma, malaria, rheumatoid arthritis, Kaposiis sarcoma, pneumocystis carinii (pneumonia), subcorneal pustular dermatosis and cystic acne, in patients in need of such therapy. It is also known to have therapeutic activity against memory loss in patients in need of such therapy, including patients suffering from Alzheimer disease and related neurodegenerative disorders. Donepezil-HCl (donepezil) is an acetylcholinesterase inhibitor that is currently used for the symptomatic treatment of Alzheimer disease in patients in need of such therapy. It has now been found that combinations of I and cholinesterase inhibitors unexpectedly show synergistic effects in the prevention and/or treatment of dementia. The present invention relates to novel compns. and methods of preventing and/or treating dementia using combinations of I and a cholinesterase inhibitor (preferably donepezil). The method involves the administration to such individuals a drug compn. of I and a cholinesterase inhibitor. The invention also relates to a method of preventing and/or treating dementia including senile dementia, that involves the use of this combination of drugs. A combination of I and donepezil improved the learning deficit in aged rats and has a greated effect that the use of either drug along.

IT **473-30-3**, Thiazolsulfone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(4,4'-diaminodiphenyl sulfone and acetylcholinesterase inhibitor combinations for prevention and treatment of dementia)

RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 11 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



L9 ANSWER 12 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:564833 CAPLUS
DOCUMENT NUMBER: 135:152367
TITLE: Nitrate salts of antimicrobial agents
INVENTOR(S): Del Soldato, Piero; Benedini, Francesca; Antognazza, Patrizia
PATENT ASSIGNEE(S): Nicox S.A., Fr.
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001054691	A1	20010802	WO 2001-EP430	20010116

W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IT 2000-MI92 A 20000126
OTHER SOURCE(S): MARPAT 135:152367
AB Nitrate salts of antiviral, antifungal, and antibacterial agents such as acyclovir, tetracycline, etc. were prepd. Growth inhibition of, e.g., an S. Aureus strain by title compds. was demonstrated.
IT **352466-60-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nitrate salts of antimicrobial agents)
RN 352466-60-5 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]-, nitrate (9CI) (CA INDEX NAME)

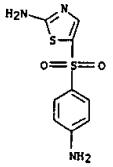
CM 1

CRN 7697-37-2
CMF H N O3

CM 2

CRN 473-30-3
CMF C9 H9 N3 O2 S2

L9 ANSWER 12 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



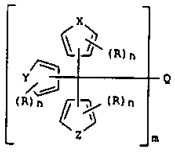
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 13 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:507676 CAPLUS
DOCUMENT NUMBER: 135:107324
TITLE: Synthesis of triarylmethane compounds (e.g. triarylpyrazoles) and use to selectively inhibit the calcium-activated K+ channel
INVENTOR(S): Chandy, K. George; Wulff, Heike; Cahalan, Michael D.; Grissmer, Stephan; Rauer, Heiko J.; Miller, Mark J.
PATENT ASSIGNEE(S): The Regents of the University of California, USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

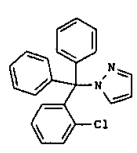
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001049663	A2	20010712	WO 2001-US326	20010105
WO 2001049663	A3	20020207		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-479391 A 20000106
OTHER SOURCE(S): MARPAT 135:107324
GI



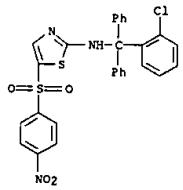
I



III

AB Compds. I were prepd. [X,Y,Z = CH2, O, S, NR1, N:CH, CH:N and R2C:CR3, where R2,R3 = H or may combine to form a (un)satd. (substituted) carbocyclic or heterocyclic ring; R = H, halo, trialkylhalo, OH, acyloxy, alkoxy, alkenyloxy, thio, etc.; R1 = H, alk(en/yn)yl, acyl, cycloalkyl, aryl or aroyl; n = 0 - 5; m = 1 or 2 with the proviso that when m = 1, Q = OH, CN, carboxyalkyl, amino, etc. and when m = 2, Q = 2 - 10 carbon spacer]. Examples include 4 general synthetic procedures, over 80 compds., 5 bioassays, a sample gelatin capsule formulation and the hydrolytic stability of II. 2-Chlorophenyl diphenylmethyl chloride was reacted with excess pyrazole (2 - 4 mol equiv.) in CH3CN for 8 h to give II in 76% yield after recrystn. II was found to be hydrolytically stable

L9 ANSWER 13 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
at pH 5.0 for at least 72 h and breaks down rapidly at pH 1.0 (simulated gastric fluid) to 2-chlorophenyl diphenylmethanol; t1/2 approx. 45 min. I are selective inhibitors of calcium-activated K+ channel (IKCa1) without concomitant inhibtiton of CYP3A4. II had Kd = 20 nM for human IKCa1 and no inhibition of CYP3A4 at 10 .mu.M vs. clotrimazole, Kd = 70 nM for human IKCa1 and EC50 = 30 nM for CYP3A4. I are used for immunosuppressive treatment of autoimmune disorders, graft rejection and/or graft/host disease.
IT **349658-07-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of triarylmethane compds. (e.g. triarylpyrazoles) and use to selectively inhibit the calcium-activated K+ channel)
RN 349658-07-7 CAPLUS
CN 2-Thiazolamine, N-[(2-chlorophenyl)diphenylmethyl]-5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

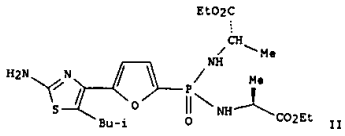


L9 ANSWER 14 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:489407 CAPLUS
DOCUMENT NUMBER: 135:76989
TITLE: Novel bisamidate phosphonate prodrugs of FBPase inhibitors for use as antidiabetics
INVENTOR(S): Jaing, Tao; Kasibhatla, Srinivas Rao; Reddy, Raja K.
PATENT ASSIGNEE(S): Metabasis Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 250 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

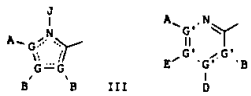
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047935	A2	20010705	WO 2000-IB2071	20001222
WO 2001047935	A3	20020321		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-171862P P 19991222
OTHER SOURCE(S): MARPAT 135:76989
GI



II

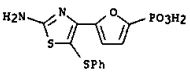


III

IV

AB Novel bisamidate phosphonate prodrugs (I; R5XP(O)(NR15R16)NR18(CR12R13)nC(O)R14; e.g. 2-amino-5-isobutyl-4-[5-[N,N'-bis((S)-1-(ethoxycarbonyl)ethyl)phosphonodiamido]-2-furanyl]thiazole (II)) of fructose-1,6-bisphosphatase (FBPase) inhibitors and their use in the treatment of diabetes and other conditions assocd. with elevated blood glucose were reported. In I, n = 1-3; R2 = R3, H; R3 = alkyl, aryl, alicyclic, and aralkyl; each R12 and R13 = H, lower alkyl, lower aryl, lower aralkyl, all optionally substituted, or R12 and R13 together are connected via 2-6 atoms, optionally including 1-2 heteroatoms = O, N and S, to form a cyclic group; each R14 = OR17, N(R17)2, NHR17, NR2OR19 and SR17; R15 = H, lower alkyl, lower aryl, lower aralkyl, or together with R16 is connected via 2-6 atoms, optionally including 1 heteroatom = O, N, and S; R16 = (CR12R13)nC(O)R14, H, lower alkyl, lower aryl, lower aralkyl, or together with R15 is connected via 2-6 atoms, optionally including 1 heteroatom = O, N, and S; each R17 = lower alkyl, lower aryl, lower aralkyl, all optionally substituted, or together R17 and R17 on N is connected via 2-6 atoms, optionally including 1 heteroatom = O, N, and S; R18 = H, lower alkyl, aryl, aralkyl, or together with R12 is connected via 1-4 C atoms to form a cyclic group; each R19 = H, lower alkyl, lower aryl, lower alicyclic, lower aralkyl, and COR3. R5 = III and IV, wherein each G = C, N, O, S, and Se, and wherein only one G may be O, S, or Se, and at most one G is N; each G' = C and N and wherein no more than two G' groups are N; A = H, NR42, CONR42, CO2R3, halo, S(O)R3, SO2R3, alkyl, alkenyl, alkynyl, perhaloalkyl, haloalkyl, aryl, CH2OH, CH2NR42, CH2CN, CN, C(S)NH2, OR2, SR2, NHC(S)NR42, NHAc, null; each B and D = H, alkyl, alkenyl, alkynyl, aryl, alicyclic, aralkyl, alkoxyalkyl, C(O)R11, C(O)SR3, SO2R11, S(O)R3, CN, NR92, OR3, SR3, perhaloalkyl, halo, NO2, and null, all except H, CN, perhaloalkyl, NO2, and halo are optionally substituted; E = H, alkyl, alkenyl, alkynyl, aryl, alicyclic, alkoxyalkyl, C(O)OR3, CONR42, CN, NR92, NO2, OR3, SR3, perhaloalkyl, halo, and null, all except H, CN, perhaloalkyl, and halo are optionally substituted; J = H, null. X is an optionally substituted linking group that links R5 to the P atom via 2-4 atoms, including 0-1 heteroatoms (N, O, and S), except that if X is urea or carbamate there are 2 heteroatoms, measured by the shortest path between R5 and the P atom, and wherein the atom attached to the P is a C atom, and wherein X = -alkyl(hydroxy)-, -alkynyl-, -heteroaryl-, -carbonylalkyl-, -1,1-dihaloalkyl-, -alkoxyalkyl-, -alkyloxy-, -alkylthioalkyl-, -alkylthio-, -alkylaminocarbonyl-, -alkylcarbonylamino-, -alkoxycarbonyl-, -carbonyloxyalkyl-, -alkoxycarbonylamino-, and -alkylaminocarbonylamino-, all optionally substituted; with the proviso that X is not substituted with COOR2, SO3H, or PO3R22; R2 = R3 and H; R3 = alkyl, aryl, alicyclic, and aralkyl; each R4 = H, and alkyl, or together R4 and R4 form a cyclic alkyl group; each R9 = H, alkyl, aryl, aralkyl, and alicyclic, or together R9 and R9 form a cyclic alkyl group; R11 = alkyl, aryl, NR22, and OR2; and with the proviso that: (1) when G' is N, then the resp. A, B, D, or E is null; (2) at least one of A and B, or A, B, D, and E is not selected from the group consisting of H or null; (3) when G is N, then the resp. A or B is not halogen or a group directly bonded to G via a heteroatom. Approx. 700 antidiabetic title compds. were prepd. by std. methods. Results are reported of tests of some of the prodrugs and/or the related phosphonic acids for inhibition of human liver FBPase, inhibition of rat liver FBPase, inhibition of gluconeogenesis in rat hepatocytes, chem. stability, oral bioavailability in rats, oral pharmacokinetics in rats, acute and chronic oral efficacy in the ZDF rat, and structure activity relationship of human liver phosphoramidase. E.g., 2-amino-5-isobutyl-4-[5-phosphono-2-furyl]thiazole, resulting from the hydrolysis of the prodrug, exhibited an IC50 of 0.025 .mu.M against human liver FBPase and an IC50 of 2.5 .mu.M as inhibitor of glucose prodn. in rat hepatocytes.

IT **261366-07-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and use of antidiabetic bisamidate phosphonate prodrugs)
RN 261366-07-8 CAPLUS
CN Phosphonic acid, [5-[2-amino-5-(phenylthio)-4-thiazolyl]-2-furanyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 15 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:434872 CAPLUS
DOCUMENT NUMBER: 135:51048
TITLE: Pharmaceuticals containing dapsone and related sulfones
INVENTOR(S): Aberg, A. K. Gunnar; Zolotoy, Alexander; Bain, Allen I.
PATENT ASSIGNEE(S): Immune Network Research Ltd., Can.
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

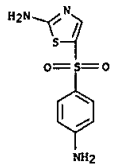
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001041772	A1	20010614	WO 2000-US33138	20001207

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-169727P P 19991208
AB Dapsone and related sulfones are known to have therapeutic activity against leprosy, dermatitis herpetiformis, actinomycotic mycetoma, asthma, malaria, rheumatoid arthritis, Kaposiis sarcoma, Pneumocystis carinii, subcorneal pustular dermatosis and cystic acne, in patients in need of such therapy. These sulfones have therapeutic activity against memory loss in patients in need of such therapy, including patients suffering from Alzheimer's disease and related neurodegenerative disorders. New, modified-release formulations of dapsone and related sulfones may also be used that decrease side effects and increase effectiveness of the drugs. New methods are disclosed utilizing certain formulations of dapsone and related sulfones that improve the therapeutic index of the drugs. Side effects of these drugs are known to those skilled in the art and include, but are not restricted to anorexia, psychosis, peripheral neuritis, hemolysis, methemoglobinemia, nausea, vomiting, headache, dizziness, tachycardia, nervousness, insomnia and skin disorders. Modified-release (as defined herein) formulations of dapsone have now been found to avoid some or all of these side effects, and to have more efficacy on potency. This granulate contained (per tablet) dapsone 100, mannitol 10, microcryst. cellulose 70, and SLS 5 mg. This granulated was compressed into tablets and coated with Et cellulose.

IT **473-30-3**, Thiazolsulfone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceuticals contg. dapsone and related sulfones)
RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 15 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

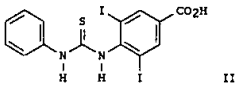
L9 ANSWER 16 OF 93 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:319864 CAPLUS
DOCUMENT NUMBER: 134:340357
TITLE: Novel compounds, specifically aromatic and heteroaromatic ureas and thioureas, useful against parasites and especially against coccidiosis.
INVENTOR(S): Muzi, Sabrina; Abdul-Rahman, Shoaa
PATENT ASSIGNEE(S): New Pharma Research Sweden AB, Swed.
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030749	A1	20010503	WO 2000-SE2091	20001027
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1224165	A1	20020724	EP 2000-973336	20001027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
EP 1210950	A1	20020605	EP 2000-850205	20001204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
WO 2002045751	A1	20020613	WO 2001-SE2654	20011130
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: SE 1999-3894 A 19991028
WO 2000-SE2091 W 20001027
EP 2000-850205 A 20001204
OTHER SOURCE(S): MARPAT 134:340357
GI

L9 ANSWER 16 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

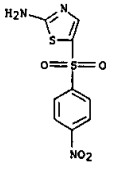


II

AB The invention relates to novel ureas and thioureas R-C(:Y)-R [I; Y = O or S; R's are selected from the pairings: (a) NHR1 and NHR2, or (b) NR3R4 and NR5R6, or (c) NR3R4 and cyclic radical -N:Z-R7; R1, R2 = certain (un)substituted aryl, aralkyl, alkyl, heteroaryl, etc.; R3-R6 = certain (un)substituted aryl, aralkyl, or alkyl groups; Z = atoms to form ring; R7 = electron-withdrawing substituent] and their tautomers, solvates, radiolabeled derivs., and pharmaceutically acceptable salts. Also disclosed are pharmaceutical compns. contg. I, as well as a method for treatment of parasitic disorders using I. I are esp. well-suited for treatment of coccidiosis, particularly in poultry. Over 200 compds. are listed, and several synthetic examples are given. For instance, reaction of PhNCS with 4-amino-3,5-diiodobenzoic acid in refluxing acetone in the presence of aq. 10% KOH gave 75% thiourea deriv. II. This compd. had an anticoccidial effect in chickens similar to coxistac, but with a shorter duration of infection, reduced feed consumption, and no loss of growth rate.

IT **39565-05-4**, 2-Amino-5-[(4-nitrophenyl)sulphonyl]thiazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(precursor; prepn. of arom. and heteroarom. ureas and thioureas as antiparasitic and anticoccidial agents)

RN 39565-05-4 CAPLUS
CN 2-Thiazolamine, 5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 17 OF 93 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:137173 CAPLUS
DOCUMENT NUMBER: 134:178396
TITLE: Synthesis, activity and formulations of pharmaceutical compounds for treatment of oxidative stress and/or endothelial dysfunction
INVENTOR(S): Del Soldato, Piero
PATENT ASSIGNEE(S): Nicox S.A., Fr.
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012584	A2	20010222	WO 2000-EP7225	20000727
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000013264	A	20020416	BR 2000-13264	20000727
NO 2002000623	A	20020409	NO 2002-623	20020208

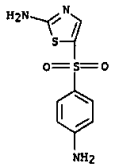
PRIORITY APPLN. INFO.: IT 1999-MI1817 A 19990812
WO 2000-EP7225 W 20000727
OTHER SOURCE(S): MARPAT 134:178396

AB Compds. or their salts of general formula (I): A-B-N(O)s wherein: s is an integer equal to 1 or 2; A = R-T1-, wherein R is the drug radical and T1 = (CO)t or (X)t', wherein X = O, S, NR1c, R1c is H or a linear or branched alkyl or a free valence, t and t' are integers and equal to zero or 1, with the proviso that t = 1 when t' = 0; t = 0 when t' = 1; B = -TB -X2-O- wherein TB = (CO) when t = 0, TB = X when t' = 0, X being as above defined; X2, bivalent radical, is such that the precursor drug of A and the precursor of B meet resp. the pharmacol. tests described in the description. Synthesis, activity and formulations of pharmaceutical compds. for treatment of oxidative stress and/or endothelial dysfunction are disclosed. The precursors are such as to meet the pharmacol. test reported in the description.

IT **473-30-3**, Thiazolsulfone
RL: RCT (Reactant); RACT (Reactant or reagent)
(antibiotic; synthesis, activity and formulations of pharmaceutical compds. for treatment of oxidative stress and/or endothelial dysfunction)

RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 17 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



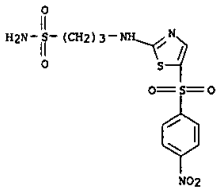
L9 ANSWER 18 OF 93 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:845408 CAPLUS
DOCUMENT NUMBER: 134:147509
TITLE: Potential GABAB receptor antagonists. XI synthesis of a small library of sulfonamide analogues
AUTHOR(S): Millan, David S.; Prager, Rolf H.
CORPORATE SOURCE: School of Chemistry, Physics and Earth Sciences, Flinders University of South Australia, Adelaide, 5001, Australia
SOURCE: Australian Journal of Chemistry (2000), 53(7), 615-618
CODEN: AJCHAS; ISSN: 0004-9425
PUBLISHER: CSIRO Publishing
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:147509

AB A small library of 3-heteroarylaminopropane-1-sulfonamide analogs of 4-aminobutanoic acid (GABA) were prepd. by solid-phase chem. None showed any significant activity as agonists or antagonists of GABAA or GABAB receptors in the guinea pig ileum.

IT **324025-27-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(solid-phase prepn. of a library of (heteroarylamino)propanesulfonamide GABA analogs as potential GABAB receptor antagonists)

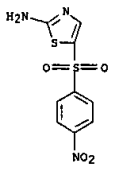
RN 324025-27-6 CAPLUS
CN 1-Propanesulfonamide, 3-[[5-[(4-nitrophenyl)sulfonyl]-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)



IT **39565-05-4**, 2-Amino-5-(4-nitrophenylsulfonyl)thiazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(solid-phase prepn. of a library of (heteroarylamino)propanesulfonamide GABA analogs as potential GABAB receptor antagonists)

RN 39565-05-4 CAPLUS
CN 2-Thiazolamine, 5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 18 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 19 OF 93 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:814464 CAPLUS
DOCUMENT NUMBER: 133:362712
TITLE: Preparation of quinoline derivatives as inhibitors of MEX enzymes
INVENTOR(S): Boyle, Francis Thomas; Gibson, Keith Hopkinson; Poyser, Jeffrey Philip; Turner, Paul
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000068201	A1	20001116	WO 2000-GB1697	20000503
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1178967	A1	20020213	EP 2000-927491	20000503
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 2000010391	A	20020702	BR 2000-10391	20000503
NO 2001005448	A	20020107	NO 2001-5448	20011107

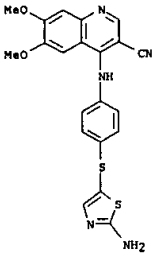
PRIORITY APPLN. INFO.: GB 1999-10577 A 19990508
WO 2000-GB1697 W 20000503
OTHER SOURCE(S): MARPAT 133:362712
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

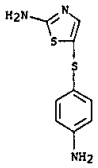
AB Title compds. [I; or a pharmaceutically acceptable salt thereof wherein: n is 0-1; X and Y are independently selected from NH, O, S, or NR8 where R8 is alkyl of 1-6 carbon atoms and X may addnl. comprise a CH2 group; R7 is a group (CH2)mR9 where m is 0, or an integer of from 1-3 and R9 is a substituted aryl group, an optionally substituted cycloalkyl ring of up to 10 carbon atoms, or an optionally substituted heterocyclic ring or an N-oxide of any nitrogen contg. ring; R6 is a divalent cycloalkyl of 3 to 7 carbon atoms, which may be optionally further substituted with one or more alkyl of 1 to 6 carbon atom groups; or is a divalent pyridinyl, pyrimidinyl, or Ph ring; wherein the pyridinyl, pyrimidinyl, or Ph ring may be optionally further substituted with one or more specified groups; R1, R2, R3 and R4 are each independently selected from hydrogen or various specified org. groups]. Title compds. are useful as pharmaceuticals for the inhibition of MEX activity. Thus, the title compd. I1 was prepd. and tested in HT29 human colon tumor cell proliferation assay.

IT **306999-10-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

L9 ANSWER 19 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
study); PREP (Preparation)
(prepn. of quinoline derivs. as inhibitors of MEK enzymes)
RN 306999-10-0 CAPLUS
CN 3-Quinolinecarbonitrile, 4-[[4-[(2-amino-5-thiazolyl)thio]phenyl]amino]-6,7-dimethoxy- (9CI) (CA INDEX NAME)



IT 133691-49-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of quinoline derivs. as inhibitors of MEK enzymes)
RN 133691-49-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)thio]- (9CI) (CA INDEX NAME)



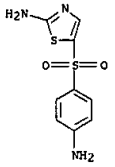
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 20 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:742057 CAPLUS
DOCUMENT NUMBER: 133:309791
TITLE: Synthesis, activity and formulations of pharmaceutical compounds for treatment of oxidative stress and/or endothelial dysfunction
INVENTOR(S): Del Soldato, Piero
PATENT ASSIGNEE(S): Nicox S.A., Fr.
SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061541	A2	20001019	WO 2000-EP3239	20000411
WO 2000061541	A3	20010927		
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, DM, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
IT 1311923	B1	20020320	IT 1999-MI752	19990413
BR 2000009703	A	20020108	BR 2000-9703	20000411
EP 1169298	A2	20020109	EP 2000-926870	20000411
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001004928	A	20011213	NO 2001-4928	20011010

PRIORITY APPLN. INFO.: IT 1999-MI752 A 19990413
WO 2000-EP3239 W 20000411
OTHER SOURCE(S): MARPAT 133:309791
AB Synthesis, activity and formulations of pharmaceutical compds. for treatment of oxidative stress and/or endothelial dysfunction are disclosed. The precursors are such as to meet the pharmacol. test reported in the description.
IT 473-30-3, Thiazolsulfone
RL: RCT (Reactant); RACT (Reactant or reagent)
(antibiotic; synthesis, activity and formulations of pharmaceutical compds. for treatment of oxidative stress and/or endothelial dysfunction)
RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 20 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

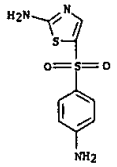


L9 ANSWER 21 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:742053 CAPLUS
DOCUMENT NUMBER: 133:310142
TITLE: Synthesis, activity and formulations of pharmaceutical compounds for treatment of oxidative stress and/or endothelial dysfunction
INVENTOR(S): Del Soldato, Piero
PATENT ASSIGNEE(S): Nicox S.A., Fr.
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061537	A2	20001019	WO 2000-EP3234	20000411
WO 2000061537	A3	20010927		
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, DM, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
IT 1311924	B1	20020320	IT 1999-MI753	19990413
BR 2000009702	A	20020108	BR 2000-9702	20000411
EP 1169294	A2	20020109	EP 2000-925203	20000411
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001004927	A	20011213	NO 2001-4927	20011010

PRIORITY APPLN. INFO.: IT 1999-MI753 A 19990413
WO 2000-EP3234 W 20000411
OTHER SOURCE(S): MARPAT 133:310142
AB Compds. A-B-C-N(O)s and A-C1[N(O)s]-B1 or their salts [s is an integer 1 or 2, preferably s = 2; A is the radical of a drug and is such as to meet the pharmacol. tests reported in the description; C and C1 are two bivalent radicals; the precursors of the radicals B and B1 are such as to meet the pharmacol. test reported in the description] were prepd. for use as pharmaceuticals. Thus, (S,S)-N-acetyl-S-(6-methoxy-.alpha.-methyl-2-naphthalenylacetyl)cysteine 4-nitroxybutyl ester was prepd. (NCX 2101) from naproxene and N-acetylcysteine in the first of 28 synthetic examples given. Pharmacol. test examples and tabular data are also given.
IT 473-30-3, Thiazolsulfone
RL: RCT (Reactant); RACT (Reactant or reagent)
(drug precursor)
RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 21 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



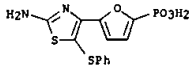
L9 ANSWER 22 OF 93 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:456867 CAPLUS
DOCUMENT NUMBER: 133:84284
TITLE: A combination of fructose-1,6-bisphosphatase (FBPase) inhibitors and insulin sensitizers for the treatment of diabetes
INVENTOR(S): Erion, Mark D.; Vanpoelje, Paul
PATENT ASSIGNEE(S): Metabasis Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000038666	A2	20000706	WO 1999-US30713	19991222
WO 2000038666	A3	20011129		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1143955	A2	20011017	EP 1999-964313	19991222
EP 1143955	A3	20020828		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9917005	A	20020402	BR 1999-17005	19991222
NO 2001003115	A	20010824	NO 2001-3115	20010621
PRIORITY APPLN. INFO.:			US 1998-114718P P	19981224
			WO 1999-US30713 W	19991222

OTHER SOURCE(S): MARPAT 133:84284
AB Pharmaceutical compns. contg. an FBPase inhibitor and an insulin sensitizer are provided as well as methods for treating diabetes and diseases responding to increased glycemic control, an improvement in insulin sensitivity, a redn. in insulin levels, or an enhancement of insulin secretion.
IT **261366-07-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(fructose-1,6-bisphosphatase inhibitor-insulin sensitizer combination for diabetes treatment, and inhibitor prepn.)
RN 261366-07-8 CAPLUS
CN Phosphonic acid, [5-[2-amino-5-(phenylthio)-4-thiazolyl]-2-furanyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 22 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



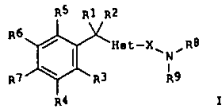
L9 ANSWER 23 OF 93 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:241135 CAPLUS
DOCUMENT NUMBER: 132:279106
TITLE: Non-peptide GnRH agents, methods and intermediates for their preparation
INVENTOR(S): Anderson, Mark Brian; Vazir, Haresh N.; Luthin, David Robert; Paderes, Genevieve Deguzman; Pathak, Ved P.; Christie, Lance Christopher; Hong, Yufeng; Tompkins, Eileen Valenzuela; Li, Haitao; Faust, James
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA; et al.
SOURCE: PCT Int. Appl., 444 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

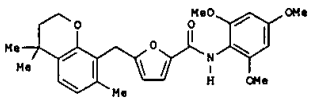
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020358	A2	20000413	WO 1999-US18790	19990820
WO 2000020358	A3	20001116		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 9913374	A	20010515	BR 1999-13374	19990820
EP 1105120	A2	20010613	EP 1999-968010	19990820
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001000309	A	20010411	NO 2001-309	20010119
LV 12732	B	20020320	LV 2001-45	20010316
LT 4904	B	20020425	LT 2001-24	20010319
PRIORITY APPLN. INFO.:			US 1998-97520P P	19980820
			WO 1999-US18790 W	19990820

OTHER SOURCE(S): MARPAT 132:279106
GI

L9 ANSWER 23 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



I



II

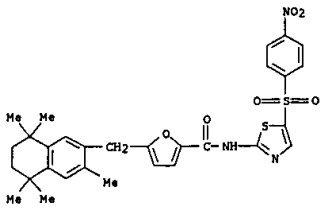
AB Non-peptide GnRH agents capable of inhibiting the effect of gonadotropin-releasing hormone are described. The compds. and their pharmaceutically acceptable salts, multimers, prodrugs, and active metabolites are suitable for treating mammalian reproductive disorders and steroid hormone-dependent tumors as well as for regulating fertility, where suppression of gonadotropin release is indicated. The compds. include those of formula I [X = C:O, C:S, S:O, or SO2; Het = 5-membered NOS-heterocycle; R1, R2 = H, alkyl; R3-R7 = H, halo, (un)substituted alkyl, aryl, heteroaryl, CH2OR, OR, CO2R; R = alkyl, aryl, etc.; adjacent rings positions such as R6R7 may form (un)substituted 5- or 6-membered ring with up to 4 heteroatoms; R8 = lipophilic moiety such as alkyl, aryl, CH2OR, OR, etc.; R9 = H, (un)substituted alkyl]. Methods and intermediates for synthesizing the compds. are also described. For instance, 4,4,7-trimethylchroman (prepn. given) was alkylated in the 6- and 8-positions using Et 5-(chloromethyl)-2-furoate (46% total yield), and the resulting esters were hydrolyzed to a mixt. of acids. This unsepd. mixt. was treated with SOCl2 and amidated with 2,4,6-trimethoxyphenylamine-HCl to give the invention compd. II and its chroman-6-position isomer, which were sepd. by HPLC. Several compds. exhibited high affinity (<100 nM) at human GnRH receptors. The compds. antagonized GnRH-stimulated inositol phosphate accumulation in cells with recombinant human GnRH receptors, and an example compd. reduced plasma LH levels in castrated male rats. Various biol. data for several hundred compds. are given.

IT **263854-65-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of non-peptide GnRH agents for regulating gonadotropin secretion)

RN 263854-65-5 CAPLUS

CN 2-Furancarboxamide, N-[5-[(4-nitrophenyl)sulfonyl]-2-thiazolyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (9CI)

L9 ANSWER 23 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
(CA INDEX NAME)



L9 ANSWER 24 OF 93 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:175817 CAPLUS

DOCUMENT NUMBER: 132:222529

TITLE: Preparation of heteroaromatic phosphonates as fructose 1,6-bisphosphatase inhibitors

INVENTOR(S): Dang, Qun; Kasibhatla, Srinivas Rao; Reddy, K. Raja; Erion, Mark D.; Reddy, M. Rami; Agarwal, Atul

PATENT ASSIGNEE(S): Metabasis Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 338 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

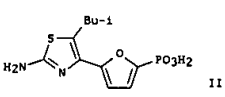
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000014095	A1	20000316	WO 1999-US20346	19990903
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1112275	A1	20010704	EP 1999-954595	19990903
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9913532	A	20011002	BR 1999-13532	19990903
JP 2002524463	T2	20020806	JP 2000-568853	19990903
NO 2001001174	A	20010509	NO 2001-1174	20010307

PRIORITY APPLN. INFO.: US 1998-135504P P 19980909
US 1998-111077P P 19981207
WO 1999-US20346 W 19990903

OTHER SOURCE(S): MARPAT 132:222529

GI



II

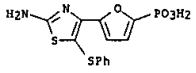
AB The title compds. R5XP(O)(YR1)2 [I; wherein X = (un)substituted (cyclic) linking group between R5 and P via 1-4 atoms, including O-1 N, O, or S atoms; or X = urea or carbamate; Y = independently O or NR6; when Y = O, R1 = H, alkyl, (un)substituted (alkyl)aryl or alicyclic, C(R2)2OC(O)NR22, NR2C(O)R3, C(R2)2OC(O)R3, etc.; when Y = NR6, R1 = H, [C(R2)2]qC(O)OR3, C(R4)2C(O)OR3, [C(R2)2]qC(O)SR3, cycloalkylene-C(O)OR3, etc.; R2 = H or R3; R3 = (ar)alkyl, aryl, or alicyclic; R4 = H, alkyl, etc.; R5 = (un)substituted benzothiazolyl, benzoxazolyl, thiazolyl, (is)oxazolyl, imidazolyl, pyrazolyl, tetrazolyl, pyridyl, pyrimidinyl, pyrazinyl, etc.;

L9 ANSWER 24 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
R6 = H, (acyloxy)alkyl, alkoxycarbonyloxyalkyl, or acyl; q = 1-2], and their prodrugs, were prepd. via high throughput and std. synthetic methods. I and their prodrugs were tested for a variety of biol. activities including inhibition of fructose 1,6-bisphosphatase (FBPase) and activity toward AMP binding enzymes, such as adenosine kinase. Compds. of the invention are useful in the treatment of diabetes and other diseases where inhibition of gluconeogenesis, control of blood glucose levels, redn. in glycogen storage, or redn. in insulin levels is beneficial. Thus, the phosphonofuranylthiazole (II) was prepd. and tested for inhibition of human liver FBTase (IC50 = 0.025 .mu.M), inhibition of gluconeogenesis (IC50 = 2.5 .mu.M), and blood glucose lowering (65% i.v.).

IT **261366-07-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of heteroarom. phosphonates as fructose 1,6-bisphosphatase inhibitors via high throughput and std. synthetic methods)

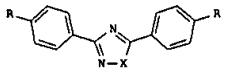
RN 261366-07-8 CAPLUS

CN Phosphonic acid, [5-[2-amino-5-(phenylthio)-4-thiazolyl]-2-furanyl]- (9CI) (CA INDEX NAME)

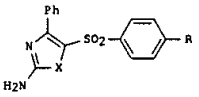


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 25 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:661846 CAPLUS
DOCUMENT NUMBER: 132:35654
TITLE: Selenium heterocycles. XLIII. Syntheses of 3,5-diaryl-1,2,4-thiadiazoles and 3,5-diaryl-1,2,4-selenadiazoles
AUTHOR(S): Shafiee, A.; Ebrahimzadeh, M. A.; Maleki, A.
CORPORATE SOURCE: Department of Chemistry, College of Pharmacy, The Medical Sciences University of Tehran, Tehran, Iran
SOURCE: Journal of Heterocyclic Chemistry (1999), 36(4), 901-903
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:35654
GI



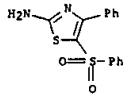
II



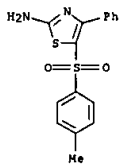
III

AB Cyclization of thiobenzamide, selenobenzamide, and 4-methylselenobenzamide in the presence of .alpha.-arylsulfonyl-.alpha.-bromoacetophenones 4-RlC6H4SO2CHBrCOPh (I, Rl = H, Me) gave the 3,5-diphenyl-1,2,4-thiadiazole II (X = S, R = H) and 3,5-diaryl-1,2,4-selenadiazoles II (X = Se, R = H, Me) in moderate yields. Reaction of I with thiourea or selenourea gave 2-amino-5-arylsulfonyl-4-phenylthiazoles or selenazoles III (R = H, Me; X = S, Se) in good yield.
IT **252679-72-4P 252679-74-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of (arylsulfonyl)thiazoles, and (arylsulfonyl)selenazoles by cyclization of (arylsulfonyl)bromoacetophenones with thio- and selenoureas)
RN 252679-72-4 CAPLUS
CN 2-Thiazolamine, 4-phenyl-5-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L9 ANSWER 25 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

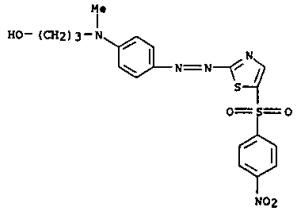


RN 252679-74-6 CAPLUS
CN 2-Thiazolamine, 5-[(4-methylphenyl)sulfonyl]-4-phenyl- (9CI) (CA INDEX NAME)



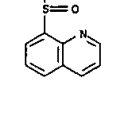
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 26 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:250677 CAPLUS
DOCUMENT NUMBER: 130:325605
TITLE: Effect of thermal crosslink on the temporal stability of electro-optic property in .alpha.-methylstyrene copolymer bearing a heterocyclic azo chromophore
AUTHOR(S): Choi, Dong Hoon
CORPORATE SOURCE: Division Textile, Chemical, Industrial Engineering, Institute Material Science Technology, Kyung Hee University, Kyungki, 449701, S. Korea
SOURCE: MCLC S&T, Section B: Nonlinear Optics (1999), 20(1-4), 127-141
CODEN: MCLOEB; ISSN: 1058-7268
PUBLISHER: Gordon & Breach Science Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The authors synthesized the crosslinkable second-order nonlinear optical (NLO) side-chain copolymer for studying the effect of temp. on the electrooptical effect. Heterocyclic azo chromophore was bound to .alpha.-methylstyrene polymer backbone. Particularly, 2 electron-withdrawing groups were overlaid to enhance the dipole moment in one chromophore. The resistance and elec. potential between the 2 electrodes was characterized with the temp. Electrooptical signal and coeff. were investigated using the modified reflection technique. The temp. effect can be considered fully relating to the generation of electrooptical effect during poling. Time resolved study gave much information how the electrooptical properties can be brought about.
IT **223904-14-1DP**, reaction products with isopropenyldimethylbenzyl isocyanate homopolymer and butanone oxime
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(temp. effects on electrooptical property of crosslinkable methylstyrene polymers bearing a heterocyclic azo chromophore)
RN 223904-14-1 CAPLUS
CN 1-Propanol, 3-[methyl[4-[[5-[(4-nitrophenyl)sulfonyl]-2-thiazolyl]azo]phenyl]amino]- (9CI) (CA INDEX NAME)

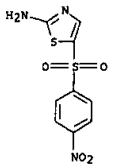


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 27 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:660058 CAPLUS
DOCUMENT NUMBER: 129:343437
TITLE: Synthesis and effects of novel thiazole derivatives against thrombocytopenia
AUTHOR(S): Tsuji, Kiyoshi; Ogino, Takashi; Seki, Nobuo; Sawada, Masae; Sudo, Yu; Nishigaki, Fusako; Manda, Toshitaka; Matsuo, Masaaki
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Fujisawa Pharmaceutical Co., Ltd., Osaka, 532-8514, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(18), 2473-2478
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 5-(2-Pyridylsulfonyl)-2-thiazolamine (I) was effective both in mitomycin C (MMC)-induced thrombocytopenia and in an animal model of idiopathic thrombocytopenic purpura (ITP). It also suppressed the increase of autoantibodies against platelets in the ITP model and showed no blood toxicity. Chem. modification of I led to the discovery of more potent compds. against MMC-induced thrombocytopenia.
IT **183548-83-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(effect of thiazole derivs. on thrombocytopenia)
RN 183548-83-6 CAPLUS
CN 2-Thiazolamine, 5-(8-quinolinylsulfinyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 28 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:373193 CAPLUS
DOCUMENT NUMBER: 129:68118
TITLE: Heteroaromatic Chromophore Functionalized Epoxy-Based Nonlinear Optical Polymers
AUTHOR(S): Wang, Xiaogong; Yang, Ke; Kumar, Jayant; Tripathy, Sukant K.; Chittibabu, Kethinni G.; Li, Lian; Lindsay, Geoffrey
CORPORATE SOURCE: Center for Advanced Materials Departments of Chemistry and Physics, University of Massachusetts, Lowell, MA, 01854, USA
SOURCE: Macromolecules (1998), 31(13), 4126-4134
CODEN: MAMOBX; ISSN: 0024-9297
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of epoxy-based second-order nonlinear optical (NLO) polymers contg. heteroarom. chromophores were designed. Precursor polymers were prepd. from diglycidyl ether of Bisphenol A and aniline or 4-(2-thienyl)aniline. The precursor polymers were post-functionalized by an azo-coupling reaction and tricyanovinylation to form a series of NLO polymers contg. heteroarom. chromophores. The versatility of the post-modification strategy previously reported was extended to include various heteroarom. chromophores in the polymers at the final stage of synthesis. The correlation between different heteroarom. chromophore structure and NLO properties of the polymers was extensively studied. Polymers contg. heteroarom. chromophores exhibit improved temporal stability and enhanced NLO activity. The d33 was 80 pm/V at 1.550 .mu.m for a representative polymer of the class contg. 2-(4-aminophenyl)-(5-tricyanovinyl)thiophene chromophores. The NLO properties of the poled polymers exhibit long-term stability at 80.degree..
IT **39565-05-4DP**, 2-Amino-5-(4-nitrophenylsulfonyl)thiazole, diazonium salts, reaction products with epoxy polyanilines
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and NLO properties of epoxy-polyaniline and epoxy-polythienylaniline functionalized with heteroarom. chromophores)
RN 39565-05-4 CAPLUS
CN 2-Thiazolamine, 5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

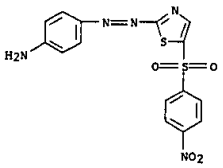


L9 ANSWER 29 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:336482 CAPLUS
DOCUMENT NUMBER: 129:47150
TITLE: Design, methodology and preparation of novel polymers for nonlinear optics
AUTHOR(S): Chittibabu, K. G.; Li, L.; Balasubramanian, S.; Wang, X.; Sukwattanasinitt, M.; Yang, K.; Kumar, J.; Sandman, D. J.; Tripathy, S. K.
CORPORATE SOURCE: Molecular Technologies Inc., Westford, MA, 01886, USA
SOURCE: Materials Research Society Symposium Proceedings (1998), 488(Electrical, Optical, and Magnetic Properties of Organic Solid-State Materials IV), 795-800
CODEN: MRSPDH; ISSN: 0272-9172
PUBLISHER: Materials Research Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB One-pot post-polymn. modification reactions such as azo-coupling and tricyanovinylation reactions were employed to synthesize polymers contg. different nonlinear optical (NLO) chromophoric as well as ionic functionalities. The authors have extended and established the versatility of earlier reported post-modification strategy to incorporate various heteroarom. chromophores as well as ionic functionalities in the polymers, at the final stage of synthesis. The correlation between different heteroarom. chromophore structures and the NLO properties of the polymers was extensively studied. Polymers contg. heteroarom. chromophores exhibit improved temporal stability and enhanced NLO activity. Polymers with ionic chromophores were employed to fabricate NLO active ultra-thin films using electrostatic self-assembling (ESA) technique. Attempts were also made to synthesize 2nd order NLO active polydiacetylene derivs. using post azo-coupling reaction.
IT **208263-91-6**
RL: PRP (Properties)
(design, methodol. and prepn. of novel polymers for nonlinear optics)
RN 208263-91-6 CAPLUS
CN Benzenamine, 4-[[5-[(4-nitrophenyl)sulfonyl]-2-thiazolyl]azo]-, polymer with 2,2'-[(1-methylethylidene)bis(4,1-phenyleneoxymethylene)]bis[oxirane] (9CI) (CA INDEX NAME)

CM 1

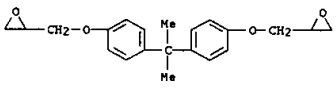
CRN 208263-90-5
CMF C15 H11 N5 O4 S2

L9 ANSWER 29 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

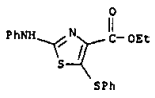


CM 2

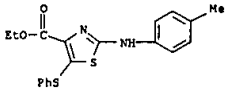
CRN 1675-54-3
CMF C21 H24 O4



L9 ANSWER 30 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:289965 CAPLUS
DOCUMENT NUMBER: 128:294728
TITLE: Synthesis of some ethyl 2-arylamino-5-phenylthiothiazole-4-carboxylates and their sulfones as potential analgesic, antiinflammatory, and antimicrobial agents
AUTHOR(S): Baddi, M. M.; Mahajanshetti, C. S.
CORPORATE SOURCE: Department of Chemistry, Karnatak University, Dharwad, 580 003, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1997), 36B(11), 1074-1076
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: National Institute of Science Communication, CSIR
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Et 2-arylamino-5-phenylthiothiazole-4-carboxylates have been synthesized by nucleophilic displacement reaction of Et 2-arylamino-5-bromothiazole-4-carboxylates and thiophenoxide anion in boiling ethanol. The corresponding sulfones have been obtained by oxidn. with hydrogen peroxide in glacial acetic acid. The phenylthio derivs. possess good analgesic, antiinflammatory, and fungicidal activities.
IT **206183-26-8P 206183-27-9P 206183-28-0P**
206183-29-1P 206183-30-4P 206183-31-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and analgesic, antiinflammatory, and antimicrobial activities of Et (arylamino)(phenylthio)thiazolecarboxylates and their sulfones)
RN 206183-26-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(phenylamino)-5-(phenylthio)-, ethyl ester (9CI) (CA INDEX NAME)

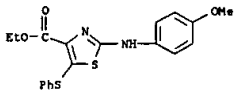


RN 206183-27-9 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(4-methylphenyl)amino]-5-(phenylthio)-, ethyl ester (9CI) (CA INDEX NAME)

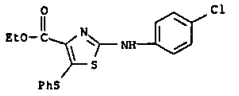


RN 206183-28-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(4-methoxyphenyl)amino]-5-(phenylthio)-, ethyl ester (9CI) (CA INDEX NAME)

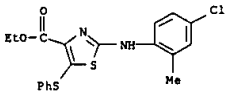
L9 ANSWER 30 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



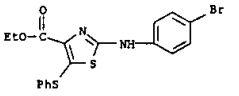
RN 206183-29-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(4-chlorophenyl)amino]-5-(phenylthio)-, ethyl ester (9CI) (CA INDEX NAME)



RN 206183-30-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(4-chloro-2-methylphenyl)amino]-5-(phenylthio)-, ethyl ester (9CI) (CA INDEX NAME)

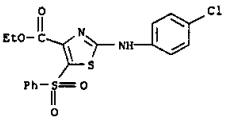


RN 206183-31-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(4-bromophenyl)amino]-5-(phenylthio)-, ethyl ester (9CI) (CA INDEX NAME)

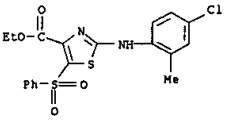


IT **206183-32-6P 206183-33-7P 206183-34-8P**
206183-35-9P 206183-36-0P 206183-37-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and analgesic, antiinflammatory, and antimicrobial activities of Et (arylamino)(phenylthio)thiazolecarboxylates and their sulfones)

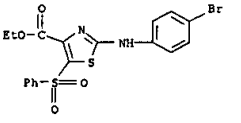
L9 ANSWER 30 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



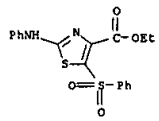
RN 206183-36-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(4-chloro-2-methylphenyl)amino]-5-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



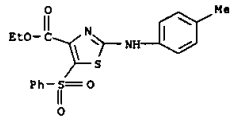
RN 206183-37-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(4-bromophenyl)amino]-5-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



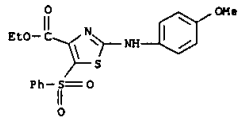
L9 ANSWER 30 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 206183-32-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(phenylamino)-5-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 206183-33-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(4-methylphenyl)amino]-5-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

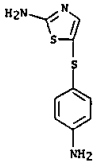


RN 206183-34-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(4-methoxyphenyl)amino]-5-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



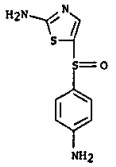
RN 206183-35-9 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(4-chlorophenyl)amino]-5-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 31 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:48670 CAPLUS
DOCUMENT NUMBER: 128:188300
TITLE: Discovery of FR115092: a novel antinephritic agent
AUTHOR(S): Ogino, Takashi; Tsuji, Kiyoshi; Tojo, Takashi; Igari, Norihiro; Seki, Nobuo; Sudo, Yu; Manda, Toshitaka; Nishigaki, Fusako; Matsuo, Masaaki
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Fujisawa Pharmaceutical Co., Ltd., Osaka, 532, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(1), 75-80
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of dapsone-related 4-aminophenyl and 2-aminothiazolyl derivs. was prepd., and their antinephritic activity and blood toxicity were evaluated. 5-(2-Pyridylsulfonyl)-2-thiazolamine (FR115092) was effective against two nephritis models, namely graft-vs.-host disease (GVHD) and autoimmune W/BF1 mice, and showed none of the blood toxicity obsd. with dapsone.
IT **133691-49-3P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of dapsone-related 4-aminophenyl and 2-aminothiazolyl derivs. and discovery of FR115092 as antinephritic agents)
RN 133691-49-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)thio]- (9CI) (CA INDEX NAME)

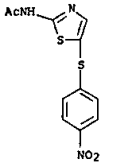


IT **133691-50-6P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of dapsone-related 4-aminophenyl and 2-aminothiazolyl derivs. and discovery of FR115092 as antinephritic agents)
RN 133691-50-6 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfinyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 31 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

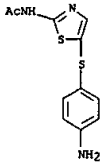


IT **7254-13-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of dapsone-related 4-aminophenyl and 2-aminothiazolyl derivs. and discovery of FR115092 as antinephritic agents)
RN 7254-13-9 CAPLUS
CN Acetamide, N-[5-[(4-nitrophenyl)thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)



IT **133691-48-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of dapsone-related 4-aminophenyl and 2-aminothiazolyl derivs. and discovery of FR115092 as antinephritic agents)
RN 133691-48-2 CAPLUS
CN Acetamide, N-[5-[(4-aminophenyl)thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)

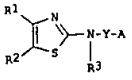
L9 ANSWER 31 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



L9 ANSWER 32 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:628901 CAPLUS
DOCUMENT NUMBER: 127:278192
TITLE: Preparation of 2-aminothiazole derivatives or salts thereof as antiulcer agents
INVENTOR(S): Shibata, Hisanari; Yonezawa, Kenji; Mori, Yukio; Shimizu, Katsumi
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09235278	A2	19970909	JP 1996-358646	19961227
PRIORITY APPLN. INFO.:			JP 1995-352765	19951229

OTHER SOURCE(S): MARPAT 127:278192
GI

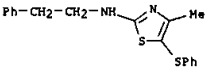


I

AB The title compds. [I; R1 = H, (un)substituted alkyl, CO2H, alkoxy, aralkyl; R2 = H, halo, (un)substituted alkyl, NH2, cyclic amino, CO2H, acyl, alkylthio, arylthio, alkoxy, aralkyl; R3 = H, (un)substituted aryl, heterocyclyl, cycloalkyl; Y = CR4R5CR6R7, CR4R5CR8R9CR6R7; R4, R5 = H, (un)substituted alkyl, CO2H; R6, R7 = H, halo, OH, cyano, CO2H, (un)substituted NH2, CONH2, cyclic aminocarbonyl, alkoxy, aryl, alkyl; R8, R9 = H, alkyl; provided that R1 = R2 .noteq. H or R1 and R2 may form an (un)substituted ring; R4 - R9 and the C atom in the ring A may form an (un)substituted carbocyclic or heterocyclic ring or R6 and R7 form an oxo or oxime group or (un)substituted lower alkylidene], which inhibit stomach acid secretion and show excellent antibacterial activity against Helicobacter pylori, are prepd. Thus, 3.0 g N-(2-phenylethyl)thiourea and 2.0 mL 3-chloro-2-butanone were dissolved in 20 mL ethanol and refluxed for 8 h to give 2.9 g 4,5-dimethyl-2-(2-phenylethyl)aminothiazole. I in vitro showed min. inhibitory concn. of 2-64 .mu.g/mL against H. Helicobacter pylori and showed IC50 of 0.0043-0.60 .mu.M against H+/K+-ATPase (ATPase).

IT **196797-60-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminothiazole derivs. as antiulcer agents, antibacterial agents for Helicobacter pylori, inhibitors of stomach acid secretion, and H+/K+-ATPase inhibitors)
RN 196797-60-1 CAPLUS
CN 2-Thiazolamine, 4-methyl-N-(2-phenylethyl)-5-(phenylthio)- (9CI) (CA INDEX NAME)

L9 ANSWER 32 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

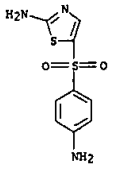


L9 ANSWER 33 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:541167 CAPLUS
DOCUMENT NUMBER: 127:214601
TITLE: Molecular modeling study on dapsone and sulfonamides comparing structures and properties with respect to anti-leprosy activity
AUTHOR(S): Scior, Thomas; Raddatz, Gunter; Figueroa, Rocio; Roth, Hermann J.; Bisswanger, Hans A.
CORPORATE SOURCE: Pharmaceutical Institute, Eberhard-Karls-Univ. Tubingen, Tubingen, D-72076, Germany
SOURCE: Journal of Molecular Modeling [Electronic Publication] (1997), 3(8), 332-337
CODEN: JMMOFK; ISSN: 0948-5023
URL: http://science.springer.de/jmm/abstracts/1997/70030332.htm
PUBLISHER: Journal of Molecular Modeling
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English

AB Despite the very close structural relationship between dapsone [4,4'-diaminodiphenyl sulfone, 4,4' sulfonyldianiline, diaphenyl sulfone, DDS] and sulfanilamide (p-aminobenzene sulfonamide), being the prototype of all other sulfonamides, only dapsone shows remarkable efficient pharmacol. activity against Mycobacterium leprae. Cells of certain micro-organism need para-aminobenzoic acid (PABA), the latter playing the role of natural substrate to biosynthesis of folic acid. Sulfones and sulfonamides show competitive antagonism as chem. analogs of PABA. It is most surprising that, despite of sharing this mol. mechanism, only dapsone shows anti-leprosy activity in vivo. The study was accomplished using mol. mechanics (SYBYL) and semiempirical methods (MOPAC). The calcns. of aromaticity, charges, protonation by MOPAC, and of lipophilicity by our empirical program lipophilicity give evidence that dapsone is more lipophilic (log P values 0.97) than sulfanilamide (-0.67). The extremely lipophilic cell wall of Mycobacterium leprae contributes to the surprising difference in anti-leprosy activity. Sulfonamides are more or less deprotonated (45 to 99%) at physiol. pH units, whereas dapsone is totally undissociated. This results in different permeability rates into the bacterial cells in vivo. Compared to other sulfones and sulfonamides, the unique combination of high lipophilicity and low ionic dissocn. favors anti-leprotic potency in dapsone. On principle, amide groups do not hinder activity, but cause acidity and subsequently dissocn.

IT 473-30-3, Thiazolsulfone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(mol. modeling study on dapsone and sulfonamides comparing structures and properties with respect to anti-leprosy activity)
RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 33 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



L9 ANSWER 34 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:708170 CAPLUS
DOCUMENT NUMBER: 125:328719
TITLE: Preparation of thiazoles and thiadiazoles for treatment of thrombocytopenia
INVENTOR(S): Matsuo, Masaaki; Ogino, Takashi; Tsuji, Kiyoshi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9630370	A2	19961003	WO 1996-JP773	19960326
WO 9630370	A3	19961128		
W: AU, CA, CN, HU, JP, KR, NO, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9602398	A	19961001	ZA 1996-2398	19960326
AU 9650153	A1	19961016	AU 1996-50153	19960326
PRIORITY APPLN. INFO.:			GB 1995-6189	19950327
			GB 1995-11226	19950602
			WO 1996-JP773	19960326
OTHER SOURCE(S):		MARPAT 125:328719		

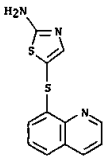
GI

I

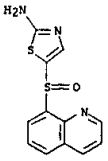
AB The title compds. [I; R1 = H, halo, NH2, etc.; R2 = N- or S-contg. unsatd. heterocyclic group; X = CH, N; A = S(O)m (wherein m = 0-2)], useful for prophylactic or therapeutic treatment of thrombocytopenia, rheumatism, nephritis, tumor or side effects of antitumor agents, were prepd. Thus, reaction of 2-amino-5-chlorothiazole.HCl with 2-quinolinethiol in the presence of NaHCO3 in DMF at 110.degree. afforded I [R1 = 2-NH2; AR2 = 5-(2-quinolylthio)-; X = CH] which showed 74% increase in platelet no. at 100 mg/kg in male ddY mice.

IT 183548-66-5P 183548-83-6P 183548-97-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thiazoles and thiadiazoles for treatment of thrombocytopenia)
RN 183548-66-5 CAPLUS
CN 2-Thiazolamine, 5-(8-quinolinylthio)- (9CI) (CA INDEX NAME)

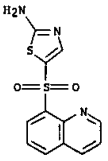
L9 ANSWER 34 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 183548-83-6 CAPLUS
CN 2-Thiazolamine, 5-(8-quinolinylsulfinyl)- (9CI) (CA INDEX NAME)



RN 183548-97-2 CAPLUS
CN 2-Thiazolamine, 5-(8-quinolinylsulfonyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 35 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:599236 CAPLUS
DOCUMENT NUMBER: 125:238703
TITLE: Dapsone and promin for the treatment of dementia
INVENTOR(S): Mcgeer, Patrick L.; Harada, Nobua; Kimura, Horoshi; Mcgeer, Edith G.; Schulzer, Michael
PATENT ASSIGNEE(S): The University of British Columbia, Can.
SOURCE: U.S., 7 pp., Cont.-in-part of U.S. Ser. No. 689,498, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

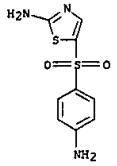
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5532219	A	19960702	US 1993-42658	19930405
WO 9324118	A1	19931209	WO 1992-CA228	19920529

W: JP
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE
PRIORITY APPLN. INFO.: US 1991-689498 B2 19910423
WO 1992-CA228 19920529

AB This invention pertains to the novel use of 4,4'-diaminodiphenylsulfone and its didextrose sulfonate deriv. and other closely related sulfones in the prevention and treatment of dementia (Alzheimer's disease). A method of preventing and treating dementia in a human being suffering from dementia which comprises administering to the human being a therapeutic amt. of a substance selected from the group consisting of 4,4'-diaminodiphenylsulfone, its didextrose sulfonate deriv., and sulfoxone, sulfetrone and thiazolsulfone, and therapeutically acceptable salts thereof.

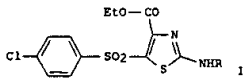
IT 473-30-3, Thiazolsulfone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dapsone and promin and other related sulfones for the treatment of dementia)

RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 35 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

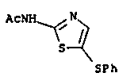
L9 ANSWER 36 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:120330 CAPLUS
DOCUMENT NUMBER: 124:289324
TITLE: Synthesis and antimicrobial activity of some ethyl 2-amino/acetamido-5-arylthiothiazole-4-carboxylates and their sulfones: an attempted synthesis of 2-amino/acetamido[1]benzothiopyrano[3,2-d]thiazol-9(H)-ones
AUTHOR(S): Baddi, M. M.; Mahajanshetti, C. S.
CORPORATE SOURCE: Dep. Chem., Karnatak Univ., Dharwad, 580 003, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1996), 35B(3), 233-7
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: Publications & Information Directorate, CSIR
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



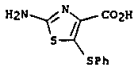
AB The starting compds. Et 2-amino/acetamido-5-arylthiothiazole-4-carboxylates (4 and 5) have been obtained by the reaction of Et 2-amino/acetamido-5-bromothiazole-4-carboxylates with thiophenoxide anions. Attempts to dehydrocyclise the acids obtained by the hydrolysis of 4 and 5 by PPA/H2SO4 to get the expected thioxanthones are unsuccessful since the cation formed in the presence of acidic medium undergoes easy decarboxylation on heating. Compds. I (R = H, Ac) showed promising antibacterial and antifungal activities.

IT 91137-89-2P 175603-93-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 91137-89-2 CAPLUS
CN Acetamide, N-[5-(phenylthio)-2-thiazolyl]- (9CI) (CA INDEX NAME)



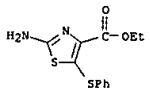
RN 175603-93-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-amino-5-(phenylthio)- (9CI) (CA INDEX NAME)



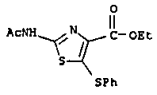
L9 ANSWER 36 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

IT 175603-81-3P 175603-84-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antimicrobial activity of some arylthiothiazolecarboxylates and their sulfones)

RN 175603-81-3 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-amino-5-(phenylthio)-, ethyl ester (9CI) (CA INDEX NAME)



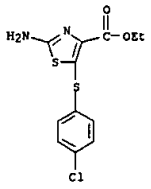
RN 175603-84-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(acetylamino)-5-(phenylthio)-, ethyl ester (9CI) (CA INDEX NAME)



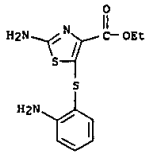
IT 175603-82-4P 175603-83-5P 175603-85-7P
175603-86-8P 175603-87-9P 175603-88-0P
175603-89-1P 175603-90-4P 175603-91-5P
175603-92-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antimicrobial activity of some arylthiothiazolecarboxylates and their sulfones)

RN 175603-82-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-amino-5-[(4-chlorophenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

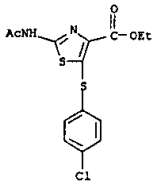
L9 ANSWER 36 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 175603-83-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-amino-5-[(2-aminophenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

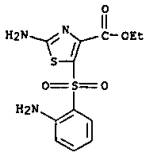


RN 175603-85-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(acetylamino)-5-[(4-chlorophenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

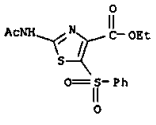


RN 175603-86-8 CAPLUS

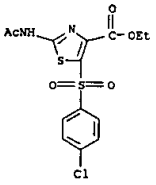
L9 ANSWER 36 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 175603-90-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(acetylamino)-5-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

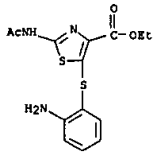


RN 175603-91-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(acetylamino)-5-[(4-chlorophenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

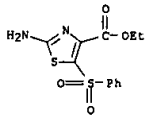


RN 175603-92-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(acetylamino)-5-[(2-aminophenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

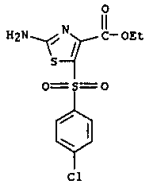
L9 ANSWER 36 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN 4-Thiazolecarboxylic acid, 2-(acetylamino)-5-[(2-aminophenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)



RN 175603-87-9 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-amino-5-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

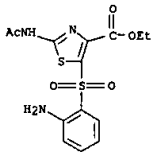


RN 175603-88-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-amino-5-[(4-chlorophenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

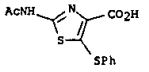


RN 175603-89-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-amino-5-[(2-aminophenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 36 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



IT **175603-94-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antimicrobial activity of some arylthiothiazolecarboxylates and their sulfones)
RN 175603-94-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(acetylamino)-5-(phenylthio)- (9CI) (CA INDEX NAME)

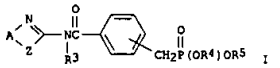


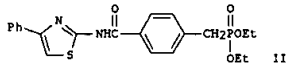
L9 ANSWER 37 OF 93 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:767402 CAPLUS
DOCUMENT NUMBER: 123:169893
TITLE: Preparation of dialkyl benzylphosphonate derivatives as pharmaceuticals
INVENTOR(S): Shoji, Yasuo; Tsuda, Yoshihiko; Tsutsumi, Kazuhiko; Inoe, Yasuhide; Nanami, Cheko
PATENT ASSIGNEE(S): Otsuka Pharma Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06306089	A2	19941101	JP 1993-143237	19930615
JP 2787407	B2	19980820		
PRIORITY APPLN. INFO.:			JP 1992-160981	19920619
			JP 1993-35292	19930224

OTHER SOURCE(S): MARPAT 123:169893
GI


I

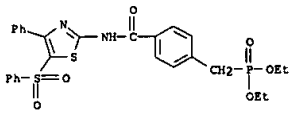

II

AB The title compds. I [A = CR1:CR2, etc.; R1, R2 = H, alkyl, etc.; R3 = H, Ph, etc.; R4, R5 = alkyl, etc.; Z = S, etc.], useful for the treatment of hyperlipidemia, cataract, and diabetes (no data), are prepd. The title compd. II was prepd. from 2-amino-4-phenylthiazole and 4-[(diethoxyphosphoryl)methyl]benzoyl chloride.

IT **167157-61-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of dialkyl benzylphosphonate derivs. as pharmaceuticals)

RN 167157-61-1 CAPLUS
CN Phosphonic acid, [[4-[[[4-phenyl-5-(phenylsulfonyl)-2-thiazolyl]amino]carbonyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 37 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



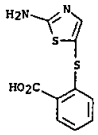
L9 ANSWER 38 OF 93 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1994:457397 CAPLUS
DOCUMENT NUMBER: 121:57397
TITLE: Synthesis and antimicrobial testing of certain thiazole, thiazolinone and triazole derivatives
AUTHOR(S): Goda, Fatma E.
CORPORATE SOURCE: Fac. Pharm., Univ. Mansoura, Mansoura, 35516, Egypt
SOURCE: Zhonghua Yaoxue Zazhi (1993), 45(4), 367-72
CODEN: CYHCEX; ISSN: 1016-1015
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Reaction of Et 2-[(2-acetamido-5-thiazolyl)thio]benzoate with alkylamines, thiosemicarbazide and hydrazine yielded the corresponding amides, thiosemicarbazone (I), and hydrazide (II), resp. Compd. I was utilized to prep. thiazolinones. II was used to synthesize sulfonylhydrazides and thiosemicarbazides. Cyclization of the latter gave 1,2,4-triazoles. Antimicrobial testing of some of the products was reported.

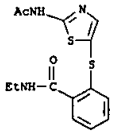
IT **132162-32-4**
RL: RCT (Reactant)
(esterification-amidation of)

RN 132162-32-4 CAPLUS
CN Benzoic acid, 2-[(2-amino-5-thiazolyl)thio]- (9CI) (CA INDEX NAME)



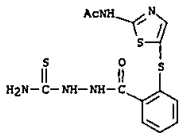
IT **156032-39-2P 156032-41-6P 156032-42-7P 156032-51-8P 156057-54-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antibacterial activity of)

RN 156032-39-2 CAPLUS
CN Benzamide, 2-[[2-(acetylamino)-5-thiazolyl]thio]-N-ethyl- (9CI) (CA INDEX NAME)

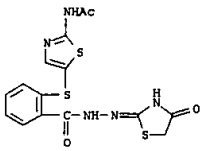


RN 156032-41-6 CAPLUS
CN Benzoic acid, 2-[[2-(acetylamino)-5-thiazolyl]thio]-, 2-(aminothioxomethyl)hydrazide (9CI) (CA INDEX NAME)

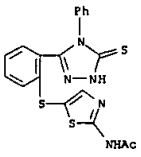
L9 ANSWER 38 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 156032-42-7 CAPLUS
CN Benzoic acid, 2-[[2-(acetylamino)-5-thiazolyl]thio]-, 2-(4,5-dihydro-4-oxo-2-thiazolyl)hydrazide (9CI) (CA INDEX NAME)

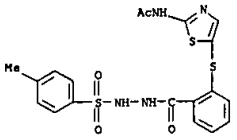


RN 156032-51-8 CAPLUS
CN Acetamide, N-[5-[[2-(4,5-dihydro-4-phenyl-5-thioxo-1H-1,2,4-triazol-3-yl]phenyl]thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)

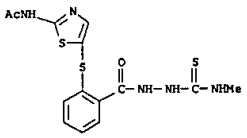


RN 156057-54-4 CAPLUS
CN Benzoic acid, 2-[[2-(acetylamino)-5-thiazolyl]thio]-, 2-[(4-methylphenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)

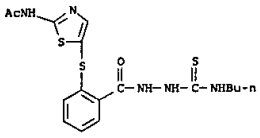
L9 ANSWER 38 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



IT **156032-46-1P 156032-47-2P 156032-48-3P**
156158-73-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization of)
RN 156032-46-1 CAPLUS
CN Benzoic acid, 2-[[2-(acetylamino)-5-thiazolyl]thio]-, 2-[(methylamino)thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

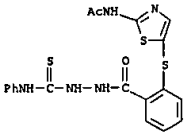


RN 156032-47-2 CAPLUS
CN Benzoic acid, 2-[[2-(acetylamino)-5-thiazolyl]thio]-, 2-[(butylamino)thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

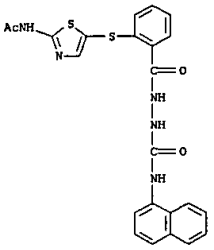


RN 156032-48-3 CAPLUS
CN Benzoic acid, 2-[[2-(acetylamino)-5-thiazolyl]thio]-, 2-[(phenylamino)thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

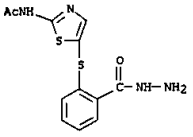
L9 ANSWER 38 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 156158-73-5 CAPLUS
CN Benzoic acid, 2-[[2-(acetylamino)-5-thiazolyl]thio]-, 2-[(1-naphthalenylamino)carbonyl]hydrazide (9CI) (CA INDEX NAME)

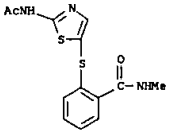


IT **156032-44-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reactions of)
RN 156032-44-9 CAPLUS
CN Benzoic acid, 2-[[2-(acetylamino)-5-thiazolyl]thio]-, hydrazide (9CI) (CA INDEX NAME)

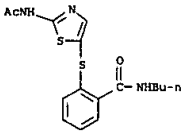


L9 ANSWER 38 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

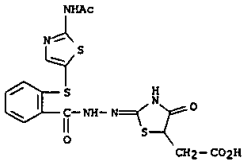
IT **156032-38-1P 156032-40-5P 156032-43-8P**
156032-45-0P 156032-49-4P 156032-50-7P
156032-52-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 156032-38-1 CAPLUS
CN Benzamide, 2-[[2-(acetylamino)-5-thiazolyl]thio]-N-methyl- (9CI) (CA INDEX NAME)



RN 156032-40-5 CAPLUS
CN Benzamide, 2-[[2-(acetylamino)-5-thiazolyl]thio]-N-butyl- (9CI) (CA INDEX NAME)

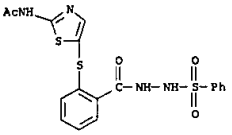


RN 156032-43-8 CAPLUS
CN 5-Thiazoleacetic acid, 2-[2-[2-[[2-(acetylamino)-5-thiazolyl]thio]benzoyl]hydrazino]-4,5-dihydro-4-oxo- (9CI) (CA INDEX NAME)

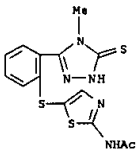


RN 156032-45-0 CAPLUS
CN Benzoic acid, 2-[[2-(acetylamino)-5-thiazolyl]thio]-, 2-

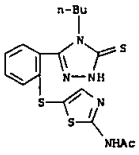
L9 ANSWER 38 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
(phenylsulfonyl)hydrazide (9CI) (CA INDEX NAME)



RN 156032-49-4 CAPLUS
CN Acetamide, N-[5-[[2-(4,5-dihydro-4-methyl-5-thioxo-1H-1,2,4-triazol-3-yl)phenyl]thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)

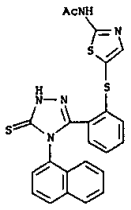


RN 156032-50-7 CAPLUS
CN Acetamide, N-[5-[[2-(4-butyl-4,5-dihydro-5-thioxo-1H-1,2,4-triazol-3-yl)phenyl]thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)

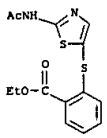


RN 156032-52-9 CAPLUS
CN Acetamide, N-[5-[[2-[4,5-dihydro-4-(1-naphthalenyl)-5-thioxo-1H-1,2,4-triazol-3-yl]phenyl]thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 38 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



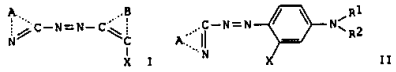
IT **156032-37-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., antibacterial activity and reactions of)
RN 156032-37-0 CAPLUS
CN Benzoic acid, 2-[[2-(acetylamino)-5-thiazolyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 39 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:257523 CAPLUS
DOCUMENT NUMBER: 120:257523
TITLE: Write-once-read-many (WORM) optical disk containing azo compound and azo metal chelate compound
INVENTOR(S): Maeda, Shuichi; Imamura, Satoru
PATENT ASSIGNEE(S): Mitsubishi Kasei Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

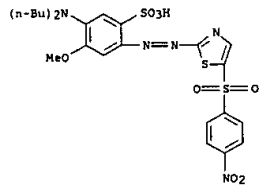
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04361088	A2	19921214	JP 1991-137566	19910610
JP 3019469	B2	20000313		

OTHER SOURCE(S): MARPAT 120:257523
GI



AB The title optical recording material (e.g., compact disk) comprising a substrate, a recording layer, a metal light-reflecting layer, and a protective layer and having .gtoreq.65% light reflectivity contains an azo compd. and an azo metal chelate compd., in which the azo compd. is represented by I [A = heterocyclyl residue; B = arom. ring or heterocyclyl residue; X = reactive H-contg. group] or II [R1,2 = H, alkyl, aryl, alkenyl, cycloalkyl; X = moiety contg. reactive H or SO3H; and benzene ring may have a substituent].
IT **150125-10-3**
RL: USES (Uses)
(write-once-read-many (WORM) optical disk contg.)
RN 150125-10-3 CAPLUS
CN Benzenesulfonic acid, 5-(dibutylamino)-4-methoxy-2-[[5-[(4-nitrophenyl)sulfonyl]-2-thiazolyl]azo]- (9CI) (CA INDEX NAME)

L9 ANSWER 39 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

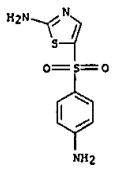


L9 ANSWER 40 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:95814 CAPLUS
DOCUMENT NUMBER: 120:95814
TITLE: Dapsone and promin for the treatment of dementia
INVENTOR(S): Mcgeer, Patrick L.; Harada, Nobuo; Kimura, Hiroshi; Mcgeer, Edith G.; Schulzer, Michael
PATENT ASSIGNEE(S): University of British Columbia, Can.
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9324118	A1	19931209	WO 1992-CA228	19920529
W: JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
EP 642337	A1	19950315	EP 1992-910598	19920529
EP 642337	B1	19970903		
R: DE, FR, GB, IT				
JP 07506804	T2	19950727	JP 1992-509618	19920529
JP 3295423	B2	20020624		
US 5532219	A	19960702	US 1993-42658	19930405

PRIORITY APPLN. INFO.: US 1991-689498 B2 19910423
WO 1992-CA228 W 19920529

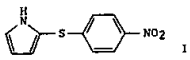
AB 4,4'-Diaminodiphenylsulfone and its didextrose sulfonate deriv. and other closely related sulfones are useful in the treatment of dementia (Alzheimer's disease). A formal survey of leprosy hospitals in Japan showed that there was significantly less prevalence of dementia amongst dapsone- and promin-treated leprosy patients compared with those who had been off such drugs for at least 5 yr. It was therefore concluded that dapsone and promin, when administered on a daily dosage basis to the elderly patient, have a preventative action against dementia.
IT **473-30-3**, Thiazolsulfone
RL: BIOL (Biological study)
(dementia treatment with)
RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 41 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:637604 CAPLUS
DOCUMENT NUMBER: 119:237604
TITLE: Organic nonlinear optical material
INVENTOR(S): Kawamonzen, Yoshihiro; Mori, Yasushi
PATENT ASSIGNEE(S): Tokyo Shibaura Electric Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

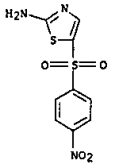
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05196976	A2	19930806	JP 1992-132127	19920525
PRIORITY APPLN. INFO.:			JP 1991-301731	19911118

OTHER SOURCE(S): MARPAT 119:237604
GI



I

AB The material consists of ether, sulfide, or sulfone deriv. AzYAr [Az = (substituted) electron-donating heterocyclic group, electron-donating heterocyclic group-substituted arom. hydrocarbon or heterocyclic group; Ar = (substituted) electron attractive heterocyclic group, electron attractive group-substituted arom. hydrocarbon or heterocyclic group; Y = O, S, SO2]. I showed high 2nd harmonic generation and high transmittance for blue light.
IT **39565-05-4**
RL: RCT (Reactant)
(reaction of, with methoxytetrahydrofuran)
RN 39565-05-4 CAPLUS
CN 2-Thiazolamine, 5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

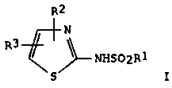


L9 ANSWER 41 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

L9 ANSWER 42 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:539216 CAPLUS
DOCUMENT NUMBER: 119:139216
TITLE: Preparation of N-(2-thiazolyl)sulfonamides as anti-inflammatory, antipyretic, analgesic, and antiallergy agents
INVENTOR(S): Yoshikawa, Yoshinari; Saito, Hideji; Oochi, Yutaka; Hatayama, Katsuo
PATENT ASSIGNEE(S): Taisho Pharma Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

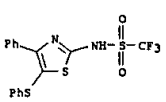
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05070446	A2	19930323	JP 1991-234416	19910913

OTHER SOURCE(S): MARPAT 119:139216
GI



I

AB The title compds. I [R1 = lower haloalkyl; R2 = H, alkyl, cycloalkyl, lower alkoxycarbonyl, CO2H, CH2Ph, Bz, SPh, naphthyl, pyridyl; R3 = (lower alkoxy)phenyl, biphenylyl] are prepd. Br was gradually added to a mixt. of AlCl3, cyclohexylmethyl 4-methoxyphenyl ketone (3.0 g), and CHCl3, and the reaction mixt. was stirred for 1 h, and then treated with an aq. Na2S2O3 soln. for 5 min. The obtained oily matter in EtOH was treated with 1.5 g thiourea under reflux for 6 h to give 1.3 g 2-amino-5-cyclohexyl-4-(4-methoxyphenyl)thiazole, 0.3 g of which in CH2Cl2 was treated with (CF3SO2)2O and Et3N at 0.degree. for 2 h to give 0.15 g I (R1 = CF3, R2 = 5-cyclohexyl, R3 = 4-C6H4OMe-4) (II). II showed 52.5% inhibition on carrageenan-induced edema in rats, vs. 38.2% for ibuprofen.
IT **149833-74-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as anti-inflammatory and antipyretic and analgesic and antiallergy agent)
RN 149833-74-9 CAPLUS
CN Methanesulfonamide, 1,1,1-trifluoro-N-[4-phenyl-5-(phenylthio)-2-thiazolyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 42 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

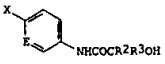
L9 ANSWER 43 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:516518 CAPLUS
DOCUMENT NUMBER: 119:116518
TITLE: Therapeutic amides
INVENTOR(S): Russell, Keith; Ohnmacht, Cyrus John; Gibson, Keith Hopkinson
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK
SOURCE: Eur. Pat. Appl., 58 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 524781	A1	19930127	EP 1992-306588	19920717
EP 524781	B1	19960327		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
AT 136027	E	19960415	AT 1992-306588	19920717
ES 2084944	T3	19960516	ES 1992-306588	19920717
AU 9220476	A1	19930128	AU 1992-20476	19920723
AU 648423	B2	19940421		
ZA 9205559	A	19930331	ZA 1992-5559	19920723
US 5272163	A	19931221	US 1992-918982	19920723
IL 102626	A1	19961205	IL 1992-102626	19920723
CA 2074605	AA	19930126	CA 1992-2074605	19920724
NO 9202942	A	19930126	NO 1992-2942	19920724
NO 178300	B	19951120		
NO 178300	C	19960228		
HU 62262	A2	19930428	HU 1992-2429	19920724
HU 213605	B	19970828		
RU 2074173	C1	19970227	RU 1992-5052538	19920724
CZ 282503	B6	19970716	CZ 1992-2342	19920724
PL 171933	B1	19970731	PL 1992-295405	19920724
PL 171991	B1	19970731	PL 1992-311242	19920724
SK 280516	B6	20000313	SK 1992-2342	19920724
CN 1069727	A	19930310	CN 1992-109759	19920725
CN 1038413	B	19980520		
JP 05286915	A2	19931102	JP 1992-199954	19920727
JP 3192228	B2	20010723		
US 5382598	A	19950117	US 1993-126350	19930924
US 5474999	A	19951212	US 1994-329188	19941026
US 5565477	A	19961015	US 1995-476007	19950607
US 5565465	A	19961015	US 1995-476413	19950607
US 5567735	A	19961022	US 1995-476407	19950607
US 5684198	A	19971104	US 1996-701820	19960823

PRIORITY APPLN. INFO.:
GB 1991-16069 A 19910725
GB 1992-9416 A 19920430
US 1992-918982 A3 19920723
US 1993-126350 A3 19930924
US 1994-329188 A3 19941026
US 1995-476007 A1 19950607

OTHER SOURCE(S): MARPAT 119:116518
GI

L9 ANSWER 43 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

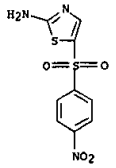


I

AB The title compds. I (E = N, CZ where C is a ring C and Z is a substituent; when E = CZ, Z = H, -CN, halo, OH, C1-4 alkyl or alkoxy and X = ArY where Y = CO, SO, SO2 and Ar is substituted Ph or 5- or 6-membered heteroaryl or Z = PhS, PhSO, PhSO2 when X = -CN; R2, R3 = C1-3 alkyl optionally substituted by F or Cl, R2CR3 = cycloalkyl optionally substituted by F) were prepd. as cell potassium channel openers, useful in the treatment of urinaryl incontinence in mammals (no data). E.g., 1.42 g 3,3,3-trifluoro-2-hydroxy-2-methylpropanoic acid in 13 mL dimethylacetamide at -20.degree. was treated with 1.13 g thionyl chloride, then with 1.51 g 4-(2-fluorophenylsulfonyl)benzenamine to give 827 of the corresponding propanamide.

IT **39565-05-4**
RL: PROC (Process)
(conversion of, to [(nitrophenyl)sulfonyl]thiazole)

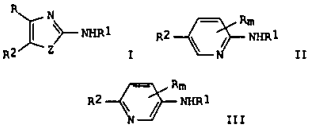
RN 39565-05-4 CAPLUS
CN 2-Thiazolamine, 5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 44 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:540523 CAPLUS
DOCUMENT NUMBER: 117:140523
TITLE: Photographic coupler having 2-aminoazole or 2-aminopyridine structure which provides improved dye stability
INVENTOR(S): Kita, Hiroshi; Kaneko, Yutaka
PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04118648	A2	19920420	JP 1990-186462	19900713

GI



I II

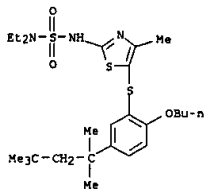
III

AB The claimed coupler has the structures I, II or III (R = H, substituent; R1 = substituent having Hammett's const. 0.3 .ltoreq. .sigma.p .ltoreq. 1.5; R2 = H, a group leaving from the coupler moiety at the coupling reaction with the oxidized developing agent; Z = O, S; m = 0-3). It develops cyan dye image having an improved dye stability when stored at high temp., high humidity or actinic light.

IT **143457-17-4**
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. cyan coupler)

RN 143457-17-4 CAPLUS
CN Sulfamide, N'-[5-[[2-butoxy-5-(1,1,3,3-tetramethylbutyl)phenyl]thio]-4-methyl-2-thiazolyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

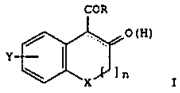
L9 ANSWER 44 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



L9 ANSWER 45 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:583282 CAPLUS
DOCUMENT NUMBER: 115:183282
TITLE: Preparation of [(hetero)arylacyl]tetralones, -chromenones, etc. as antiallergy and antiinflammatory agents
INVENTOR(S): Kokura, Toshihide; Nako, Kazunari; Ito, Fumitaka; Nakane, Masami
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 439265	A1	19910731	EP 1991-300224	19910111
EP 439265	B1	19940323		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 03220165	A2	19910927	JP 1990-12342	19900122
JP 07017589	B4	19950301		
AT 103270	E	19940415	AT 1991-300224	19910111
ES 2062678	T3	19941216	ES 1991-300224	19910111
CA 2034546	AA	19910723	CA 1991-2034546	19910118
CA 2034546	C	19970211		
FI 9100300	A	19910723	FI 1991-300	19910121
US 5166161	A	19921124	US 1991-644644	19910122
PRIORITY APPLN. INFO.:			JP 1990-12342	19900122
			EP 1991-300224	19910111

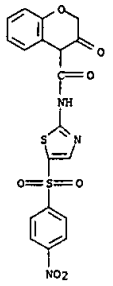
OTHER SOURCE(S): MARPAT 115:183282
GI



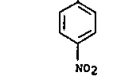
I

AB Title compds. I [R = substituted Ph, thienyl, phenylalkyl, phenylamino, pyridylamino, pyrazolylamino, benzothiazol-2-ylamino, thiazol-2-ylamino; X = CH2 Me2C, O, S, MeN; Y = H, Me, MeO, F, Cl, F3C, quinolin-2-ylmethyl; n = 1, 2] inhibitors of cyclooxygenase and lipoxygenase useful as antiallergy and antiinflammatory agents (no data), are prepd. Et 3-hydroxy-2H-chromene-4-carboxylate and 2-amino-4-phenylthiazole in MePh were refluxed 3 h to give I (R = 4-phenyl-2-thiazolylamino, X = O, Y = H, n = 1).
IT **136526-79-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiallergy and antiinflammatory agent)
RN 136526-79-9 CAPLUS

L9 ANSWER 45 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN 2H-1-Benzopyran-4-carboxamide, 3,4-dihydro-N-[5-[(4-nitrophenyl)sulfonyl]-2-thiazolyl]-3-oxo- (9CI) (CA INDEX NAME)



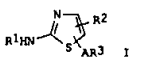
L9 ANSWER 46 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:467772 CAPLUS
DOCUMENT NUMBER: 115:67772
TITLE: Fluorescent markers for hypoxic cells: a study of novel heterocyclic compounds that undergo bioreductive binding
AUTHOR(S): Hodgkiss, R. J.; Begg, A. C.; Middleton, R. W.; Parrick, J.; Stratford, M. R. L.; Wardman, P.; Wilson, G. D.
CORPORATE SOURCE: Gray Lab. Cancer Res., Mt. Vernon Hosp., Northwood/Middlesex, HA6 2JR, UK
SOURCE: Biochem. Pharmacol. (1991), 41(4), 533-41
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The bioreductive metab. and binding of nitroarom. compds. has been suggested as a method for the identification of hypoxic tumor cells. Bound metabolites of suitable nitroaryl compds. (and some other reducible arom. compds.) may fluoresce, offering an alternative to radiolabeling or NMR, etc., as a diagnostic method. In this study the synthesis of some heteroarom. nitro compds. is given together with the results obtained from testing of these and other mainly nitro arom. compds. in vitro as potential bioreductive fluorescent probes for hypoxic cells in tumors. Compds. were incubated with oxygenated or hypoxic mammalian cell suspensions for various times before evaluation of the cellular fluorescence from bioreductive metabolites by fluorescence microscopy and flow cytometry. Among those compds. yielding fluorescent metabolites in cells, considerable variation in hypoxic-to-oxic differential fluorescence was obsd. The in vitro mammalian cell test system showed several of the compds. to be sufficiently promising to merit further investigation in vivo.
IT **39565-05-4**
RL: ANST (Analytical study)
(fluorescent marker, for hypoxic tumor cells)
RN 39565-05-4 CAPLUS
CN 2-Thiazolamine, 5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 47 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:429311 CAPLUS
DOCUMENT NUMBER: 115:29311
TITLE: Preparation of aminothiazoles as drugs
INVENTOR(S): Matsuo, Masaaki; Ogino, Takashi; Igari, Norihiro; Seno, Hachiro; Shimomura, Kyoichi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 43 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 412404	A2	19910213	EP 1990-114628	19900731
EP 412404	A3	19910612		
EP 412404	B1	19960131		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9005858	A	19910529	ZA 1990-5858	19900725
AU 9060045	A1	19910207	AU 1990-60045	19900731
AU 635758	B2	19930401		
AT 133667	E	19960215	AT 1990-114628	19900731
ES 2082805	T3	19960401	ES 1990-114628	19900731
IL 95281	A1	19960618	IL 1990-95281	19900803
CA 2022731	AA	19910208	CA 1990-2022731	19900806
NO 9003438	A	19910208	NO 1990-3438	19900806
NO 179638	B	19960812		
NO 179638	C	19961120		
CN 1049337	A	19910220	CN 1990-106739	19900806
CN 1027370	B	19950111		
JP 03068567	A2	19910325	JP 1990-208833	19900806
HU 57752	A2	19911230	HU 1990-4912	19900806
RU 2010026	C1	19940330	RU 1990-4830691	19900806
FI 96857	B	19960531	FI 1990-3879	19900806
FI 96857	C	19960910		
RU 2048468	C1	19951120	RU 1991-5010232	19911122
US 5256675	A	19931026	US 1992-867085	19920414
US 5369107	A	19941129	US 1993-90325	19930713
PRIORITY APPLN. INFO.:			GB 1989-18045	19890807
			GB 1990-3930	19900221
			US 1990-554413	19900719
			US 1992-867085	19920414

OTHER SOURCE(S): MARPAT 115:29311
GI



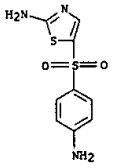
I

AB The title compds. [I; R1 = H, (halo)acyl; R2 = H, C1-6 alkyl, hydroxy(C1-6 alkyl), halo, CO2H; A = CH2, CO, C(:NOR4), S, SO, SO2, CH2S, CH2SO, CH2SO2; R3 = (substituted) aryl or N-contg. unsatd. heterocyclyl; R4 = C1-6 alkyl] were prepd. For example, a mixt. of 2-acetylamino-5-chlorothiazole, 2-mercaptopyridine, and K2CO3 in DMF was heated 3.5 h at

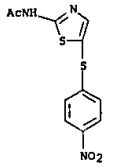
L9 ANSWER 47 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
130.degree. with stirring to give title compd. (I; R2 = H, A = S, R3 = 2-pyridyl, AR3 at 5 position) (II; R1 = Ac) which was deacylated by 6N HCl in AcOH to give II.2HCl (R1 = H). Subsequent oxidn. by 3-chloroperbenzoic acid gave II (R1 = H, A = SO) (III). In a test for antinephritic activity in mice with nephritis induced by DBA/2 spleen cells, 100 mg III/kg/day orally for 8 wk inhibited urine albumin by 98% . III also inhibited arthritis, increased platelet count decreased by mitomycin C, and restored body wt. decreased by mitomycin C in mice.

IT **473-30-3P 7254-13-9P 133691-48-2P**
133691-49-3P 133691-50-6P 133691-51-7P
133691-55-1P 133691-56-2P 133691-57-3P
133691-66-4P 133691-67-5P 133691-69-7P
133691-70-0P 133691-71-1P 133691-80-2P
133691-82-4P 133691-83-5P 133691-84-6P
133691-85-7P 133691-86-8P 134621-54-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)

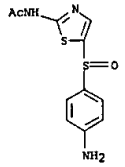
RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



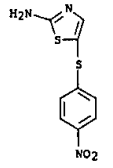
RN 7254-13-9 CAPLUS
CN Acetamide, N-[5-[(4-nitrophenyl)thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)



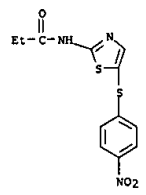
L9 ANSWER 47 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN Acetamide, N-[5-[(4-aminophenyl)sulfinyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 133691-55-1 CAPLUS
CN 2-Thiazolamine, 5-[(4-nitrophenyl)thio]- (9CI) (CA INDEX NAME)

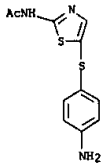


RN 133691-56-2 CAPLUS
CN Propanamide, N-[5-[(4-nitrophenyl)thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)

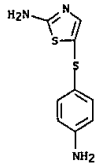


RN 133691-57-3 CAPLUS
CN Propanamide, N-[5-[(4-aminophenyl)thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)

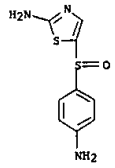
L9 ANSWER 47 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 133691-48-2 CAPLUS
CN Acetamide, N-[5-[(4-aminophenyl)thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 133691-49-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)thio]- (9CI) (CA INDEX NAME)

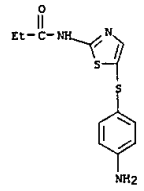


RN 133691-50-6 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfinyl]- (9CI) (CA INDEX NAME)

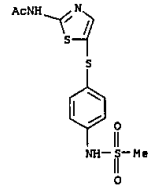


RN 133691-51-7 CAPLUS

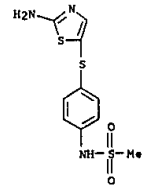
L9 ANSWER 47 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 133691-66-4 CAPLUS
CN Acetamide, N-[5-[[4-[(methylsulfonyl)amino]phenyl]thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)

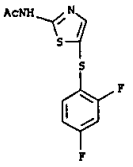


RN 133691-67-5 CAPLUS
CN Methanesulfonamide, N-[4-[(2-amino-5-thiazolyl)thio]phenyl]- (9CI) (CA INDEX NAME)

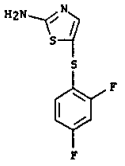


RN 133691-69-7 CAPLUS
CN Acetamide, N-[5-[(2,4-difluorophenyl)thio]-2-thiazolyl]- (9CI) (CA INDEX

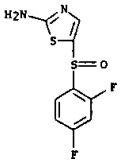
L9 ANSWER 47 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
NAME)



RN 133691-70-0 CAPLUS
CN 2-Thiazolamine, 5-[(2,4-difluorophenyl)thio]- (9CI) (CA INDEX NAME)

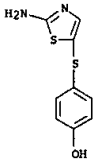


RN 133691-71-1 CAPLUS
CN 2-Thiazolamine, 5-[(2,4-difluorophenyl)sulfinyl]- (9CI) (CA INDEX NAME)

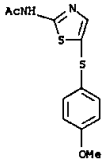


RN 133691-80-2 CAPLUS
CN 4-Thiazolemethanol, 2-amino-5-(phenylthio)-, dihydrochloride (9CI) (CA INDEX NAME)

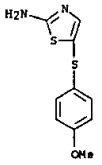
L9 ANSWER 47 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 133691-85-7 CAPLUS
CN Acetamide, N-[5-[(4-methoxyphenyl)thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)

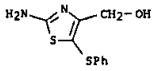


RN 133691-86-8 CAPLUS
CN 2-Thiazolamine, 5-[(4-methoxyphenyl)thio]- (9CI) (CA INDEX NAME)



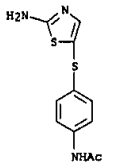
RN 134621-54-8 CAPLUS
CN Methanesulfonamide, N-[4-[(2-amino-5-thiazolyl)sulfinyl]phenyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 47 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

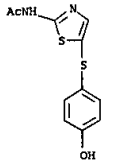


●2 HCl

RN 133691-82-4 CAPLUS
CN Acetamide, N-[4-[(2-amino-5-thiazolyl)thio]phenyl]- (9CI) (CA INDEX NAME)

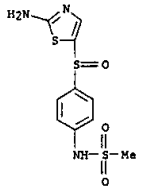


RN 133691-83-5 CAPLUS
CN Acetamide, N-[5-[(4-hydroxyphenyl)thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)

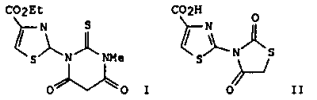


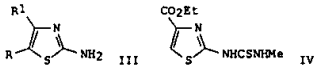
RN 133691-84-6 CAPLUS
CN Phenol, 4-[(2-amino-5-thiazolyl)thio]- (9CI) (CA INDEX NAME)

L9 ANSWER 47 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



L9 ANSWER 48 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:101909 CAPLUS
DOCUMENT NUMBER: 114:101909
TITLE: Synthesis of certain thiazolylpyrimidine-4,6-diones and thiazolyl-4-thiazolidinones as potential schistosomicidal agents
AUTHOR(S): El-Subbagh, Hussein I.
CORPORATE SOURCE: Fac. Pharm., Univ. Mansoura, Mansoura, Egypt
SOURCE: Sulfur Lett. (1990), 11(6), 249-57
CODEN: SULED2; ISSN: 0278-6117
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



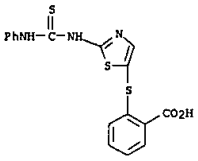


AB The prepn. of title compds. e.g., I and II, from 2-aminothiazoles III (R = Br, R1 = H; R = H, R1 = EtO2C) is reported. Thus, III (R = H, R1 = EtO2C) was treated with MeNCS to give thiourea IV, which reacted with CH2(CO2H)2-AcCl to give I.

IT **132162-34-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclocondensation of, with chloroacetic acid)

RN 132162-34-6 CAPLUS

CN Benzoic acid, 2-[[2-[[(phenylamino)thioxomethyl]amino]-5-thiazolyl]thio]- (9CI) (CA INDEX NAME)

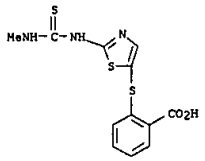


L9 ANSWER 48 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

IT **132162-33-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclocondensation of, with malonic or chloroacetic acid)

RN 132162-33-5 CAPLUS

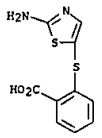
CN Benzoic acid, 2-[[2-[[(methylamino)thioxomethyl]amino]-5-thiazolyl]thio]- (9CI) (CA INDEX NAME)



IT **132162-32-4P**, 2-(2-Aminothiazol-5-ylthio)benzoic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with thiocyanates)

RN 132162-32-4 CAPLUS

CN Benzoic acid, 2-[(2-amino-5-thiazolyl)thio]- (9CI) (CA INDEX NAME)



L9 ANSWER 49 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:607849 CAPLUS
DOCUMENT NUMBER: 113:207849
TITLE: Hydrogel dye film sensing elements and their preparation
INVENTOR(S): Boesterling, Bernhard J.; Chang, Daniel M.; Madonik, Alex M.; Stone, Robert T.
PATENT ASSIGNEE(S): Nellcor, Inc., USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9000572	A1	19900125	WO 1989-US3015	19890710
W: AU, BB, BG, BR, DK, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 8939653	A1	19900205	AU 1989-39653	19890710
EP 406334	A1	19910109	EP 1989-908107	19890710
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
PRIORITY APPLN. INFO.:			US 1988-217413	19880711
			WO 1989-US3015	19890710

OTHER SOURCE(S): MARPAT 113:207849

AB Reactive azo dyes R2N:NR3R4 or R4R2N:NR3 [R2 = (un)substituted Ph or naphthyl or C2-12 heterocyclyl arom. radical; R3 = sulfonated naphthol or aminonaphthol; R4 is a reactive substituent capable of binding the dye mol. to a polymeric substrate without affecting the pH-indicating character of the dye] are prepd. The dyes have a pKa of 6-8 and exhibit visible light absorbance that reversibly shifts as a function of pH. Also prepd. are hydrogels and dye films incorporating the dyes and hydrogels. Sensing elements incorporating the dye films are described. The sensing elements are useful e.g. in body fluid analyzers for detn. of pH or pCO2 in e.g. blood. Thus, the diazonium salt of 2-bromo-4,6-dinitroaniline was reacted with Na 4-(2-bromoacrylamido)-5-hydroxynaphthalenesulfonate (prepn. given), and the product was further reacted with Tris to form a reactive dye which was used, along with polyurethane hydrogel, to prep. a dye film. A multilayer sensing element incorporating the dye films of the invention is described, as is a body-fluid anal. app. for its use.

IT **128912-28-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for polyurethane hydrogel dye film prepn. for multilayer sensing element)

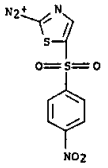
RN 128912-28-7 CAPLUS

CN 2-Thiazolediazonium, 5-[(4-nitrophenyl)sulfonyl]-, sulfate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 128912-27-6
CMF C9 H5 N4 O4 S2

L9 ANSWER 49 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



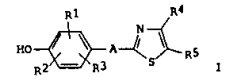
CM 2

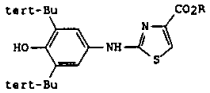
CRN 14808-79-8
CMF O4 S

L9 ANSWER 50 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:532167 CAPLUS
DOCUMENT NUMBER: 113:132167
TITLE: Preparation of thiazole derivatives as agents for inhibiting neoplasia of blood vessel
INVENTOR(S): Kanai, Kenichi; Goto, Kiyoto; Hashimoto, Kinji; Tsuda, Yoshiaki
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8800944	A1	19880211	WO 1987-JP166	19870317
W: CH, DE, GB, JP, US				
RW: FR				
JP 63039868	A2	19880220	JP 1986-183873	19860804
EP 275312	A1	19880727	EP 1987-901688	19870317
R: FR				
DE 3790438	T	19880825	DE 1987-3790438	19870317
CH 669790	A	19890414	CH 1988-1269	19870317
GB 2203146	A1	19881012	GB 1988-7032	19880324
US 5104889	A	19920414	US 1990-529694	19900529
PRIORITY APPLN. INFO.:			JP 1986-183873	19860804
			WO 1987-JP166	19870317
			US 1988-196204	19880328

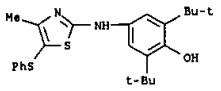
OTHER SOURCE(S): MARPAT 113:132167
GI


I

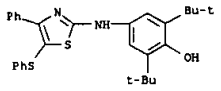

II

AB The title compds. (I; R1,R2 = C1-6 alkyl; R3 = H, C1-6 alkyl; optionally R2R3 = (CH2)4; R4,R5 = H, C1-20 alkyl, Ph, PhS, C1-6 alkylthio(C1-6 alkyl), halo, NO2, carbazoyl, HO2C, piperidiocarbonyl, etc.; A = NH, O, S, SO, SO2, C1-6 alkylene; excluding R3 = H, R4 = C1-6 alkyl or Ph, R5 = H, and A = NH), inhibiting neoplasia of blood vessel, were prepd. A soln. of 2.60 3,5-bis(1,1-dimethylethyl)-4-hydroxyphenylthiourea and 2.01 g

L9 ANSWER 50 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
BrCH2COCO2Et in EtOH was refluxed for 3 h to give 1.80 g a (thiazolylamino)phenol II (R = Et) (sic) which was reduced with LiAlH4 in THF to give, after salification with HCl in AcOEt, II.2HCl (R = H) (III). III inhibited the proliferation of fetal bovine heart derived endothelial cell in fetal bovine serum with IC50's of 5.76 .mu.g/mL in the absence of fibroblast growth factor (FGF) and 0.74 .mu.g/mL in the presence of FGF. An ointment formulation consisting of III 2, purified laurin 5, bleached bee wax 5, and white vaseline 88 g was given.
IT **110448-18-5P 116343-02-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as inhibitor of blood vessel neoplasia)
RN 110448-18-5 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[[4-methyl-5-(phenylthio)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)

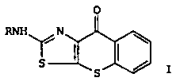


RN 116343-02-3 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[[4-phenyl-5-(phenylthio)-2-thiazolyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

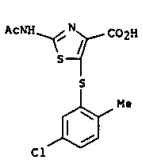


●2 HCl

L9 ANSWER 51 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:440534 CAPLUS
DOCUMENT NUMBER: 113:40534
TITLE: Synthesis of substituted 4H-thiazolo[4,5-b][1]benzothiopyran-4-ones as possible schistosomicidal agents
AUTHOR(S): El-Kerdawy, Mohamed M.; El-Emam, Ali A.; El-Subbagh, Hussein I.; Abushanab, Elie
CORPORATE SOURCE: Fac. Pharm., Univ. Mansoura, Mansoura, Egypt
SOURCE: Monatsh. Chem. (1989), 120(11), 991-5
CODEN: MOCMB7; ISSN: 0026-9247
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:40534
GI

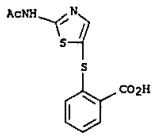

I

AB Reaction of Et 2-acetamido-5-bromothiazole-4-carboxylate with 2,5-Me2C6H3SH afforded the sulfide which was hydrolyzed to the corresponding carboxylic acid. Cyclization of the latter failed. However, reaction of 2-acetamido-5-bromothiazole with 2-HSC6H4CO2H yielded the sulfide, which was cyclized and hydrolyzed to give 2-amino-4H-thiazolo[4,5-b][1]benzothiopyran-4-one I (R = H), which was alkylated to give I [R = PhCH2, 2-(morpholino)ethyl, 2-(piperidino)ethyl, Me2NCH2CH2, Et2NCH2CH2].
IT **128014-69-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and decarboxylation of)
RN 128014-69-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(acetylamino)-5-[(5-chloro-2-methylphenyl)thio]- (9CI) (CA INDEX NAME)

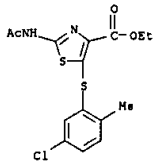


IT **128014-71-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and intramol. cyclocondensation reaction of, acetamidothiazolobenzothiopyranone from)
RN 128014-71-1 CAPLUS
CN Benzoic acid, 2-[[2-(acetylamino)-5-thiazolyl]thio]- (9CI) (CA INDEX

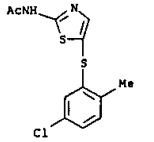
L9 ANSWER 51 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
NAME)



IT **128014-68-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and sapon. of)
RN 128014-68-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(acetylamino)-5-[(5-chloro-2-methylphenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)



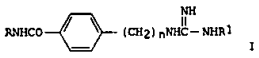
IT **128014-70-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 128014-70-0 CAPLUS
CN Acetamide, N-[5-[(5-chloro-2-methylphenyl)thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 52 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:138776 CAPLUS
DOCUMENT NUMBER: 112:138776
TITLE: Preparation of 4-guanidino-N-heterocyclylbenzamides as protease inhibitors
INVENTOR(S): Schnur, Rodney C.; Fliri, Anton F. J.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4874864	A	19891017	US 1988-197927	19880524
IL 90336	A1	19930131	IL 1989-90336	19890518
EP 343894	A1	19891129	EP 1989-305142	19890522
EP 343894	B1	19920610		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 02017177	A2	19900122	JP 1989-128599	19890522
JP 06067905	B4	19940831		
AT 77083	E	19920615	AT 1989-305142	19890522
ES 2032229	T3	19930116	ES 1989-305142	19890522
FI 8902497	A	19891125	FI 1989-2497	19890523
FI 91254	B	19940228		
FI 91254	C	19940610		
NO 8902058	A	19891127	NO 1989-2058	19890523
NO 173867	B	19931108		
NO 173867	C	19940216		
DK 8902490	A	19891127	DK 1989-2490	19890523
HU 49860	A2	19891128	HU 1989-2579	19890523
HU 201314	B	19901028		
AU 8935097	A1	19891130	AU 1989-35097	19890523
AU 602294	B2	19901004		
ZA 8903865	A	19910130	ZA 1989-3865	19890523
US 4948891	A	19900814	US 1989-391756	19890809
US 4948901	A	19900814	US 1989-391764	19890809

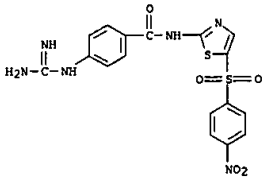
PRIORITY APPLN. INFO.: US 1988-197927 19880524
EP 1989-305142 19890522
OTHER SOURCE(S): CASREACT 112:138776; MARPAT 112:138776
GI



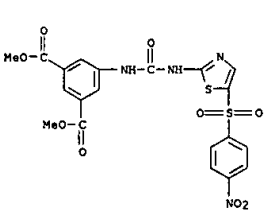
I

AB The title compds. (I; R = quinolin-8-yl, 6-methoxyquinolin-8-yl, quinolin-3-yl, 5-methylisoxazol-3-yl, indazol-5-yl, pyrimidin-2-yl, benzimidazol-2-yl, etc.; R1 = H, C1-3 alkyl; n = 0-2), useful as protease inhibitors/antiplasmin agents (no data), were prepd. Thus,

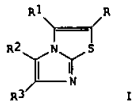
L9 ANSWER 52 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
4-[H2NC(:NH)NH]C6H4CO2H.HCl and MeC(:NSiMe3)OSiMe3 were refluxed in THF, cooled, treated with SOCl2, and the mixt. was stirred 5 min. 8-Aminoquinoline in THF was added and the mixt. was stirred 30 min to give I (R = quinolin-8-yl, R1 = H, n = 0).
IT 125788-86-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as protease inhibitor/antiplasmin agent)
RN 125788-86-5 CAPLUS
CN Benzamide, 4-[[(aminoiminomethyl)amino]-N-[5-[(4-nitrophenyl)sulfonyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 53 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:528955 CAPLUS
DOCUMENT NUMBER: 111:128955
TITLE: Thiazolylureas: effects on larval growth and development in the fall armyworm and tobacco budworm
AUTHOR(S): DeMilo, Albert B.; Loeb, Marcia J.; Redfern, Robert E.; Borkovec, Alexej B.; Hayes, Dora K.
CORPORATE SOURCE: Insect Reprod. Lab., ARS, Beltsville, MD, 20705, USA
SOURCE: J. Agric. Food Chem. (1989), 37(5), 1438-41
CODEN: JAFCAU; ISSN: 0021-8561
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 5-[[(2-Thiazolylamino)carbonyl]amino]-1,3-benzenedicarboxylic acid di-Me ester (I) prolonged larval development when fall armyworm (Spodoptera frugiperda) larvae were reared on a larval diet contg. 100 ppm I. Prolongation of development caused size and wt. increases in both larvae and pupae surviving treatment. Larval survival was also lowered at this dose, with insects dying as prepupae or morphol. aberrant larval-pupal intermediates. I elicited similar growth and development effects in the tobacco budworm (Heliothis virescens) but was not as toxic to larvae. Structure-activity relationships were detd. by testing 37 analogs of I against the fall armyworm. Comparisons between compds. were made on the basis of pupal wt. indexes. The diisopropyl ester analog of I was the most effective compd. against the fall armyworm, with growth-regulating effects obsd. at a dietary concn. as low as 1 ppm. Prepn. data is given.
IT 122425-68-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and insect growth regulation by)
RN 122425-68-7 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[[[5-[(4-nitrophenyl)sulfonyl]-2-thiazolyl]amino]carbonyl]amino]-, dimethyl ester (9CI) (CA INDEX NAME)

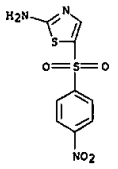


L9 ANSWER 54 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:167375 CAPLUS
DOCUMENT NUMBER: 108:167375
TITLE: Synthesis and mutagenic activity of imidazo[2,1-b]thiazoles bearing at least one nitro or nitroso group
AUTHOR(S): Andreani, Aldo; Rambaldi, Mirella; Andreani, Franco; Hrelia, Patrizia; Cantelli Forti, Giorgio
CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Bologna, Bologna, I-40126, Italy
SOURCE: Arch. Pharm. Chemi, Sci. Ed. (1987), 15(2), 41-9
CODEN: AVPCCS; ISSN: 0302-248X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

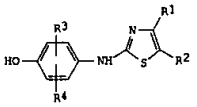
AB The synthesis of 53 imidazo[2,1-b]thiazoles, e.g., I (R = H, Me; R1 = H, Me; R2 = NO2, NO, H; R3 = Cl, Me, Ph, 4-O2NC6H4, 4-ClC6H4, 4-MeC6H4, etc.) bearing at least one nitro or nitroso group is described. The mutagenic activity of I was tested on Salmonella thyphimurium and Saccharomyces cerevisiae. Dinitro derivs. are more mutagenic than mononitro derivs.
IT 39565-05-4
RL: RCT (Reactant)
(cyclocondensation with bromo ketone, imidazothiazole deriv. from)
RN 39565-05-4 CAPLUS
CN 2-Thiazolamine, 5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 55 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1987:534299 CAPLUS
DOCUMENT NUMBER: 107:134299
TITLE: Preparation of 4-[(2-thiazolyl)amino]phenol derivatives as antiinflammatory, antiallergic, and hypolipemic agents
INVENTOR(S): Kanai, Kenichi; Goto, Kyoto; Hashimoto, Kinji; Tsuda, Yoshiaki
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

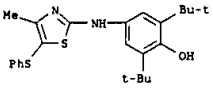
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62087580	A2	19870422	JP 1985-229416	19851014

GI



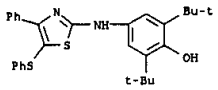
I

AB The title compds. [I; R1, R2 = H, phenyl(thio), (alkylthio)alkyl; R3, R4 = alkyl] and pharmaceutically acceptable salts were prepd. A mixt. of 2.20 g 2,6-di-tert-butyl-1,4-benzoquinone and 3.43 g 2-amino-5-methylthiazole in ClCH2CH2Cl contg. 0.55 mL TiCl4 was refluxed for 14.5 h, cooled to room temp., an aq. soln. of 40 g Na2S2O4 was added, and the mixt. was stirred for 2 h to give 1.07 g I (R1 = H, R2 = Me, R3 = 3-CMe3, R4 = 5-CMe3).
IT 110448-18-5P 110448-19-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiallergic and antiinflammatory agent)
RN 110448-18-5 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[[4-methyl-5-(phenylthio)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)

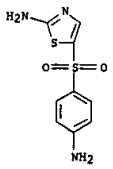


RN 110448-19-6 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[[4-phenyl-5-(phenylthio)-2-

L9 ANSWER 55 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
thiazolyl]amino]- (9CI) (CA INDEX NAME)



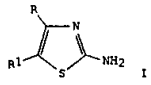
L9 ANSWER 56 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1987:188258 CAPLUS
DOCUMENT NUMBER: 106:188258
TITLE: Pharmacogenetic interaction of glucose-6-phosphate dehydrogenase deficiency with acetylation and hydroxylation
AUTHOR(S): Brewer, George J.
CORPORATE SOURCE: Dep. Hum. Genet., Univ. Michigan, Ann Arbor, MI, USA
SOURCE: Glucose-6-Phosphate Dehydrogenase, [Lect. Int. Symp.] (1986), Meeting Date 1985, 13-23. Editor(s): Yoshida, Akira; Beutler, Ernest. Academic: Orlando, Fla.
CODEN: 55PCAB
DOCUMENT TYPE: Conference; General Review
LANGUAGE: English
AB A review with 16 refs. Sulfone drugs, including promizole [473-30-3] cause hemolysis of glucose-6-phosphate dehydrogenase [9001-40-5]-deficient cells of humans. This is due to interactions of 3 pharmacogenetic systems, the enzyme deficiency, rate of drug acetylation and cytochrome P 450 [9035-51-2] hydroxylation.
IT 473-30-3, Promizole
RL: BIOL (Biological study)
(hemolysis induced by, of glucose-6-phosphate dehydrogenase-deficient human erythrocytes, mechanism of)
RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 57 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1986:131457 CAPLUS
DOCUMENT NUMBER: 104:131457
TITLE: Thiazole derivatives
INVENTOR(S): Hagen, Helmut; Ziegler, Hans; Hansen, Guenter
PATENT ASSIGNEE(S): BASF A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

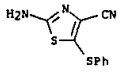
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3412293	A1	19851003	DE 1984-3412293	19840403
EP 160818	A1	19851113	EP 1985-103386	19850322
R: CH, DE, FR, GB, IT, LI				
JP 60224679	A2	19851109	JP 1985-68614	19850402
PRIORITY APPLN. INFO.:			DE 1984-3412293	19840403

GI



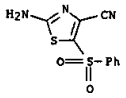
I

AB Thiazoles (I; R = HCO, CO2H, or CO2H deriv.; R1 = H, F, Cl, Br, NO2, SCN, alkylsulfonyl, arylsulfonyl, or (un)substituted NH2, OH, or SH) are prepd. for use as diazo components in azo dye synthesis. 2-Amino-4-methylthiazole is first converted to the 2-phthalimido deriv. by reaction with phthalic anhydride, then halogenated to form 4-(dihalomethyl)-5-halo-2-phthalimidothiazole, and finally converted to I by appropriate hydrolysis, oxidn., and exchange reactions.
IT 101242-31-3P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation)
(prepn. and oxidn. of)
RN 101242-31-3 CAPLUS
CN 4-Thiazolecarbonitrile, 2-amino-5-(phenylthio)- (9CI) (CA INDEX NAME)



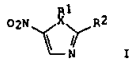
IT 101242-34-6P
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of)
RN 101242-34-6 CAPLUS
CN 4-Thiazolecarbonitrile, 2-amino-5-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L9 ANSWER 57 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



L9 ANSWER 58 OF 93 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1986:122576 CAPLUS
DOCUMENT NUMBER: 104:122576
TITLE: Relationship between lipophilic character and urinary excretion of nitroimidazoles and nitrothiazoles in rats
AUTHOR(S): Cantelli Forti, Giorgio; Guerra, Maria Clelia; Barbaro, Anna Maria; Hrelia, Patrizia; Biagi, Gian Luigi; Borea, Pier Andrea
CORPORATE SOURCE: Ist. Farmacol., Univ. Bologna, Bologna, Italy
SOURCE: J. Med. Chem. (1986), 29(4), 555-61
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

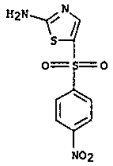


I

AB QSAR (lipophilicity) for the urinary excretion (in rats) of 26 title compds. (I; R1 = H, Me, 2-hydroxyethyl, 4-diethylaminoethyl-2-oxyethyl, 2,3-dihydroxypropyl, 2-(4-methyl-1,4-dihydropyrazin-1-yl)ethyl, 2-morpidinoethyl, etc.; R3 = H, Me iso-Pr, cyclopropyl, 2-carboxy-2phenoxyethenyl, 2-(2-amino-4-pyrimidinyl)ethenyl, 2-(2-benzodioxalan-5-yl)ethenyl, etc.; X = N or S), which are used for the treatment of urinary tract infections and no radiosensitizers, was studied. The urinary excretion of unmetabolized I was parabolically related with log P, an expression of lipophilicity.

IT **39565-05-4**
RL: PROC (Process)
(excretion of, in urine, QSAR in)

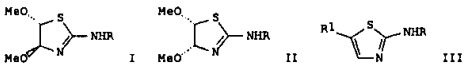
RN 39565-05-4 CAPLUS
CN 2-Thiazolamine, 5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 58 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

L9 ANSWER 59 OF 93 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1984:530624 CAPLUS
DOCUMENT NUMBER: 101:130624
TITLE: Reactions between 2-amino-5-bromothiazole derivatives and some nucleophiles
AUTHOR(S): Forlani Luciano; Medici, Alessandro
CORPORATE SOURCE: Ist. Chim. Org., Univ. Bologna, Bologna, I-40136, Italy
SOURCE: Gazz. Chim. Ital. (1983), 113(11-12), 807-10
CODEN: GCITA9; ISSN: 0016-5603
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

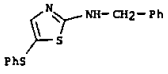


I II III

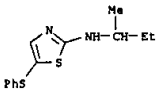
AB Thiazolines I and II (R = PhCH2, EtCHMe, Ph, 3-ClC6H4) and thiazoles III (R same as above, R1 = PhS) were prepd. from III (R1 = Br) and NaOMe and PhSNa; preliminary kinetic data were obtained for III (R1 = Br) reactions with NaOMe and with piperidine. III (R = PhCH2, R1 = Br) was treated with NaOMe in MeOH to give I (R = PhCH2) and II (R = PhCH2).

IT **91872-55-8P 91872-56-9P 91872-57-0P**
91872-58-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 91872-55-8 CAPLUS
CN 2-Thiazolamine, N-(phenylmethyl)-5-(phenylthio)- (9CI) (CA INDEX NAME)

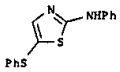


RN 91872-56-9 CAPLUS
CN 2-Thiazolamine, N-(1-methylpropyl)-5-(phenylthio)- (9CI) (CA INDEX NAME)

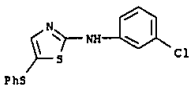


RN 91872-57-0 CAPLUS
CN 2-Thiazolamine, N-phenyl-5-(phenylthio)- (9CI) (CA INDEX NAME)

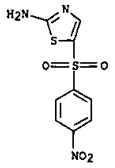
L9 ANSWER 59 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



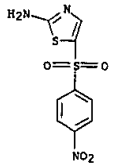
RN 91872-58-1 CAPLUS
CN 2-Thiazolamine, N-(3-chlorophenyl)-5-(phenylthio)- (9CI) (CA INDEX NAME)



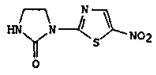
L9 ANSWER 60 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1984:153742 CAPLUS
DOCUMENT NUMBER: 100:153742
TITLE: Electroreduction, mutagenicity and antimicrobial activity of 5-nitroimidazole derivatives
AUTHOR(S): Aicardi, G.; Forti, G. Cantelli; Guerra, M. C.; Barbaro, A. M.; Biagi, G. L.
CORPORATE SOURCE: Ist. Farmacol., Univ. Bologna, Bologna, Italy
SOURCE: Dev. Oncol. (1983), 15(Control Tumour Growth Its Biol. Bases), 300-8
CODEN: DEONDS; ISSN: 0167-4927
DOCUMENT TYPE: Journal
LANGUAGE: English
AB When 25 nitroimidazoles and 2 nitrothiazoles were tested in vitro, a close pos. correlation was found between the relative potencies in the mutagenic and antibacterial assays, suggesting that the 2 activities occur via the same mechanism. The electroredn. potentials (ERP) at pH 7.4 ranged from -790 to -410 mV. Compds. having the highest mutagenic activity, i.e., azanidazole, niridazole, and DA 3832 had the least neg. ERP values. This indicated that all active compds. must be reducible and that a significant correlation should exist between ERP and mutagenic activity.
IT **39565-05-4**
RL: PRP (Properties)
(antimicrobial activity and mutagenicity and electroredn. potential of, structure in relation to)
RN 39565-05-4 CAPLUS
CN 2-Thiazolamine, 5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 61 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1984:99758 CAPLUS
DOCUMENT NUMBER: 100:99758
TITLE: Electroreduction, mutagenicity and antimicrobial activity of 5-nitroimidazole derivatives
AUTHOR(S): Aicardi, G.; Cantelli Forti, G.; Guerra, M. C.; Barbaro, A. M.; Biagi, G. L.
CORPORATE SOURCE: Ist. Farmacol., Univ. Bologna, Bologna, Italy
SOURCE: Fortschr. Onkol. (1983), 10(Control Tumour Growth Its Biol. Bases), 300-8
CODEN: FONKDF; ISSN: 0323-5084
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of 25 nitroimidazoles and 2 nitrothiazole derivs. were tested for their mutagenic and antibacterial activities. The relative potencies in the mutagenic (Ames/Salmonella test) and antibacterial (cylinder-plate method) assays showed a close correlation, supporting the hypothesis that the 2 activities occur via the same mechanism. The electroredn. potentials of the 27 compds. at pH 7.4 ranged between -790 and -410 mV. The compds. most active in the mutagenic assay, i.e., azanidazole, niridazole, and DA-3832, had the least neg. electroredn. values. Structure-activity relations are discussed.
IT **39565-05-4**
RL: BIOL (Biological study)
(antibacterial and mutagenic activity of, structure in relation to)
RN 39565-05-4 CAPLUS
CN 2-Thiazolamine, 5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



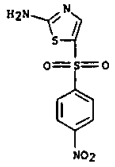
L9 ANSWER 62 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1983:535256 CAPLUS
DOCUMENT NUMBER: 99:135256
TITLE: The capacity of some nitro- and aminoheterocyclic sulfur compounds to induce base-pair substitutions
AUTHOR(S): Voogd, C. E.; Van der Stel, J. J.; Verharen, H. W.
CORPORATE SOURCE: Natl. Inst. Public Health, Bilthoven, 3720 BA, Neth.
SOURCE: Mutat. Res. (1983), 118(3), 153-5
CODEN: MUREAV; ISSN: 0027-5107
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



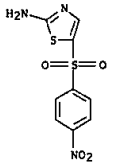
I

AB The capacity of 27 heterocyclic S compds. to induce base-pair substitutions was investigated with Klebsiella pneumoniae ur- pro- and Salmonella typhimurium TA 100 as test organisms. Among the compds. tested, all S compds. with NO2 groups and some thiazoles with an NH2 group were mutagenic. Among the nitrothiazoles, the most potent mutagen was niridazole (I) [61-57-4], followed by 2-acetamido-5-nitrothiazole [140-40-9], 2-bromo-5-nitrothiazole [3034-48-8], N-(5-nitrothiazol-2-yl)benzamide [21166-17-6], and 2-amino-5-nitrothiazole [121-66-4]. Of the nitrothiophenes, 2-nitrothiophene [609-40-5] was more mutagenic than 3-nitrothiophene [822-84-4] and 2,4-dinitrothiophene [5347-12-6]. 4-Nitroisothiazole [931-07-7] was also mutagenic. Of the aminothiazoles, 2-amino-5-bromothiazole [3034-22-8] and 2-amino-5-chlorothiazole [41663-73-4] were mutagenic to both test organisms. With 2-amino-5-(p-nitrophenylsulfonyl)thiazole [**39565-05-4**], a mutagenic action was only found with S. typhimurium TA 100, whereas 2-aminothiazole [96-50-4] and 2-amino-4-methylthiazole [1603-91-4] were only mutagenic with K. pneumoniae. With the other 13 compds., no mutagenic activity was obsd. Of the coccidiostatics, 2-acetamido-5-nitrothiazole was also mutagenic on Escherichia coli K12 and Saccharomyces cerevisiae D4, but nonmutagenic on S. typhimurium TA 1530, 1535, 1537, and 98, while 2-amino-5-nitrothiazole was mutagenic on E. coli K12, S. typhimurium TA 1530, 1535, and 98, and nonmutagenic on strain TA 1537 and on S. cerevisiae D4.
IT **39565-05-4**
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(mutagenicity of, base-pair substitution and structure in relation to)
RN 39565-05-4 CAPLUS
CN 2-Thiazolamine, 5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

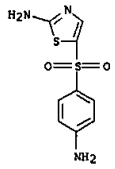
L9 ANSWER 62 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



L9 ANSWER 63 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1983:122094 CAPLUS
DOCUMENT NUMBER: 98:122094
TITLE: Mutagenicity of a series of 25 nitroimidazoles and two nitrothiazoles in Salmonella typhimurium
AUTHOR(S): Cantelli-Forti, G.; Aicardi, G.; Guerra, M. C.; Barbaro, A. M.; Biagi, G. L.
CORPORATE SOURCE: Ist. Farmacol., Univ. Stud. Bologna, Bologna, Italy
SOURCE: Teratog., Carcinog., Mutagen. (1983), 3(1), 51-63
CODEN: TCMUD8; ISSN: 0270-3211
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The mutagenicity and antibacterial action of the title radiosensitizers were examd. in S. typhimurium. For 22 of the compds., the no. of revertants increased with drug concn., reaching a peak and then falling out. The falling out of the mutagenic activity appeared to be related to antibacterial activity as the 5 compds. that had no mutagenic effect also exhibited no antibacterial activity. The curve for the relation between mutagenicity and antibacterial activity had a slope close to 1.
IT **39565-05-4**
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(mutagenicity of, in Salmonella typhimurium, antibacterial activity in relation to)
RN 39565-05-4 CAPLUS
CN 2-Thiazolamine, 5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



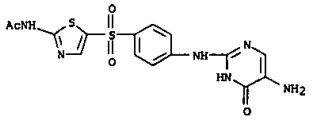
L9 ANSWER 64 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1982:607811 CAPLUS
DOCUMENT NUMBER: 97:207811
TITLE: A study on experimental mycobacterioses provoked by atypical mycobacteria. 5. Combined antituberculous chemotherapy against conventional mice infected intravenously with Mycobacterium kansasii
AUTHOR(S): Lee, Youngchol; Kuze, Fumiyuki; Maekawa, Nobuo; Suzuki, Yasuhiro
CORPORATE SOURCE: Chest Dis. Res. Inst., Kyoto Univ., Kyoto, 606, Japan
SOURCE: Kekkaku (1982), 57(7), 369-77
CODEN: KEKKAG; ISSN: 0022-9776
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB The chemotherapy with simultaneous administration of streptomycin (SM) [57-92-1] and rifampicin (RFP) [13292-46-1] in combination with either isoniazid (INH) [54-85-3], ethambutol (EB) [74-55-5], or thiazolsulfone (TH) [**473-30-3**] was more effective than that with rifampicin alone against M. kansasii infection in mice. Treatments with EB-SM-RFP and TH-SM-RFP were more effective than that with INH-SM-RFP.
IT **473-30-3**
RL: BIOL (Biological study)
(Mycobacterium kansasii infection treatment by antibiotic combinations contg.)
RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 65 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1982:217575 CAPLUS
DOCUMENT NUMBER: 96:217575
TITLE: .beta.-Lactams and drugs containing these compounds
INVENTOR(S): Wetzel, Bernd; Reuter, Wolfgang; Maier, Roland; Woitun, Eberhard; Lechner, Uwe; Goeth, Hanns
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 81 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3027530	A1	19820225	DE 1980-3027530	19800719

GI For diagram(s), see printed CA Issue.
AB .beta.-Lactam I or its tautomer II [R = NHC6H4ZR1-4 [Z = SO2NH(CH2)n (n = 0, 1), SO(CH2)n, SO2(CH2)n, R1 = (un)substituted Ph, 5- or 6-membered heterocyclyl]; R2 = Ph, 4-HOC6H4, 2-, 3-thienyl, 2- or 3-furyl, cyclohexyl, cyclohexen-1-yl, 1,4-cyclohexadien-1-yl, 3,4-disubstituted (Cl, OH, MeO) Ph; X = Q (R3 = H, easily cleavable protective group), Q1 (R4 = H, OH, AcO, H2NCO2, pyridinium, 4-(aminocarbonyl)pyridinium, heterocyclylthio) and (when R3 = H), their salts with bases, useful as antibiotics (data tabulated), were prepd. Hydrogenating 4-(2-pyridylaminosulfonyl)nitrobenzene over Raney Ni gave 100% the corresponding aniline which underwent substitution reaction with 4-hydroxy-2-methylthio-5-nitropyrimidine to give 18% nitropyrimidine III (R5 = NO2). This was reduced with Na2S2O4 to the amine III (R5 = NH2) which was successively blocked with Me3SiNEt2, treated with COCl2, and then with amoxicillin to give 48.6% the penicillin III (R5 = Q2). This was converted to the Na salt which had a min. inhibitory concn. of 0.25 .mu.g/mL against Escherichia coli ATCC 11775, vs. 8 for azlocillin.
IT **81927-88-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and successive blocking, phosgenation, and amidation of)
RN 81927-88-0 CAPLUS
CN Acetamide, N-[5-[[4-[(5-amino-1,4-dihydro-4-oxo-2-pyrimidinyl)amino]phenyl]sulfonyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)

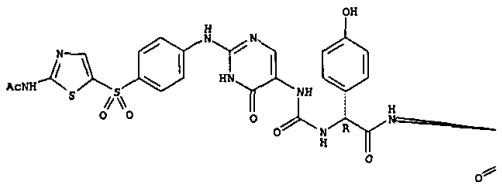


IT **81928-13-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 81928-13-4 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[[2-[[4-[[2-(acetylamino)-5-thiazolyl]sulfonyl]phenyl]amino]-1,4-dihydro-4-oxo-5-pyrimidinyl]amino]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2.alpha.,5.alpha.,6.beta.(S*)]]-

L9 ANSWER 65 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
(9CI) (CA INDEX NAME)

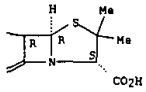
Absolute stereochemistry.

PAGE 1-A

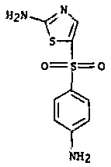


● Na

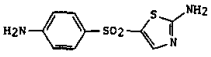
PAGE 1-B



L9 ANSWER 66 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1982:97168 CAPLUS
DOCUMENT NUMBER: 96:97168
TITLE: G6PD-deficient erythrocytes: damage initiated by the products of oxygen reduction and promizole metabolism
AUTHOR(S): Leipzig, Rosanne Mira
CORPORATE SOURCE: Univ. Michigan, Ann Arbor, MI, USA
SOURCE: (1981) 168 pp. Avail.: Univ. Microfilms Int., Order No. 8125155
From: Diss. Abstr. Int. B 1981, 42(6), 2229
DOCUMENT TYPE: Dissertation
LANGUAGE: English
AB Unavailable
IT 473-30-3
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(metab. of, hemolysis of glucose phosphate dehydrogenase-deficient erythrocyte in relation to)
RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

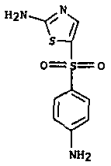


L9 ANSWER 67 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1981:580525 CAPLUS
DOCUMENT NUMBER: 95:180525
TITLE: Pharmacogenetic interactions in G6PD deficiency and development of an in vitro test to predict a drug's hemolytic potential
AUTHOR(S): Magon, Arlene; Leipzig, Rosanne M.; Bloom, Ken; Brewer, George J.
CORPORATE SOURCE: Dep. Hum. Genet., Univ. Michigan, Ann Arbor, MI, USA
SOURCE: Prog. Clin. Biol. Res. (1981), 55(Red Cell), 709-24
CODEN: PCBRD2; ISSN: 0361-7742
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

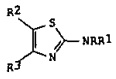


I

AB An in vitro test system consisting of phenobarbital-induced mouse liver microsomes and human erythrocytes was used to test the hemolytic potential of pharmaceuticals for use in persons with glucose 6-phosphate dehydrogenase [9001-40-5] deficiency. Hydroxylation apparently plays a role in activating the hemolytic potential of the compds. Acetylation of promizole (I) [473-30-3] and dapsone [80-08-0] reduced their hemolytic potential, which indicates that the variable in vivo hemolytic response to I by susceptible individuals may be due to genetic polymorphism in acetylases.
IT 473-30-3
RL: BIOL (Biological study)
(hemolysis from, in glucosephosphate dehydrogenase deficiency, acetylation in relation to)
RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

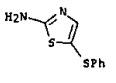


L9 ANSWER 68 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1981:549744 CAPLUS
DOCUMENT NUMBER: 95:149744
TITLE: Tautomerism of aminothiazoles. II. Proton NMR spectra of some 2-aminothiazole derivatives
AUTHOR(S): Forlani, Luciano
CORPORATE SOURCE: Ist. Chim. Org., Univ. Bologna, Bologna, I-40136, Italy
SOURCE: Gazz. Chim. Ital. (1981), 111(5-6), 159-62
CODEN: GCITA9; ISSN: 0016-5603
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

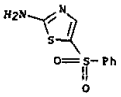


I

AB The 1H NMR of 2-aminothiazoles (I; R,R1,R2,R3 given: H,H,H,H; H,H,H,Me; H,H,H,Ph; H,H,H,Cl; H,H,H,PhS; H,H,H,PhSO2; H, PhCH2,H,H; Me,H,H,NO2; etc) in (CD3)2SO are reported. A distinction between tautomeric forms of 2-aminothiazole is possible from a comparison with fixed models on the amino and imino forms. The imino form predominates only when the SO2Ar group is bonded to the exocyclic N. In all other cases the amino form is prevalent. The electronic effects of substituents bonded to C(4) and C(5) of the thiazole ring on the .zeta. values of the NH2 group in position 2 are discussed.
IT 59278-80-7 75427-03-1
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)
(tautomerism of, NMR in relation to)
RN 59278-80-7 CAPLUS
CN 2-Thiazolamine, 5-(phenylthio)- (9CI) (CA INDEX NAME)



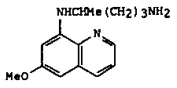
RN 75427-03-1 CAPLUS
CN 2-Thiazolamine, 5-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 68 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

L9 ANSWER 69 OF 93 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1981:473813 CAPLUS
DOCUMENT NUMBER: 95:73813
TITLE: The hemolytic effect of primaquine. V. Primaquine sensitivity as a manifestation of multiple drug sensitivity
AUTHOR(S): Dern, Raymond J.; Beutler, Ernest; Alving, Alf S.
CORPORATE SOURCE: Dep. Med., Univ. Chicago, Chicago, IL, USA
SOURCE: J. Lab. Clin. Med. (1981), 97(6), 750-9
CODEN: JLCMAK; ISSN: 0022-2143
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



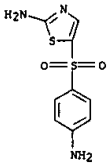
I

AB Primaquine (I) [90-34-6] sensitive erythrocytes were unusually susceptible to hemolysis by acetanilide [103-84-4], sulfanilamide [63-74-1], promizole [**473-30-3**], phenylhydrazine-HCl [59-88-1], diasone Na [144-75-2], and phenacetin [62-44-2]. At very high doses or in combination, most of these compd. were hemolytic to normal cells. Daily administration of 3.6 g of tralgon [103-90-2] or 8.0 g of Na p-aminobenzoate [555-06-6] did not produce hemolysis of either primaquine sensitive or normal erythrocytes. The course of the self-limited hemolytic anemia resulting from these aniline derivs. was identical to that previously described for primaquine-induced hemolytic anemia.

IT **473-30-3**
RL: BIOL (Biological study)
(hemolysis by, of primaquine-sensitive erythrocytes)

RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 69 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



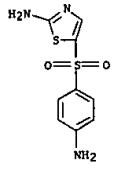
L9 ANSWER 70 OF 93 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1981:417976 CAPLUS
DOCUMENT NUMBER: 95:17976
TITLE: Interactions of glucose 6-phosphate dehydrogenase deficiency with drug acetylation and hydroxylation reactions
AUTHOR(S): Magon, Arlene M.; Leipzig, Rosanne M.; Zannoni, Vincent G.; Brewer, George J.
CORPORATE SOURCE: Dep. Hum. Genet., Univ. Michigan, Ann Arbor, MI, USA
SOURCE: J. Lab. Clin. Med. (1981), 97(6), 764-70
CODEN: JLCMAK; ISSN: 0022-2143
DOCUMENT TYPE: Journal
LANGUAGE: English

AB It is hypothesized that the bimodal distribution of hemolytic response by glucose 6-phosphate dehydrogenase (G6PD) [9001-40-5]-deficient individuals to particular drugs such as sulfones may be due to the genetically detd. acetylation rate of those drugs. Since metab., e.g., hydroxylation, may be required for these drugs to become hemolytic, genetically and environmentally detd. variation in hydroxylation of a drug may also contribute to this variability in hemolytic response. To test the possibilities that acetylation and hydroxylation alter the hemolytic potential of these drugs, G6PD-deficient and normal red cells were incubated with mouse liver microsomes at 2 states of hydroxylase activity (uninduced and induced), an NADPH-generating system, and acetylated or unacetylated drug. GSH depletion was then measured in the cells as an indicator of prelytic cell damage. In the presence of induced (high hydroxylase activity) microsomes, promizole [**473-30-3**] or DDS [80-08-0] in unacetylated form caused the highest level of GSH depletion in G6PD-deficient red cells. Acetylation protected against GSH depletion. The specificity of the hydroxylation reaction in producing marked GSH depletion was shown by the protective effect of a specific hydroxylation inhibitor. Thus, G6PD-deficient, genetically slow acetylators, having high microsomal activity, would be most susceptible to promizole- or DDS-induced hemolysis, compared to other metabolic phenotypes. In addn., the bimodality in hemolytic response to promizole probably corresponds to the bimodal distribution of acetylator phenotype in the population.

IT **473-30-3**
RL: BIOL (Biological study)
(acetylation and hydroxylation of, glucose phosphate dehydrogenase deficient erythrocytes in relation to)

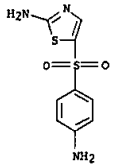
RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



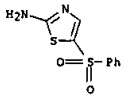
L9 ANSWER 71 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1981:400138 CAPLUS
DOCUMENT NUMBER: 95:138
TITLE: Determination of three main antileprosy drugs and their main metabolites in serum by high-performance liquid chromatography
AUTHOR(S): Gidoh, Masaichi; Tsutsumi, Sadao; Takitani, Shoji
CORPORATE SOURCE: Natl. Inst. Leprosy Res., Tokyo, Japan
SOURCE: J. Chromatogr. (1981), 223(2), 379-92
CODEN: JOCRAM; ISSN: 0021-9673
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

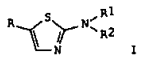
AB The simultaneous anal. of antileprosy drugs such as 4,4'-diaminodiphenylsulfone (DDS)(I) [80-08-0], clofazimine (II) [2030-63-9], rifampicin (III) [13292-46-1] and their main metabolites in serum was exam. by high-performance liq. chromatog. using a .mu.Bondapak C18 column. When the drugs dissoluted from serum were developed by tetrahydrofuran-0.5% acetic acid (40:60), II and III could be analyzed sep. Apart from the mutual sepn. of water-sol. conjugates of DDS, the individual anal. of DDS, its main liposol. metabolite and a few related sulfone compds. is possible when the drugs are first developed by acetonitrile-water (20:80). By the use of tetrahydrofuran-water (50:50) contg. PIC B-5, the rapid measurement of II isolated from the other compds. is also possible.
IT 473-30-3
RL: ANT (Analyte); ANST (Analytical study)
(detn. of, in blood serum by high-performance liq. chromatog.)
RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 72 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

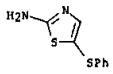


L9 ANSWER 72 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:603850 CAPLUS
DOCUMENT NUMBER: 93:203850
TITLE: Electrical effects in substituted thiazoles. pKa Values of some 5-substituted 2-NN-dimethylaminothiazoles
AUTHOR(S): Forlani, Luciano; De Maria, Paolo; Fini, Adamo
CORPORATE SOURCE: Ist. Chim. Org., Univ. Bologna, Bologna, 40126, Italy
SOURCE: J. Chem. Soc., Perkin Trans. 2 (1980), (8), 1156-8
CODEN: JCPKBH; ISSN: 0300-9580
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



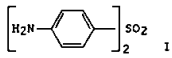
I

AB A comparison of the pKa values of 5-substituted aminothiazoles I (R1 = R2 = H; R = H, Me, OMe, Ph, SPh, Cl, Br, CO2Et, SO2Ph, NO2) with those of the 2-N,N-dimethylamino analogs I (R1 = R2 = Me; R = H, Me, Ph, Br, NO2, CO2Et) enabled the arom. amino tautomeric structure to be assigned to 2-aminothiazole derivs. A Hammet plot of pKa vs. .sigma.meta substituent consts. was linear confirming that the protonation center is the endocyclic N. Cross conjugation between the 2-amino group and the 5-substituent occurred only for R = NO2. Conjugative interaction between the amino and the aza group is discussed.
IT 59278-80-7 75427-03-1
RL: PRP (Properties)
(acidity of, tautomeric structure in relation to)
RN 59278-80-7 CAPLUS
CN 2-Thiazolamine, 5-(phenylthio)- (9CI) (CA INDEX NAME)



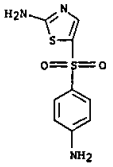
RN 75427-03-1 CAPLUS
CN 2-Thiazolamine, 5-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1979:97492 CAPLUS
DOCUMENT NUMBER: 90:97492
TITLE: Activity of derivatives and analogs of dapsone against Mycobacterium leprae
AUTHOR(S): Levy, Louis
CORPORATE SOURCE: Leprosy Res. Unit, Public Health Serv. Hosp., San Francisco, Calif., USA
SOURCE: Antimicrob. Agents Chemother. (1978), 14(5), 791-3
CODEN: AMACCQ; ISSN: 0066-4804
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

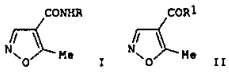
AB Of 25 dapsone (I) [80-08-0] derivs. and analogs screened for activity against M. leprae in the mouse footpad system, only 7 were active. All 7 were metabolized to or contaminated with I.
IT 473-30-3
RL: BIOL (Biological study)
(Mycobacterium leprae inhibition by)
RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 74 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1978:509449 CAPLUS
DOCUMENT NUMBER: 89:109449
TITLE: 5-Methylisoxazole-4-carboxamide derivatives
INVENTOR(S): Kaemmerer, Friedrich Johannes; Schleyerbach, Rudolf; Heubach, Guenther
PATENT ASSIGNEE(S): Hoechst A.-G., Ger.
SOURCE: Ger. Offen., 13 pp. Addn. to Ger. Offen. 2,524,959.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

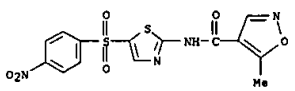
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2655009	A1	19780615	DE 1976-2655009	19761204
DE 2655009	C2	19900329		
CH 608498	A	19790115	CH 1977-13934	19771115
NL 7713151	A	19780606	NL 1977-13151	19771129
DK 7705386	A	19780605	DK 1977-5386	19771202
AT 7708663	A	19801015	AT 1977-8663	19771202
AT 362366	B	19810511		
CA 1102341	A1	19810602	CA 1977-292302	19771202
GB 1596383	A	19810826	GB 1977-50347	19771202
JP 53071070	A2	19780624	JP 1977-145622	19771203
BE 861503	A4	19780605	BE 1977-183170	19771205
FR 2372830	A2	19780630	FR 1977-36547	19771205
FR 2372830	B2	19800620		

PRIORITY APPLN. INFO.: DE 1976-2655009 19761204
GI

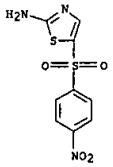


AB The title compds. I (R = C3-13 optionally substituted heterocyclic group contg. 1-4 N, S or O heteroatoms) were prepd. by the reaction of II (R1 = Cl, 2,4-Cl2C6H3O, PhCH2O) with the appropriate amine. I are useful as analgesics, antipyretics, and antiinflammatory agents (no data).
IT **67305-36-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 67305-36-6 CAPLUS
CN 4-Isoxazolecarboxamide, 5-methyl-N-[5-[(4-nitrophenyl)sulfonyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 74 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



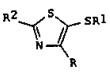
IT **39565-05-4**
RL: RCT (Reactant)
(reaction of, with methylisoxazolecarboxylic acid derivs.)
RN 39565-05-4 CAPLUS
CN 2-Thiazolamine, 5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



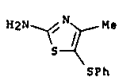
L9 ANSWER 75 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1977:601513 CAPLUS
DOCUMENT NUMBER: 87:201513
TITLE: 5-Thiothiazoles
INVENTOR(S): Iriuchijima, Shinobu; Hasegawa, Keiko
PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan
SOURCE: Japan. Kokai, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52077061	A2	19770629	JP 1975-152863	19751223

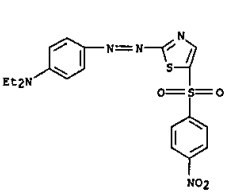
GI



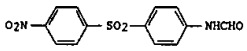
AB Seven 5-thiothiazoles I (R = Me, Ph, 2-pyridyl, 2-thienyl, 2-furyl; R1 = Me, Ph; R2 = NH2, Me) were prepd. by reaction of RCOCH2SOR1 (II) with SOCl2 or AcCl, followed by reaction with R2CSNH2 (III). Thus, 685 mg SOCl2 was added to 1 g II (R = Ph, R1 = Me) in C6H6 with cooling and the mixt. was stirred 10 min to give an oily product, which in EtOH was stirred 2 h at 50.degree. with 417 mg III (R2 = NH2) to give 74.5% I (R = Ph, R1 = Me, R2 = NH2).
IT **64689-65-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 64689-65-2 CAPLUS
CN 2-Thiazolamine, 4-methyl-5-(phenylthio)- (9CI) (CA INDEX NAME)



L9 ANSWER 76 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1977:525606 CAPLUS
DOCUMENT NUMBER: 87:125606
TITLE: The effect of dye structure on order parameter in a nematic liquid crystalline host
AUTHOR(S): Bloom, Allen; Hung, P. L. K.
CORPORATE SOURCE: RCA Lab., Princeton, N. J., USA
SOURCE: Mol. Cryst. Liq. Cryst. (1977), 40(1-4), 213-21
CODEN: MCLCA5
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The order parameters (S) of a no. of substituted azobenzenes and phenylazothiazole, -benzothiazole, and -heterocyclic compds. dissolved in nematic liq. cryst. host were detd. The measured order parameters for a class of azo compds. bear a strong relation to the structure of the dye. For azobenzenes, there is an additivity of substituent effects which leads to good agreement between empirically-derived and obsd. S values. Disazo dyes give higher S values than the corresponding monoazo dyes. For heterocyclic phenylazo dyes, the end group substituents on the Ph ring play a significant role in influencing S, whereas the nature of the heterocyclic system, as well as the end group substituents on the heterocyclic ring, or the fusion of a benzene ring onto a heterocyclic ring, can lead to significant changes in the order parameter.
IT **64193-84-6**
RL: PRP (Properties)
(order parameter in nematic liq. crystal contg.)
RN 64193-84-6 CAPLUS
CN Benzenamine, N,N-diethyl-4-[[5-[(4-nitrophenyl)sulfonyl]-2-thiazolyl]azo]- (9CI) (CA INDEX NAME)

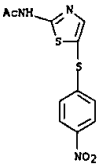


L9 ANSWER 77 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1976:516760 CAPLUS
DOCUMENT NUMBER: 85:116760
TITLE: Chemotherapeutically active nitro compounds. Part 2: nitrodiphenyl sulfones
AUTHOR(S): Winkelmann, E.; Raether, W.; Wagner, W. H.
CORPORATE SOURCE: Hoechst A.-G., Frankfurt/Main, Ger.
SOURCE: Arzneim.-Forsch. (1976), 26(8), 1543-7
CODEN: ARZNAD
DOCUMENT TYPE: Journal
LANGUAGE: German
GI



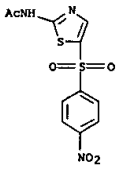
I

AB The 58 4-nitro-4'-aminodiphenyl sulfones and related compds. tested had a spectrum of tuberculostatic and antimalarial activity similar to that of 4,4'-diaminodiphenyl sulfone (DDS) [80-08-0], but offered no significant advantages over DDS. The tested compds. were presumably reduced to DDS derivs. in vivo. For example, 4-nitro-4'-formamidodiphenyl sulfone (I) [6784-23-2] at 150 mg/kg orally was highly effective against Mycobacterium bovis and Plasmodium berghii infections in mice.
IT **7254-13-9P 7354-88-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antimalarial and tuberculostatic activity of)
RN 7254-13-9 CAPLUS
CN Acetamide, N-[5-[(4-nitrophenyl)thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 7354-88-3 CAPLUS
CN Acetamide, N-[5-[(4-nitrophenyl)sulfonyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 77 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

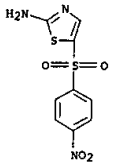


L9 ANSWER 78 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1976:485521 CAPLUS
DOCUMENT NUMBER: 85:85521
TITLE: Direct-positive silver halide photographic emulsions
INVENTOR(S): Fischer, Leewellyn C.; Hunt, Heman Dowd
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

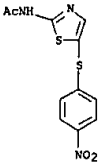
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3933498	A	19760120	US 1973-403263	19730928

AB A direct-pos. Ag halide photog. emulsion based on the Herschel effect contains a desensitizing dye, a heterocyclic compd., such as a benzotriazole deriv., as a bleach inhibitor and another heterocyclic compd., such as a pyridine deriv., a indazole deriv., a thiazole deriv. and a benzoimidazole deriv., as a Dmin maintainer. The photog. emulsion may be fogged just before the addn. of the desensitizing dye. The combined use of the Dmin maintainer which inhibits the residual latent image formation and the bleach inhibitor which retards bleaching of fogging nuclei by short wavelength radiation (.ltoreq.530 nm) permits handling of such a direct-pos. emulsion in room light without undue deleterious effect on image contrast. Thus, 1-phenyl-5-mercaptotetrazole 5 mg was added to a AgCl emulsion (contg. Ag 0.15 mole) 0.6 kg, the pH was adjusted to 8, the emulsion was heated to 55.degree., HCHO 0.75 g was added, the emulsion was held at 55.degree. for 20 min, cooled, and the pH was adjusted to 5.5. N-methyl-4-(m-nitrostyryl)cinnolinium p-toluenesulfonate 40, 5-nitrobenzotriazole (I) 15, and 2-amino-5-nitropyridine (II) 200 mg were added to the emulsion, the emulsion was coated on a poly(ethylene terephthalate) support, dried, exposed through a combination of a .sqroot.2 photog. step tablet and an amber sheet transmitting radiation >530 nm to 100 lx light flux from a Xe arc, exposed to a daylight-type fluorescent lamp (40 W) at 50 ft-candle for 5 min, developed in a hydroquinone developer and fixed to give a direct-pos. image Dmax 3.05 and Dmin 0.04 and 2.50 and 0.04, resp., after exposure to the room light vs. 3.00 and 0.04 and 0.30 and 0.30, resp., for a control emulsion contg. no I and II.
IT **39565-05-4**
RL: USES (Uses)
(photog. bleach inhibitor, for direct-pos. emulsions)
RN 39565-05-4 CAPLUS
CN 2-Thiazolamine, 5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

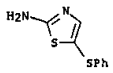
L9 ANSWER 78 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



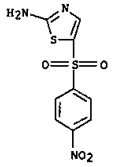
IT **7254-13-9**
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. fog inhibitor, for direct-pos. silver halide emulsions)
RN 7254-13-9 CAPLUS
CN Acetamide, N-[5-[(4-nitrophenyl)thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)



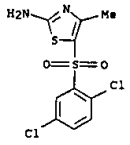
L9 ANSWER 79 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1976:150070 CAPLUS
DOCUMENT NUMBER: 84:150070
TITLE: Relative reactivity of groups bonded to positions 2 and 5 of the thiazole ring
AUTHOR(S): Bosco, Marcella; Forlani, Luciano; Todesco, Paolo E.; Troisi, Luigi
CORPORATE SOURCE: Ist. Chim. Org., Univ. Bologna, Bologna, Italy
SOURCE: J. Chem. Soc., Perkin Trans. 2 (1976), (4), 398-402
CODEN: JCPKBH
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The substitution of halogen by MeO-, MeS- and PhS- ions and oxidn. of phenylsulfinyl to phenylsulfonyl by PhC(O)OOH at positions 2 and 5 of the thiazole ring were studied quant. The ratio of the reactivities of the positions was moderate and the unusual nucleophilic halogen displacement for 5-halothiazoles together with the oxidn. of the 5-sulfinyl groups indicated the slightly pos. character of C-5.
IT **59278-80-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and deamination of)
RN 59278-80-7 CAPLUS
CN 2-Thiazolamine, 5-(phenylthio)- (9CI) (CA INDEX NAME)



L9 ANSWER 80 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1975:409713 CAPLUS
DOCUMENT NUMBER: 83:9713
TITLE: Synthesis and antimalarial activity of heterocyclic alkyl disulfides, thiosulfates, and dithio acid derivatives
AUTHOR(S): Foye, William O.; Lanzillo, Joseph J.; Lowe, Young Hee; Kauffman, Joel M.
CORPORATE SOURCE: Samuel M. Best Res., Massachusetts Coll. Pharm., Boston, Mass., USA
SOURCE: J. Pharm. Sci. (1975), 64(2), 211-16
CODEN: JPMSAE
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Based on the antimalarial activity in mice of bis(4-p-acetamidobenzenesulfonamidophenyl) disulfide a series of N-heterocyclic alkyl disulfides (RCH2CH2S)2 and thiosulfates RCH2CH2SO3H [R = 4-methyl-1-piperazinyl, piperidino, 2-, 3-, and 4-methylpiperidino, morpholino, 1-pyrrolidinyl, 1,2,3,4-tetrahydro-2-isoquinolyl, 2-(morpholino)- and 2-(2-pyridyl)ethylamino] was synthesized and screened for antimalarial activity. Several related dithio acid dianions NCCR:C(SK)2 (R = 2-furyl, 2-thienyl) and S-blocked derivs. (NC)2C:C(SMe)2 and I were also screened to provide an indication of the possible role that thiol anions might play in malaria chemotherapy. Activity was limited by toxicity with these compds., and none of those tested, with the exception of bis(4-p-acetamidobenzenesulfonamidophenyl) disulfide, showed curative activity in either a mouse or chick test.
IT **39565-05-4**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antimalarial activity of)
RN 39565-05-4 CAPLUS
CN 2-Thiazolamine, 5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

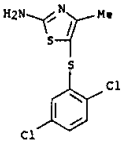


L9 ANSWER 81 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1975:81110 CAPLUS
DOCUMENT NUMBER: 82:81110
TITLE: 2-Amino-4-methyl-5-thiazolyl phenyl sulfides and sulfones as possible antibacterials
AUTHOR(S): Mahajanshetti, C. S.; Patil, R. M.
CORPORATE SOURCE: Dep. Chem., Karnatak Univ., Dharwar, India
SOURCE: J. Karnatak Univ. (1973), 18, 5-10
CODEN: JKAUAR
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Nucleophilic displacement of Br in 2-amino-4-methyl-5-bromothiazole [3034-57-9] by a thiophenoxide formed 2-amino-4-methyl-5-phenylthiothiazoles (I). Acetylation of I followed by oxidn. gave the corresponding 2-acetamido-4-methyl-5-benzenesulfonylthiazoles (II). Hydrolysis of II formed 2-amino-4-methyl-5-benzenesulfonylthiazoles (III). Sulfones showed higher in vitro antibacterial activity against Staphylococcus aureus than did the corresponding sulfides, but Escherichia coli responded equally to both. Acylation of amino groups in the sulfides and sulfones decreased activity compared with free bases. A combination of Me and halogen substituents in the benzene ring of I and III did not improve the activity over similar compds. contg. only 1 halogen in the benzene ring. An increase in Cl substitution increased the activity of sulfides and sulfones against both organisms. Electroneg. atoms or groups at the 5-position of the 2-aminothiazole moiety conferred antibacterial properties to the resulting mol.
IT **17114-55-5 17119-44-7 54406-10-9 54406-11-0 54406-12-1 54406-13-2**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(bactericidal activity of)
RN 17114-55-5 CAPLUS
CN 2-Thiazolamine, 5-[(2,5-dichlorophenyl)sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

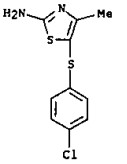


RN 17119-44-7 CAPLUS
CN 2-Thiazolamine, 5-[(2,5-dichlorophenyl)thio]-4-methyl- (9CI) (CA INDEX NAME)

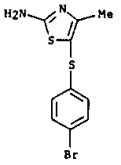
L9 ANSWER 81 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 54406-10-9 CAPLUS
CN 2-Thiazolamine, 5-[(4-chlorophenyl)thio]-4-methyl- (9CI) (CA INDEX NAME)

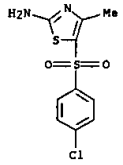


RN 54406-11-0 CAPLUS
CN 2-Thiazolamine, 5-[(4-bromophenyl)thio]-4-methyl- (9CI) (CA INDEX NAME)

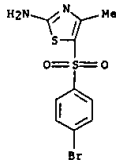


RN 54406-12-1 CAPLUS
CN 2-Thiazolamine, 5-[(4-chlorophenyl)sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

L9 ANSWER 81 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

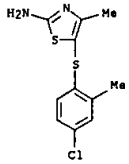


RN 54406-13-2 CAPLUS
CN 2-Thiazolamine, 5-[(4-bromophenyl)sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

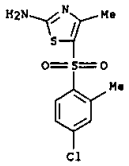


IT **54405-94-6P 54405-97-9P 54405-98-0P**
54406-01-8P 54406-02-9P 54406-05-2P
54406-06-3P 54406-08-6P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and bactericidal activity of)
RN 54405-94-6 CAPLUS
CN 2-Thiazolamine, 5-[(4-chloro-2-methylphenyl)thio]-4-methyl- (9CI) (CA INDEX NAME)

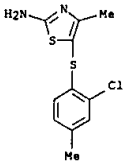
L9 ANSWER 81 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 54405-97-9 CAPLUS
CN 2-Thiazolamine, 5-[(4-chloro-2-methylphenyl)sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

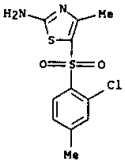


RN 54405-98-0 CAPLUS
CN 2-Thiazolamine, 5-[(2-chloro-4-methylphenyl)thio]-4-methyl- (9CI) (CA INDEX NAME)

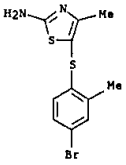


RN 54406-01-8 CAPLUS
CN 2-Thiazolamine, 5-[(2-chloro-4-methylphenyl)sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

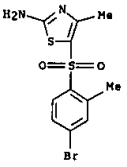
L9 ANSWER 81 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 54406-02-9 CAPLUS
CN 2-Thiazolamine, 5-[(4-bromo-2-methylphenyl)thio]-4-methyl- (9CI) (CA INDEX NAME)

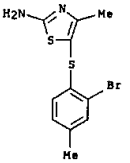


RN 54406-05-2 CAPLUS
CN 2-Thiazolamine, 5-[(4-bromo-2-methylphenyl)sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

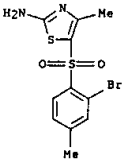


RN 54406-06-3 CAPLUS
CN 2-Thiazolamine, 5-[(2-bromo-4-methylphenyl)thio]-4-methyl- (9CI) (CA INDEX NAME)

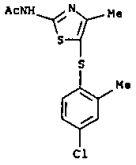
L9 ANSWER 81 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 54406-09-6 CAPLUS
CN 2-Thiazolamine, 5-[(2-bromo-4-methylphenyl)sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

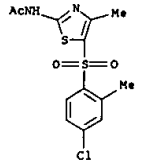


IT **54405-95-7P 54405-96-8P 54405-99-1P**
54406-00-7P 54406-03-0P 54406-04-1P
54406-07-4P 54406-08-5P
RL: PREP (Preparation)
(prepn. of)
RN 54405-95-7 CAPLUS
CN Acetamide, N-[5-[(4-chloro-2-methylphenyl)thio]-4-methyl-2-thiazolyl]- (9CI) (CA INDEX NAME)

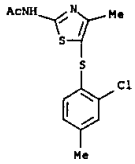


RN 54405-96-8 CAPLUS
CN Acetamide, N-[5-[(4-chloro-2-methylphenyl)sulfonyl]-4-methyl-2-thiazolyl]- (9CI) (CA INDEX NAME)

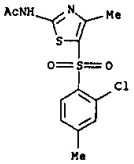
L9 ANSWER 81 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 54405-99-1 CAPLUS
CN Acetamide, N-[5-[(2-chloro-4-methylphenyl)thio]-4-methyl-2-thiazolyl]-(9CI) (CA INDEX NAME)

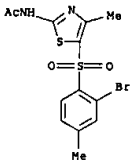


RN 54406-00-7 CAPLUS
CN Acetamide, N-[5-[(2-chloro-4-methylphenyl)sulfonyl]-4-methyl-2-thiazolyl]-(9CI) (CA INDEX NAME)

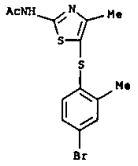


RN 54406-03-0 CAPLUS
CN Acetamide, N-[5-[(4-bromo-2-methylphenyl)thio]-4-methyl-2-thiazolyl]-(9CI) (CA INDEX NAME)

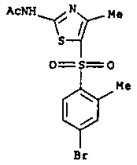
L9 ANSWER 81 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



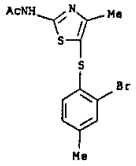
L9 ANSWER 81 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 54406-04-1 CAPLUS
CN Acetamide, N-[5-[(4-bromo-2-methylphenyl)sulfonyl]-4-methyl-2-thiazolyl]-(9CI) (CA INDEX NAME)



RN 54406-07-4 CAPLUS
CN Acetamide, N-[5-[(2-bromo-4-methylphenyl)thio]-4-methyl-2-thiazolyl]-(9CI) (CA INDEX NAME)

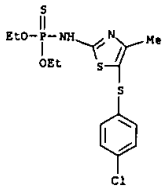


RN 54406-08-5 CAPLUS
CN Acetamide, N-[5-[(2-bromo-4-methylphenyl)sulfonyl]-4-methyl-2-thiazolyl]-(9CI) (CA INDEX NAME)

L9 ANSWER 82 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1975:4243 CAPLUS
DOCUMENT NUMBER: 82:4243
TITLE: Insecticidal N-thiazolylphosphoramidothioates
INVENTOR(S): Schrader, Gerhard
PATENT ASSIGNEE(S): Bayer A.-G.
SOURCE: Ger. Offen., 16 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2316185	A1	19741010	DE 1973-2316185	19730331

GI For diagram(s), see printed CA Issue.
AB Ten phosphoramidothioates I (R = Me, CH2Cl, or Ph; R1 = H, Me, CO2Et, or SC6H4Cl-4; R2 = OEt, OCHMe2, or SPr; R3 = Et or CHMe2), useful as insecticides, were prepd. in 50-74% yield by reaction of RCOCHR1Cl with H2NCSNHP(S)R2OR3 in the presence of pyridine at 50-105.degree..
IT 55051-29-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 55051-29-1 CAPLUS
CN Phosphoramidothioic acid, [5-[(4-chlorophenyl)thio]-4-methyl-2-thiazolyl]-, O,O-diethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 83 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1973:522574 CAPLUS
DOCUMENT NUMBER: 79:122574
TITLE: Insecticidal 2-aminothiazole phosphates and phosphonates
INVENTOR(S): Fancher, Llewellyn W.
PATENT ASSIGNEE(S): Stauffer Chemical Co.
SOURCE: U.S., 5 pp. Division of U.S. 3,591,600 (CA 75;77030r).
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

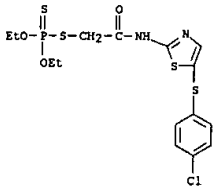
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3749775	A	19730731	US 1971-110639	19710128
US 3591600	A	19710706	US 1969-839626	19690707

PRIORITY APPLN. INFO.: US 1969-839626 19690707

AB Certain 2-aminothiazole phosphates and phosphonates were effective as acaricides and insecticides. For example, O-1-methylethyl S-2[(4-methyl-2-thiazolyl)amino]-2-oxoethyl ethylphosphonodithioate (I) [33804-07-8] was toxic to houseflies bean aphids (Aphis fabae) and twospotted mites (Tetranychus urticae).

IT **33793-58-7**
RL: BIOL (Biological study)
(as insecticide and acaricide)

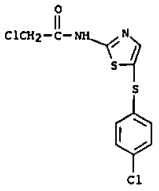
RN 33793-58-7 CAPLUS
CN Phosphorodithioic acid, S-[2-[[5-[(4-chlorophenyl)thio]-2-thiazolyl]amino]-2-oxoethyl] O,O-diethyl ester (9CI) (CA INDEX NAME)



IT **50772-56-0**
RL: RCT (Reactant)
(reaction of, with dialkyl dithiophosphates and alkyl dithiophosphonates)

RN 50772-56-0 CAPLUS
CN Acetamide, 2-chloro-N-[5-[(4-chlorophenyl)thio]-2-thiazolyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 83 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

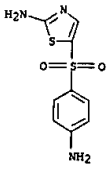


L9 ANSWER 84 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1973:473658 CAPLUS
DOCUMENT NUMBER: 79:73658
TITLE: Effect of analogs of methylxanthines on mobilization of fat and carbohydrate resources
AUTHOR(S): Ryzhenkov, V. E.; Oletskaya, T. A.; Sapronov, N. S.
CORPORATE SOURCE: Inst. Exp. Med., Leningrad, USSR
SOURCE: Byull. Eksp. Biol. Med. (1973), 75(5), 50-3
CODEN: BEBMAE
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB Ethymizol [64-99-3] (5-20 mg/kg), promizol [**473-30-3**] (5-20 mg/kg), or euphyllin [317-34-0] (20-35 mg/kg) increased the hydrocorticosteroid and free fatty acid levels in the blood plasma when injected i.p. into intact rats. The effects of etephyl [65-21-4] (20 mg/kg) were less pronounced. The sugar level in plasma of intact animals was not significantly affected. In hypophysectomized rats, the prepns. increased the sugar and free fatty acid contents of the blood. The mobilization of the blood fatty acids may be due to an increase in ACTH [9002-60-2] secretion.

IT **473-30-3**
RL: BIOL (Biological study)
(blood sugar and plasma fatty acid response to)

RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

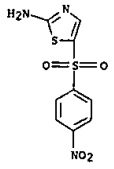


L9 ANSWER 85 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1973:4212 CAPLUS
DOCUMENT NUMBER: 78:4212
TITLE: Synthesis of nitroheterocycles. I. Synthesis of 2-substituted 5-nitrothiophene derivatives and their antimicrobial activity
AUTHOR(S): Arya, V. P.; Fernandes, F.; Sudarsanam, V.
CORPORATE SOURCE: CIBA Res. Cent., Bombay, India
SOURCE: Indian J. Chem. (1972), 10(6), 598-601
CODEN: IJOCAP
DOCUMENT TYPE: Journal
LANGUAGE: English

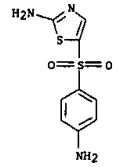
AB 2-Acetylthiophene was nitrated to give 2-acetyl-5-nitrothiophene which on bromination affords the corresponding 2-bromoacetyl deriv. 2-Bromoacetyl deriv. reacts with guanylthiourea, imidazolidine-2-thione or 3,4,5,6-tetrahydropyrimidine-2-thiol to give the corresponding thiazole, imidazo[2,1-b]thiazole and thiazolo[3,2-a]pyrimidine derivs. When 2-bromoacetyl deriv. is reacted with heterocyclic amines like 2-aminopyridine or 2-aminopyrimidine, it forms imidazo[1.2-a]pyridine and imidazo[1.2-a]pyrimidine derivs. resp. A no. of condensed imidazoles, e.g. imidazo[1.2-c]pyrimidine, imidazo[1.2-b]pyridazine, imidazo[2,1-b]-1.3,4-thiadiazole, imidazo[2,1-b]benzothiazole, imidazo[1,2-a]benzimidazole, imidazo[1.2-b]indazole and imidazo[1.2-a]-1.8-naphthyridine derivs. were prepd. from appropriate amines. The antimicrobial activity of these compds. is also described.

IT **39565-05-4**
RL: RCT (Reactant)
(cycloaddn. to bromoacetylnitrothiophene)

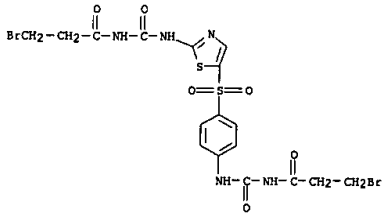
RN 39565-05-4 CAPLUS
CN 2-Thiazolamine, 5-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



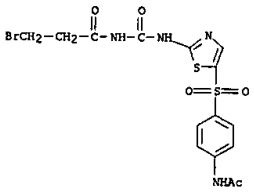
L9 ANSWER 86 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1972:534962 CAPLUS
DOCUMENT NUMBER: 77:134962
TITLE: Chemotherapy of bacterial infections. III. Sulfones
AUTHOR(S): Bass, Allan D.
CORPORATE SOURCE: Sch. Med., Vanderbilt Univ., Nashville, Tenn., USA
SOURCE: Drill's Pharmacol. Med., 4th Ed. (1971), 1674-7. Editor(s): DiPalma, Joseph R. McGraw-Hill Book Co.: New York, N. Y.
CODEN: 25NHAH
DOCUMENT TYPE: Conference; General Review
LANGUAGE: English
AB A discussion and review with 17 refs. of the mechanism of action, the therapeutic uses, and the toxicity of sulfone antibacterials such as 4,4'-diaminodiphenyl sulfone (I) [80-08-0], promin [554-18-7], and promizole [473-30-3].
IT **473-30-3**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(bactericidal activity of)
RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



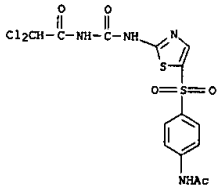
L9 ANSWER 87 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1972:95172 CAPLUS
DOCUMENT NUMBER: 76:95172
TITLE: Substituted thiazolylureas
AUTHOR(S): Islip, Peter J.; Closier, Michael D.; Johnson, M. R.; Neville, Martin C.
CORPORATE SOURCE: Div. Med. Sci. Aff., Parke, Davis and Co., Hounslow/Middlesex, Engl.
SOURCE: J. Med. Chem. (1972), 15(1), 101-3
CODEN: JMCMAR
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Replacement of the 5-NO2 group in a variety of substituted 2-amino-5-nitrothiazoles by other electron-withdrawing groups eliminated their antibacterial and antiparasitic activity. Thus, a soln. of 3-bromopropionyl isocyanate in THF was added dropwise to 2-amino-5-bromothiazole in THF and stirred at room temp. to yield 1-(3-bromopropionyl)-3-(5-bromo-2-thiazolyl)urea (I) [34347-02-9], which was slightly active against Entamoeba histolytica. I could be cyclized by adding NaH to yield 1-(5-bromo-2-thiazolyl)hydrouracil [34347-03-0].
IT **36324-79-5 36324-82-0 36324-83-1 36324-84-2 36324-85-3**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(bactericidal activity of, structure in relation to)
RN 36324-79-5 CAPLUS
CN Propanamide, 3-bromo-N-[[[5-[[4-[[[(3-bromo-1-oxopropyl)amino]carbonyl]amino]phenyl]sulfonyl]-2-thiazolyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



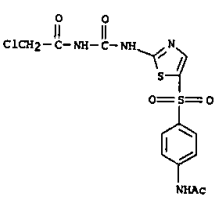
L9 ANSWER 87 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 36324-83-1 CAPLUS
CN Acetamide, N-[[[5-[[4-(acetylamino)phenyl]sulfonyl]-2-thiazolyl]amino]carbonyl]-2,2-dichloro- (9CI) (CA INDEX NAME)

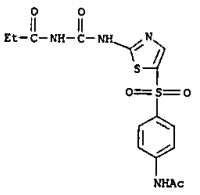


RN 36324-84-2 CAPLUS
CN Acetamide, N-[[[5-[[4-(acetylamino)phenyl]sulfonyl]-2-thiazolyl]amino]carbonyl]-2-chloro- (9CI) (CA INDEX NAME)

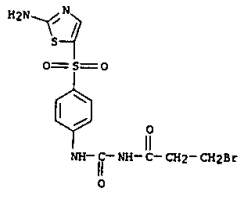


RN 36324-85-3 CAPLUS
CN Propanamide, N-[[[5-[[4-(acetylamino)phenyl]sulfonyl]-2-thiazolyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

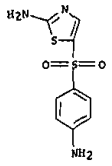
L9 ANSWER 87 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



IT **36324-67-1P**
RL: PREP (Preparation)
(prepn. of)
RN 36324-67-1 CAPLUS
CN Propanamide, N-[[[4-[(2-amino-5-thiazolyl)sulfonyl]phenyl]amino]carbonyl]-3-bromo- (9CI) (CA INDEX NAME)



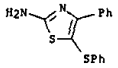
L9 ANSWER 88 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1972:94866 CAPLUS
DOCUMENT NUMBER: 76:94866
TITLE: Mode of action of antileprous drugs. II. Their adsorption on the protein components of human serum
AUTHOR(S): Tsutsumi, Sadae; Sakamoto, Yoshiki; Nakamura, Kazuaki; Abe, Masashide; Minagawa, Fumishige; Yoshino, Yuji; Ohsawa, Yoshiko; Sato, Tadaaki
CORPORATE SOURCE: Natl. Inst. Leprosy Res., Tokyo, Japan
SOURCE: Repura (1970), 39(3-4), 179-92
CODEN: REPUAC
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB Some antileprous drugs, such as Promizole [473-30-3], kanamycin [59-01-8], rifamycin [13929-35-6], or ethambutol [74-55-5], did not bind appreciably to blood serum albumin. On the basis of affinity for serum proteins, antileprous drugs are classified into 4 types: the albumin-affinitive type, sulfamethoxypyridazine [80-35-3] and Ciba 1906 [500-89-0]; the globulin-affinitive type, TH1314 (ethionamide) [536-33-4]; the nonadsorbing type, homosulfamine [138-37-4] and ethambutol; and those which have moderate affinity to serum proteins, DDS [bis(4-aminophenyl) sulfone] [80-08-0], Promizole, and 4,4'-dihydrazinodiphenyl sulfone [14052-65-4].
IT **473-30-3**
RL: BIOL (Biological study)
(antileprous activity of, serum protein binding in relation to)
RN 473-30-3 CAPLUS
CN 2-Thiazolamine, 5-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 89 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1971:521432 CAPLUS
DOCUMENT NUMBER: 75:121432
TITLE: Sedatives for black carp
INVENTOR(S): Kikuchi, Takahiko; Nishio, Motohiro; Ito, Teiichiro; Sekizawa, Yasuji
PATENT ASSIGNEE(S): Meiji Confectionary Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 5 pp.
CODEN: JAXKAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 46024260	B4	19710712	JP	19691209

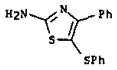
GI For diagram(s), see printed CA Issue.
AB I (R1, R2, R3 given): Ph, H, NHNH2; 4-BrC6H4, H, NH2; 4-ClC6H4, H, NH2; Et, Me, NH2; Me, H, Me; Ph, PhS, NH2) and II (R4 = 4-BrC6H4, R5 = H; R4 = Ph, R5 = 4-ClC6H4S) were used as sedatives for black carp at 25-50 ppm level.
IT **34161-32-5**
RL: BIOL (Biological study)
(sedatives, for carp)
RN 34161-32-5 CAPLUS
CN Thiazole, 2-amino-4-phenyl-5-(phenylthio)- (8CI) (CA INDEX NAME)



L9 ANSWER 90 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1971:512867 CAPLUS
DOCUMENT NUMBER: 75:112867
TITLE: Thiazole derivatives as anesthetics for use in transporting aquatic animals
PATENT ASSIGNEE(S): Meiji Confectionary Co., Ltd.
SOURCE: Fr., 51 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2039748		19710115		
PRIORITY APPLN. INFO.:			JP	19690320
			JP	19691209

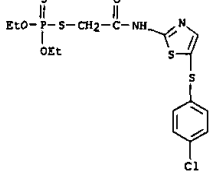
GI For diagram(s), see printed CA Issue.
AB The title compds. (I and II, R1 = H, Me, Et, SPh, SC6H4Cl-p; R2 = Me, Et, Ph, C6H4Me-p, C6H4OMe-p, C6H4Cl-p, C6H4Br-p; or R1 and R2 forming a tetrahydrobenzene, dihydronaphthalene, or 4H-benzothiopyran system with the thiazole ring; R3 = Me with R4 = Me, NH2, NHNH2, NHMe) or salts in 1-100 ppm concns. in fresh or seawater, were effective anesthetics (up to 10 hr with smooth recovery) for many species of fish, gastropods, and crustaceans. Residues in fish were negligible, and four title compds. tested showed low LD50 (200->1000 mg/kg) in mice.
IT **34161-32-5**
RL: BIOL (Biological study)
(anesthetic, for aquatic animals)
RN 34161-32-5 CAPLUS
CN Thiazole, 2-amino-4-phenyl-5-(phenylthio)- (8CI) (CA INDEX NAME)



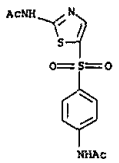
L9 ANSWER 91 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1971:477030 CAPLUS
DOCUMENT NUMBER: 75:77030
TITLE: Insecticidal and acaricidal 2-aminothiazole phosphates and phosphonates
INVENTOR(S): Fancher, Llewellyn W.
PATENT ASSIGNEE(S): Stauffer Chemical Co.
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3591600	A	19710706	US 1969-839626	19690707
ES 381519	A1	19730116	ES 1970-381519	19700707
US 3749775	A	19730731	US 1971-110639	19710128
PRIORITY APPLN. INFO.:			US 1969-839626	19690707
			US 1969-889867	19691222

GI For diagram(s), see printed CA Issue.
AB Title compds. are prepd. Thus, (EtO)2P(S)SH is treated with 2-(2-chloroacetamido)thiazole in DMF contg. Et3N to give I. Similarly prepd. are 75 other dithiophosphonates and dithiophosphates. All are evaluated as insecticides and acaricides. LD50 data are given for all compds.
IT **33793-58-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 33793-58-7 CAPLUS
CN Phosphorodithioic acid, S-[2-[[5-[(4-chlorophenyl)thio]-2-thiazolyl]amino]-2-oxoethyl] O,O-diethyl ester (9CI) (CA INDEX NAME)

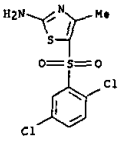


L9 ANSWER 92 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1969:420844 CAPLUS
DOCUMENT NUMBER: 71:20844
TITLE: Repository drugs. IV. 4',4'''-Sulfonylbisacetanilide (acedapsone, DADDS) and related sulfanilylanilides with prolonged antimalarial and antileprotic action
AUTHOR(S): Elslager, Edward F.; Gavrilis, Zoe B.; Phillips, Annette A.; Worth, Donald F.
CORPORATE SOURCE: Res. Lab., Parke, Davis and Co., Ann Arbor, Mich., USA
SOURCE: J. Med. Chem. (1969), 12(3), 357-63
CODEN: JMCMAR
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Thirty-six sulfanilylanilides and related compds. were investigated as potential repository antimalarial and antileprotic agents. Seven compds. protected mice against challenge with Plasmodium berghei for 4 to >10 weeks following a single, s.c. 400 mg./kg. dose. 4',4'''-Sulfonylbisacetanilide (acedapsone, DADDS) showed the longest duration of action and protected mice for 6-14 weeks against challenges with P. berghei and monkeys for 2-8 months against challenges with Plasmodium cynomolgi. Repository antimalarial effects were abolished or drastically reduced when DADDS was modified by: (1) replacement of the acetamide groups with a formamide function, (2) replacement of both acetamide groups with amide functions contg. >2 C atoms, (3) N-alkylation of one acetamide function, (4) introduction of a Cl at positions 2' or 3', or (5) replacement of the sulfone moiety by a thio, sulfinyl, oxalyl, or 2,2,2-trichloroethylidene linkage. Representative 4',4'''-bis(N-acetylsulfanilyl)alkylenebisanilides, 4'-[N-(substituted vinyl)sulfanilyl]-acetanilides, 4'-[(2-acetamido-5-thiazolyl)sulfonyl]acetanilide, 3',3'''-sulfonylbisacetanilide, and 3,3'-[sulfonylbis(p-phenylene-iminomethylene)]di-2-thiazolidinethione also lacked appreciable repository action. A combination of cycloguanil pamoate and DADDS showed better activity than either component alone against drug-resistant plasmodia in experimental animals and in man. DADDS exhibited strong repository antileprotic action against Mycobacterium leprae in mice and in man.
IT 24586-45-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 24586-45-6 CAPLUS
CN Acetanilide, 4'-[(2-acetamido-5-thiazolyl)sulfonyl]- (8CI) (CA INDEX NAME)



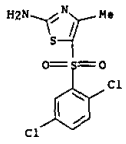
L9 ANSWER 92 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

L9 ANSWER 93 OF 93 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1967:463461 CAPLUS
DOCUMENT NUMBER: 67:63461
TITLE: A facile nucleophilic displacement of bromine in 2-amino-4-methyl-5-bromothiazole by a thiophenoxide anion
AUTHOR(S): Mahajanshetti, Chennabasappa S.; Basanagoudar, L. D.
CORPORATE SOURCE: Karnatak Univ., Dharwar, India
SOURCE: Can. J. Chem. (1967), 45(15), 1807-10
CODEN: CJCHAG
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 2-Amino-4-methyl-5-halothiazoles are treated with alkali metal thiophenoxides to give 5-phenylthio compds. in higher yields than 2-acetamido-4-methyl-5-phenylthiothiazoles obtained from 2-acetamido compds. The thiophenoxides are more reactive than the thiophenols. Also prepd. are 5-phenylsulfonylthiazoles.
IT 17114-55-5P 17114-56-6P 17114-57-7P
17114-58-8P 17114-59-9P 17114-60-2P
17114-61-3P 17114-62-4P 17114-63-5P
17114-64-6P 17114-65-7P 17114-66-8P
17114-67-9P 17114-68-0P 17114-69-1P
17114-70-4P 17114-71-5P 17114-72-6P
17114-73-7P 17114-74-8P 17114-75-9P
17119-33-4P 17119-34-5P 17119-35-6P
17119-36-7P 17119-37-8P 17119-38-9P
17119-39-0P 17119-40-3P 17119-41-4P
17119-42-5P 17119-43-6P 17119-44-7P
17119-45-8P 17221-56-6P 17221-86-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 17114-55-5 CAPLUS
CN 2-Thiazolamine, 5-[(2,5-dichlorophenyl)sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)



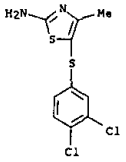
RN 17114-56-6 CAPLUS
CN Thiazole, 2-amino-5-[(2,5-dichlorophenyl)sulfonyl]-4-methyl-, hydrochloride (8CI) (CA INDEX NAME)

L9 ANSWER 93 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



●x HCl

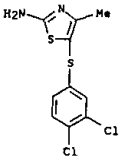
RN 17114-57-7 CAPLUS
CN Thiazole, 2-amino-5-[(3,4-dichlorophenyl)thio]-4-methyl- (8CI) (CA INDEX NAME)



RN 17114-58-8 CAPLUS
CN Thiazole, 2-amino-5-[(3,4-dichlorophenyl)thio]-4-methyl-, monopicrate (8CI) (CA INDEX NAME)

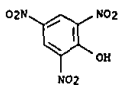
CM 1

CRN 17114-57-7
CMF C10 H8 Cl2 N2 S2

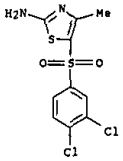


L9 ANSWER 93 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
CM 2

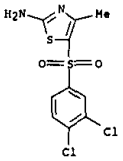
CRN 88-89-1
CMF C6 H3 N3 O7



RN 17114-59-9 CAPLUS
CN Thiazole, 2-amino-5-[(3,4-dichlorophenyl)sulfonyl]-4-methyl- (8CI) (CA INDEX NAME)



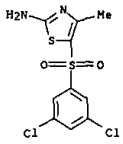
RN 17114-60-2 CAPLUS
CN Thiazole, 2-amino-5-[(3,4-dichlorophenyl)sulfonyl]-4-methyl-, hydrochloride (8CI) (CA INDEX NAME)



●x HCl

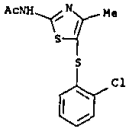
RN 17114-61-3 CAPLUS

L9 ANSWER 93 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
hydrochloride (8CI) (CA INDEX NAME)

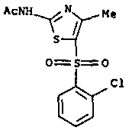


●x HCl

RN 17114-64-6 CAPLUS
CN Acetamide, N-[5-[(o-chlorophenyl)thio]-4-methyl-2-thiazolyl]- (8CI) (CA INDEX NAME)



RN 17114-65-7 CAPLUS
CN Acetamide, N-[5-[(o-chlorophenyl)sulfonyl]-4-methyl-2-thiazolyl]- (8CI) (CA INDEX NAME)

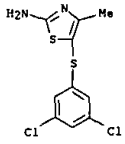


RN 17114-66-8 CAPLUS
CN Acetamide, N-[5-[(2,3-dichlorophenyl)thio]-4-methyl-2-thiazolyl]- (8CI) (CA INDEX NAME)

L9 ANSWER 93 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN Thiazole, 2-amino-5-[(3,5-dichlorophenyl)thio]-4-methyl-, monopicrate (8CI) (CA INDEX NAME)

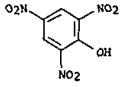
CM 1

CRN 17221-56-6
CMF C10 H8 C12 N2 S2

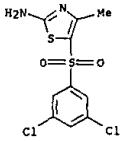


CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

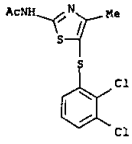


RN 17114-62-4 CAPLUS
CN Thiazole, 2-amino-5-[(3,5-dichlorophenyl)sulfonyl]-4-methyl- (8CI) (CA INDEX NAME)

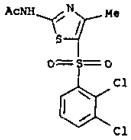


RN 17114-63-5 CAPLUS
CN Thiazole, 2-amino-5-[(3,5-dichlorophenyl)sulfonyl]-4-methyl-,

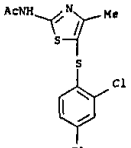
L9 ANSWER 93 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 17114-67-9 CAPLUS
CN Acetamide, N-[5-[(2,3-dichlorophenyl)sulfonyl]-4-methyl-2-thiazolyl]- (8CI) (CA INDEX NAME)

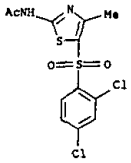


RN 17114-68-0 CAPLUS
CN Acetamide, N-[5-[(2,4-dichlorophenyl)thio]-4-methyl-2-thiazolyl]- (8CI) (CA INDEX NAME)

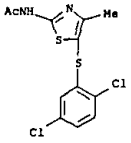


RN 17114-69-1 CAPLUS
CN Acetamide, N-[5-[(2,4-dichlorophenyl)sulfonyl]-4-methyl-2-thiazolyl]- (8CI) (CA INDEX NAME)

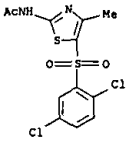
L9 ANSWER 93 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 17114-70-4 CAPLUS
CN Acetamide, N-[5-[(2,5-dichlorophenyl)thio]-4-methyl-2-thiazolyl]- (8CI) (CA INDEX NAME)

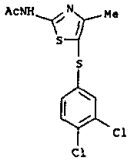


RN 17114-71-5 CAPLUS
CN Acetamide, N-[5-[(2,5-dichlorophenyl)sulfonyl]-4-methyl-2-thiazolyl]- (8CI) (CA INDEX NAME)

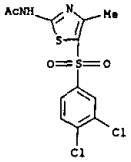


RN 17114-72-6 CAPLUS
CN Acetamide, N-[5-[(3,4-dichlorophenyl)thio]-4-methyl-2-thiazolyl]- (8CI) (CA INDEX NAME)

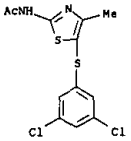
L9 ANSWER 93 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 17114-73-7 CAPLUS
CN Acetamide, N-[5-[(3,4-dichlorophenyl)sulfonyl]-4-methyl-2-thiazolyl]- (8CI) (CA INDEX NAME)

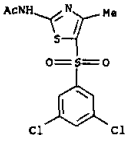


RN 17114-74-8 CAPLUS
CN Acetamide, N-[5-[(3,5-dichlorophenyl)thio]-4-methyl-2-thiazolyl]- (8CI) (CA INDEX NAME)

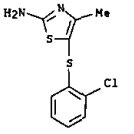


RN 17114-75-9 CAPLUS
CN Acetamide, N-[5-[(3,5-dichlorophenyl)sulfonyl]-4-methyl-2-thiazolyl]- (8CI) (CA INDEX NAME)

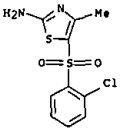
L9 ANSWER 93 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 17119-33-4 CAPLUS
CN Thiazole, 2-amino-5-[(o-chlorophenyl)thio]-4-methyl- (8CI) (CA INDEX NAME)

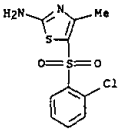


RN 17119-34-5 CAPLUS
CN Thiazole, 2-amino-5-[(o-chlorophenyl)sulfonyl]-4-methyl- (8CI) (CA INDEX NAME)



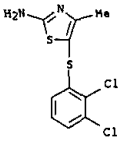
RN 17119-35-6 CAPLUS
CN Thiazole, 2-amino-5-[(o-chlorophenyl)sulfonyl]-4-methyl-, hydrochloride (8CI) (CA INDEX NAME)

L9 ANSWER 93 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



●x HCl

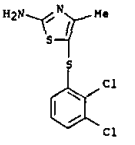
RN 17119-36-7 CAPLUS
CN Thiazole, 2-amino-5-[(2,3-dichlorophenyl)thio]-4-methyl- (8CI) (CA INDEX NAME)



RN 17119-37-8 CAPLUS
CN Thiazole, 2-amino-5-[(2,3-dichlorophenyl)thio]-4-methyl-, monopicrate (8CI) (CA INDEX NAME)

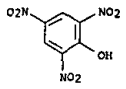
CM 1

CRN 17119-36-7
CMF C10 H8 Cl2 N2 S2

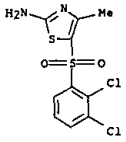


CM 2

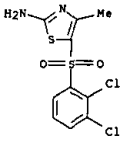
L9 ANSWER 93 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
CRN 88-89-1
CMF C6 H3 N3 O7



RN 17119-38-9 CAPLUS
CN Thiazole, 2-amino-5-[(2,3-dichlorophenyl)sulfonyl]-4-methyl- (8CI) (CA INDEX NAME)



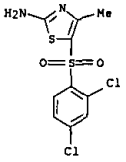
RN 17119-39-0 CAPLUS
CN Thiazole, 2-amino-5-[(2,3-dichlorophenyl)sulfonyl]-4-methyl-, hydrochloride (8CI) (CA INDEX NAME)



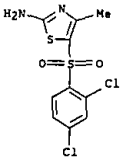
●x HCl

RN 17119-40-3 CAPLUS
CN Thiazole, 2-amino-5-[(2,4-dichlorophenyl)thio]-4-methyl- (8CI) (CA INDEX NAME)

L9 ANSWER 93 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)

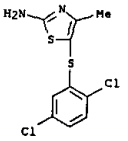


RN 17119-43-6 CAPLUS
CN Thiazole, 2-amino-5-[(2,4-dichlorophenyl)sulfonyl]-4-methyl-, hydrochloride (8CI) (CA INDEX NAME)



●x HCl

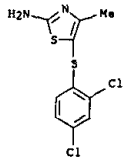
RN 17119-44-7 CAPLUS
CN 2-Thiazolamine, 5-[(2,5-dichlorophenyl)thio]-4-methyl- (9CI) (CA INDEX NAME)



RN 17119-45-8 CAPLUS
CN Thiazole, 2-amino-5-[(2,5-dichlorophenyl)thio]-4-methyl-, monopicrate (8CI) (CA INDEX NAME)

CM 1

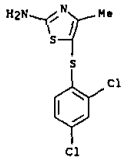
L9 ANSWER 93 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 17119-41-4 CAPLUS
CN Thiazole, 2-amino-5-[(2,4-dichlorophenyl)thio]-4-methyl-, monopicrate (8CI) (CA INDEX NAME)

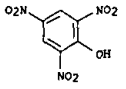
CM 1

CRN 17119-40-3
CMF C10 H8 C12 N2 S2



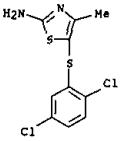
CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



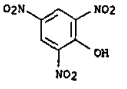
RN 17119-42-5 CAPLUS
CN Thiazole, 2-amino-5-[(2,4-dichlorophenyl)sulfonyl]-4-methyl- (8CI) (CA INDEX NAME)

L9 ANSWER 93 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)
CRN 17119-44-7
CMF C10 H8 C12 N2 S2

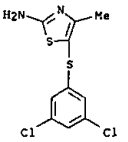


CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



RN 17221-56-6 CAPLUS
CN Thiazole, 2-amino-5-[(3,5-dichlorophenyl)thio]-4-methyl- (8CI) (CA INDEX NAME)

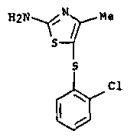


RN 17221-86-2 CAPLUS
CN Thiazole, 2-amino-5-[(o-chlorophenyl)thio]-4-methyl-, monopicrate (8CI) (CA INDEX NAME)

CM 1

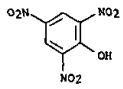
CRN 17119-33-4
CMF C10 H9 Cl N2 S2

L9 ANSWER 93 OF 93 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	409.62	618.16

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-56.99	-56.99

STN INTERNATIONAL LOGOFF AT 09:47:15 ON 30 AUG 2002